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| | | |
|-------------|-----------|---|
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| <u>NEWS</u> | <u>12</u> | NOV 30 REGISTRY/ZREGISTRY on STN(R) enhanced with experimental spectral property data |
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| <u>NEWS</u> | <u>15</u> | DEC 14 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER |
| <u>NEWS</u> | <u>16</u> | DEC 14 CA/CAplus to be enhanced with updated IPC codes |
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AND CURRENT DISCOVER FILE IS DATED 02 DECEMBER 2005.
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*****
*
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* the IDE default display format and the ED field has been added,      *
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* available and contains the CA role and document type information.   *
*
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=>
 Uploading structure

L1 STRUCTURE UPLOADED

=> s l1
 SAMPLE SEARCH INITIATED 18:03:55 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 0 TO 0
 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

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 THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 160.90 U.S. DOLLARS
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
 FULL SEARCH INITIATED 18:03:59 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS 12 ANSWERS
 SEARCH TIME: 00.00.01

L3 12 SEA SSS FUL L1

| | | | |
|----------------------|--|------------|---------|
| => file hcplus | | SINCE FILE | TOTAL |
| COST IN U.S. DOLLARS | | ENTRY | SESSION |
| FULL ESTIMATED COST | | 163.48 | 163.69 |

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FILE COVERS 1907 - 20 Dec 2005 VOL 143 ISS 26
 FILE LAST UPDATED: 19 Dec 2005 (20051219/ED)

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=> s 13
 L4 1 L3

=> d 14, ibib abs hitstr, 1

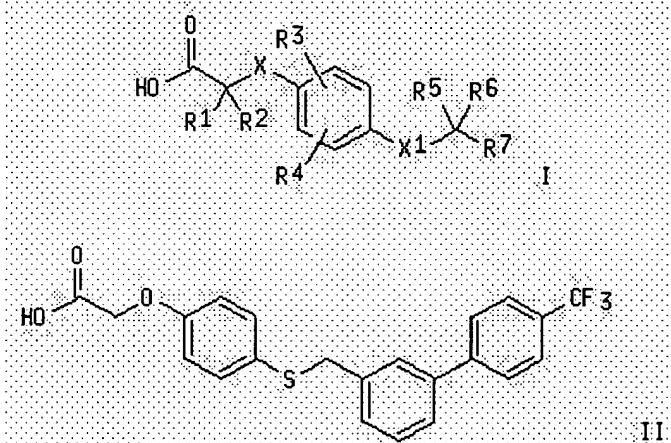
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Summary
 Text References

ACCESSION NUMBER: 2004:2698 HCAPLUS
 DOCUMENT NUMBER: 140:59519
 TITLE: Preparation of (biphenylylalkoxy)- and [(phenylpyridyl)alkoxy]-substituted phenylalkanoic acids and phenoxyalkanoic acids as hPPAR activators for treatment of cardiovascular disease and related disorders
 INVENTOR(S): Hamlett, Christopher Charles Frederick; Bell, Richard; Beswick, Paul John; Gosmini, Romain Luc Marie; King, Nigel Paul; Patel, Vipulkumar Kantibhai
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 158 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|-------|----------|-----------------------|----------|
| ----- | ----- | ----- | ----- | ----- |
| <u>WO 2004000315</u> | A1 | 20031231 | <u>WO 2003-EP6415</u> | 20030618 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | | |

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
 PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2487909 AA 20031231 CA 2003-2487909 20030618
EP 1513526 A1 20050316 EP 2003-738056 20030618
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BR 2003011931 A 20050405 BR 2003-11931 20030618
JP 2005534672 T2 20051117 JP 2004-514761 20030618
NO 2004005328 A 20050309 NO 2004-5328 20041203
PRIORITY APPLN. INFO.: GB 2002-14149 A 20020619
OTHER SOURCE(S): WO 2003-EP6415 W 20030618
 GI



AB Title compds. I [wherein R1 and R2 = independently H or alkyl; X = O or (CH₂)_n; n = 0-2; R3 R4 = independently H, alkyl, OMe, CF₃, allyl, or halo; X1 = O, S, SO₂, SO, or CH₂; R5 and R6 = independently H, (halo)alkyl, or alkoxyalkyl; or CR5R6 = cycloalkyl; R7 = (un)substituted Ph or 6-membered heteroaryl; and pharmaceutically acceptable salts, solvates, and hydrolyzable esters thereof] were prep'd. as human peroxisome proliferator activated receptor (hPPAR) activators. For example, a mixt. of 3-(bromomethyl)-4'-(trifluoromethyl)biphenyl, Et (4-mercaptop-2-methylphenoxy)acetate, and polymer-supported diisopropylethylamine in DCM was stirred at room temp. overnight to give the thioether. Sapon. of the ester with aq. NaOH in THF and acidification afforded II. Compds. of the invention showed at least 50% activation of hPPAR δ relative to the pos. control at concns. of 10⁻⁷ M or less. Thus, I and their pharmaceutical compns. are useful for the treatment of hPPAR mediated conditions, such as dyslipidemia, syndrome X, heart failure, hypercholesterolemia, cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia, or anorexia nervosa (no data).

IT 638215-86-8P, [[4-[(1R)-1-[6-(4-Cyanophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid 638215-91-5P, [[4-[(1S)-1-[6-(4-Cyanophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid 638215-96-0P, [[4-[(1R)-1-[6-(4-

Cyano-3-fluorophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-02-1P**, [[4-[[[(1R)-1-[6-(3-Chloro-4-cyanophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-03-2P**, [[4-[[[(1R)-1-[6-(4-Cyano-3-methylphenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-05-4P**, [[4-[[[(1R)-1-[6-(4-Cyano-2-fluorophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-06-5P**, [[4-[[[(1R)-1-[6-(4-Cyano-2-methylphenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-10-1P**, [[4-[[[(1S)-1-[6-(4-Cyano-3-fluorophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-16-7P**, [[4-[[[(1S)-1-[6-(3-Chloro-4-cyanophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-17-8P**, [[4-[[[(1S)-1-[6-(4-Cyano-3-methylphenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-19-0P**, [[4-[[[(1S)-1-[6-(4-Cyano-2-fluorophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-20-3P**, [[4-[[[(1S)-1-[6-[4-Cyano-3-(methyloxy)phenyl]-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid

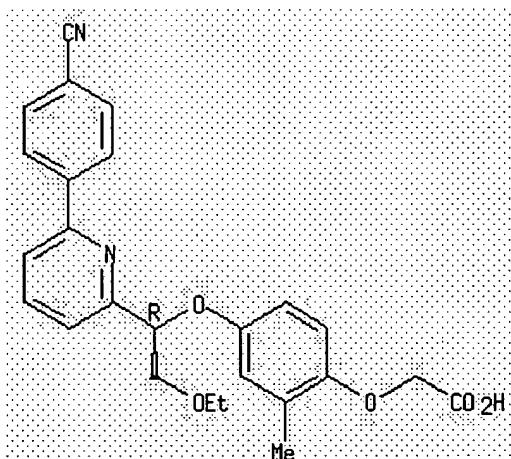
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(hPPAR activator; prepn. of (aryloxy)phenylalkanoic acids and (aryloxy)phenoxyalkanoic acids as hPPAR activators for treatment of cardiovascular disease and related disorders)

RN **638215-86-8** HCAPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

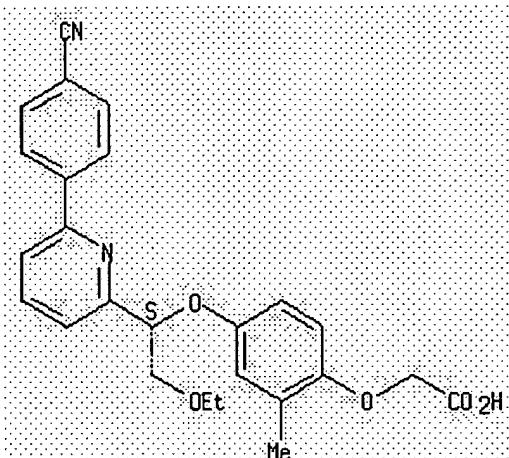
Absolute stereochemistry.



RN **638215-91-5** HCAPLUS

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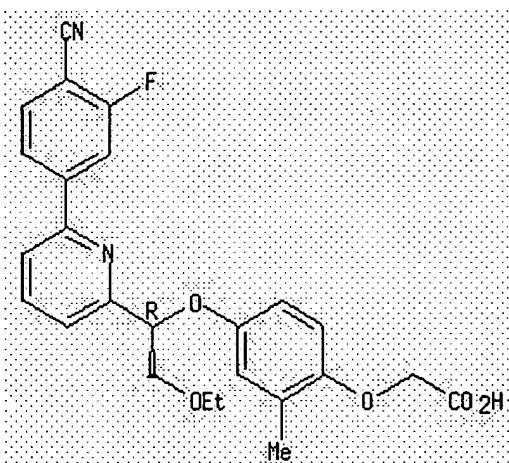
Absolute stereochemistry.



RN 638215-96-0 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-cyano-3-fluorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

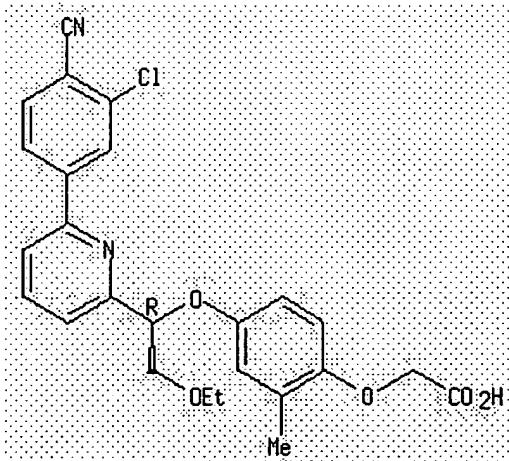
Absolute stereochemistry.



RN 638216-02-1 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(3-chloro-4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

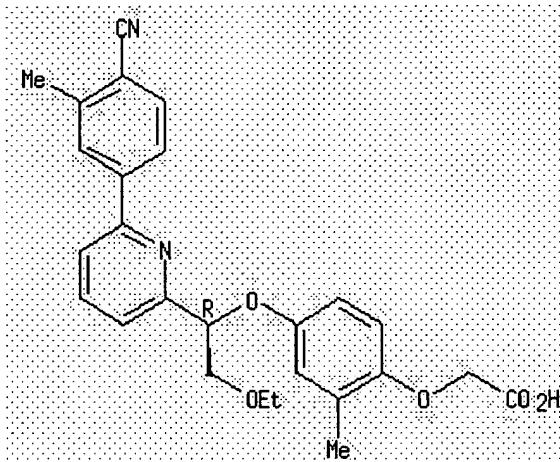


RN 638216-03-2 HCPLUS

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ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

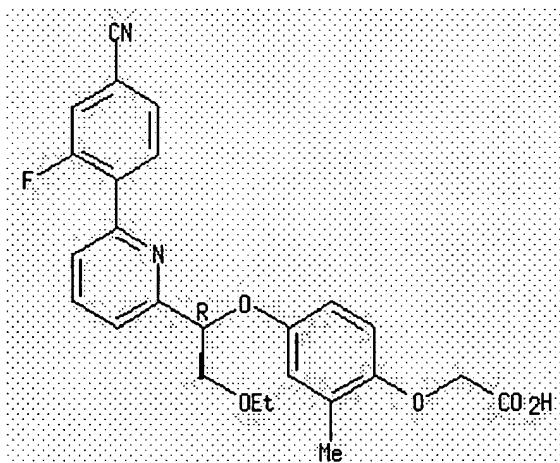
Absolute stereochemistry.



RN 638216-05-4 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-cyano-2-fluorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

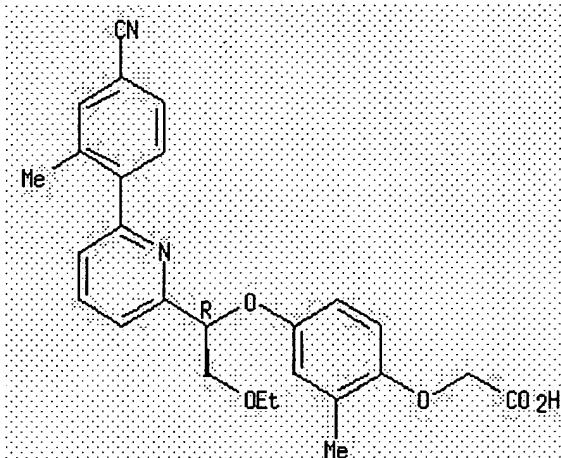
Absolute stereochemistry.



RN 638216-06-5 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-cyano-2-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

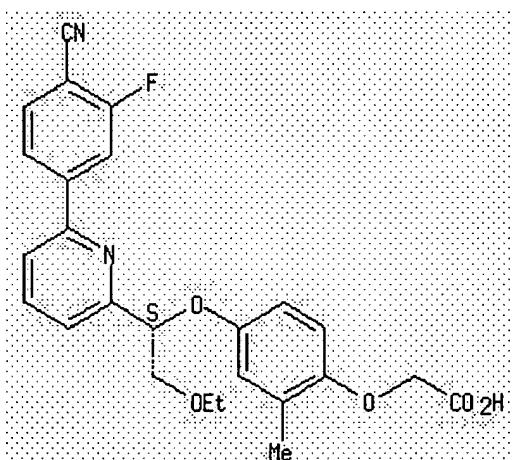
Absolute stereochemistry.



RN 638216-10-1 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-3-fluorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

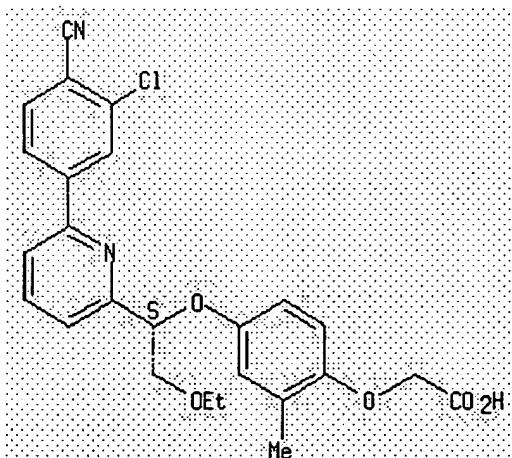
Absolute stereochemistry.



RN 638216-16-7 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(3-chloro-4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

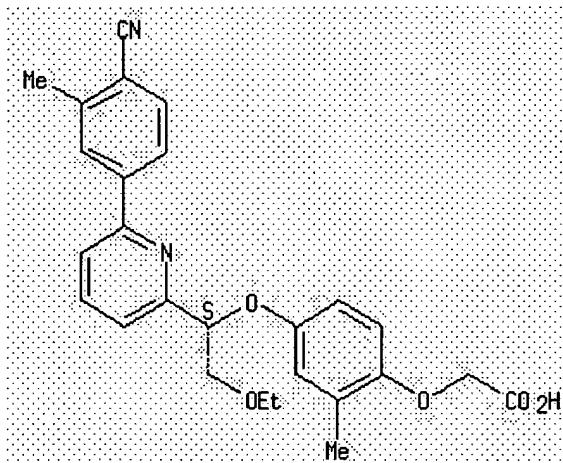


RN 638216-17-8 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-3-methylphenyl)-2-pyridinyl]-2-

ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

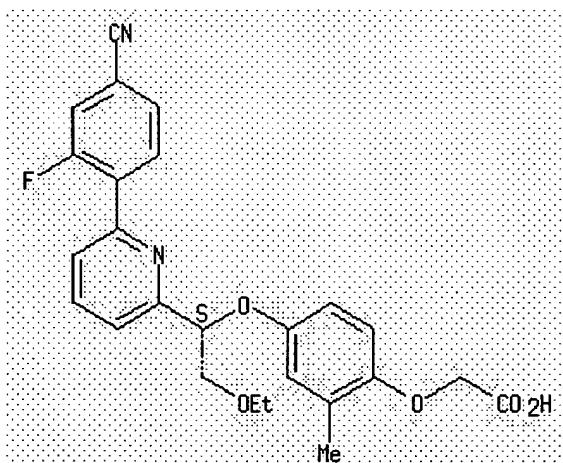
Absolute stereochemistry.



RN 638216-19-0 HCAPLUS

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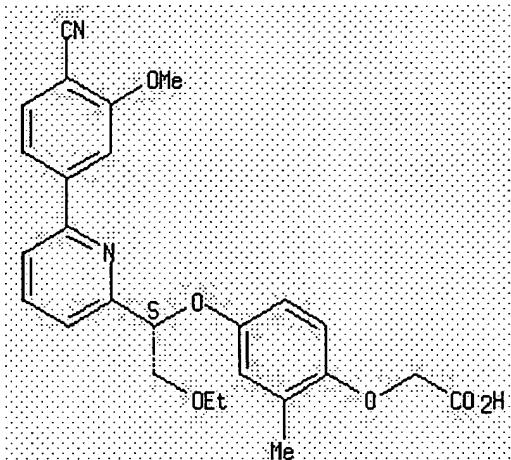
Absolute stereochemistry.



RN 638216-20-3 HCAPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-3-methoxyphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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|--|------------|---------|--|
| => file caold | | | |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL | |
| FULL ESTIMATED COST | ENTRY | SESSION | |
| | 7.39 | 171.08 | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL | |
| CA SUBSCRIBER PRICE | ENTRY | SESSION | |
| | -0.73 | -0.73 | |

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 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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 L1 STRUCTURE UPLOADED
 L2 0 S L1
 L3 12 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 18:04:02 ON 20 DEC 2005
 L4 1 S L3

FILE 'CAOLD' ENTERED AT 18:04:25 ON 20 DEC 2005

=> s 13
L5 O L3

| | | | |
|--|--|------------|---------|
| => file xeg | | SINCE FILE | TOTAL |
| COST IN U.S. DOLLARS | | ENTRY | SESSION |
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 * available and contains the CA role and document type information. *
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 Uploading structure

L6 STRUCTURE uploaded

=> d 16
 L6 HAS NO ANSWERS
 L6 STR

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| <u>NEWS</u> | <u>8</u> | OCT 27 Free KWIC format extended in full-text databases |
| <u>NEWS</u> | <u>9</u> | OCT 27 DIOGENES content streamlined |
| <u>NEWS</u> | <u>10</u> | OCT 27 EPFULL enhanced with additional content |
| <u>NEWS</u> | <u>11</u> | NOV 14 CA/CAplus - Expanded coverage of German academic research |
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| <u>NEWS</u> | <u>15</u> | DEC 14 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER |
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NEWS EXPRESS DECEMBER 02 CURRENT VERSION FOR WINDOWS IS V8.01,
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STRUCTURE FILE UPDATES: 19 DEC 2005 HIGHEST RN 870234-75-6
DICTIONARY FILE UPDATES: 19 DEC 2005 HIGHEST RN 870234-75-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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*****
* The CA roles and document type information have been removed from
* the IDE default display format and the ED field has been added,
* effective March 20, 2005. A new display format, IDERL, is now
* available and contains the CA role and document type information.
*****
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Structure search iteration limits have been increased. See [HELP SLIMITS](#) for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/reqprops.html>

=>
Uploading structure

L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR

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=> s 11
SAMPLE SEARCH INITIATED 16:11:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      2441 TO ITERATE
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81.9% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

| | | | |
|------------------------|--------|--------------|-------|
| FULL FILE PROJECTIONS: | ONLINE | **COMPLETE** | |
| | BATCH | **COMPLETE** | |
| PROJECTED ITERATIONS: | 45857 | TO | 51783 |
| PROJECTED ANSWERS: | 951 | TO | 1977 |

L2 50 SEA SSS SAM L1

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=> s 12 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 160.90 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:Y
FULL SEARCH INITIATED 16:11:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      49782 TO ITERATE
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100.0% PROCESSED 49782 ITERATIONS
 SEARCH TIME: 00.00.01

1449 ANSWERS

L3 1449 SEA SSS FUL L1

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|----------------------|------------|---------|
| => file hcaplus | SINCE FILE | TOTAL |
| COST IN U.S. DOLLARS | ENTRY | SESSION |
| FULL ESTIMATED COST | 163.05 | 163.26 |

FILE 'HCAPLUS' ENTERED AT 16:11:25 ON 20 DEC 2005
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FILE COVERS 1907 - 20 Dec 2005 VOL 143 ISS 26
 FILE LAST UPDATED: 19 Dec 2005 (20051219/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13/thu
 239 L3
 738046 THU/RL
 L4 102 L3/THU
 (L3 (L) THU/RL)

=> s 14 and pd < july 2002
 22609159 PD < JULY 2002
 (PD<20020700)
 L5 34 L4 AND PD < JULY 2002

=> d 15, ibib abs fhitstr, 1-34

L5 ANSWER 1 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

| | |
|------|------------|
| Full | String |
| Text | References |

ACCESSION NUMBER: 2003:270940 HCAPLUS
 DOCUMENT NUMBER: 139:286120
 TITLE: Pharmacology of a selective peroxisome proliferator-activated receptor δ agonist, GW501516, in obese dyslipidemic primates
 AUTHOR(S): Oliver, William, Jr.; Sternbach, Dan; Hansen, Barbara; Willson, Timothy
 CORPORATE SOURCE: GlaxoSmithKline, Research Triangle Park, NC, 27709, USA

SOURCE: Medical Science Symposia Series (2002),
 18 (Peroxisome Proliferator Activated Receptors),
 131-134

CODEN: MSSYEI; ISSN: 0928-9550

PUBLISHER: Kluwer Academic Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

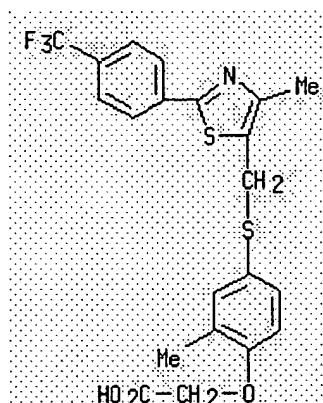
AB To evaluate the therapeutic potential of a PPAR δ agonist the authors developed a subtype selective small mol. ligand using combinatorial chem. and structure based drug design. GW501516 is a high affinity ligand in a human PPAR δ binding assay with $K_i = 1.1 \pm 0.1$ nM with a >1,000-fold selective for PPAR δ over the PPAR α and γ subtypes. The obese rhesus is a primate model of human metabolic disease that develops spontaneous adult-onset obesity on std. low fat diets and shows a high risk of developing overt diabetes. The prediabetic state of these primates displays many of the same features of human metabolic syndrome X, including dyslipidemia, insulin resistance, central obesity, hyperinsulinemia, and hypertension. Obese rhesus monkeys received increasing doses of GW501516 (0.1, 0.3, 1, and 3 mg/kg, bid) with each dose administered over a 4-wk period and clin. chemistries examed. The results of the study demonstrated that PPAR δ agonists are likely to have beneficial effects on the lipid triad of low HDLc, increased proportions of small dense LDLc, and elevated triglycerides through a mechanism that increases cholesterol flux from peripheral tissues. These findings further support the value of PPAR δ agonists and GW501516 specifically, as therapeutic agents for decreasing the incidence of cardiovascular disease assocd. with metabolic syndrome X.

IT 317318-70-0, GW501516

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmacol. of a selective peroxisome proliferator-activated receptor δ agonist, GW501516, in obese dyslipidemic primates)

RN 317318-70-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 34

HCAPLUS COPYRIGHT 2005 ACS on STN

| | | |
|-----------|-------|-----------|
| Full Text | Print | Reference |
|-----------|-------|-----------|

ACCESSION NUMBER:

2002:487541 HCAPLUS

DOCUMENT NUMBER:

137:63239

TITLE:

Thia- and oxazoles and their use as hPPAR delta

INVENTOR(S): agonists
 Beswick, Paul John; Patel, Vipulkumar; Sierra, Michael Lawrence

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

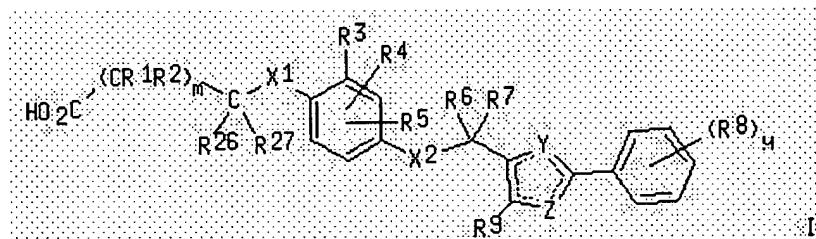
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------------|------------|
| <u>WO 2002050048</u> | A1 | 20020627 | <u>WO 2001-EP14887</u> | 20011218 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| <u>AU 2002029669</u> | A5 | 20020701 | <u>AU 2002-29669</u> | 20011218 |
| <u>EP 1343772</u> | A1 | 20030917 | <u>EP 2001-990571</u> | 20011218 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| <u>US 2004102493</u> | A1 | 20040527 | <u>US 2003-451307</u> | 20031117 |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>GB 2000-31109</u> | A 20001220 |
| | | | <u>WO 2001-EP14887</u> | W 20011218 |

OTHER SOURCE(S): MARPAT 137:63239
 GI



AB I (e.g. [4-[1,1-difluoro-3-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,3-thiazol-5-yl]propyl]-2-methylphenoxy]acetic acid) or pharmaceutically acceptable salts and solvates thereof are claimed. R1 and R2 are independently H or C1-3alkyl, m is 0-3; X1 is NH, NCH3, O, S; R3, R4 and R5 are independently H, CH3, CF3, OCH3, allyl or halogen; X2 is (CR10R11)n wherein n is 1 or 2; R10 and R11 independently represent H, F or C1-16alkyl; R26 and R27 are independently H, C1-3 alkyl or R26 and R27 together with the C atom to which they are bonded form a 3-5 membered cycloalkyl ring. R6 and R7 independently represent H, F or C1-16alkyl; R9 is C1-6alkyl or CF3; one of Y and Z is N, the other is S or O; each R8 independently represents CF3, OCH3, CH3 or halogen; y is 0-5. Use of I for the manuf. of a medicament for the prevention or treatment of a hPPAR (human peroxisome proliferator activated receptor)-mediated disease or condition, such as dyslipidemia, syndrome X, heart failure, hypercholesterolemia, cardiovascular disease, type II diabetes mellitus, type 1 diabetes, insulin resistance hyperlipidemia, obesity, anorexia, bulimia, inflammation and anorexia nervosa. Binding and transfection

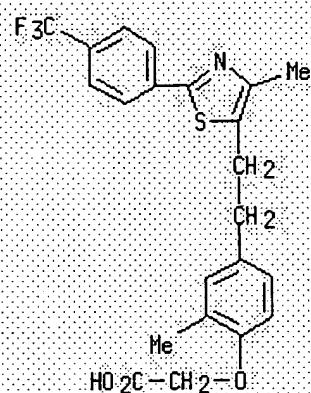
assays are described but no results are given. Although the methods of prepn. are not claimed, 35 example prepns. of intermediates and claimed compds. are included.

IT 439135-02-1P, [2-Methyl-4-[2-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,3-thiazol-5-yl]ethyl]phenoxy]acetic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(thia- and oxazoles and use as hPPAR delta agonists)

RN 439135-02-1 HCAPLUS

CN Acetic acid, [2-methyl-4-[2-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 34

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| | |
|-----------|----------|
| Full Text | SEARCHED |
| | SEARCHED |

ACCESSION NUMBER:

2002:449665 HCAPLUS

DOCUMENT NUMBER:

137:20379

TITLE:

Preparation of 1,2,4-oxadiazoles as hPPAR alpha agonists

INVENTOR(S):

Gellibert, Francoise Jeanne; Liu, Kevin Guangcheng

PATENT ASSIGNEE(S):

Glaxo Group Limited, UK

SOURCE:

PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------------|----------|
| <u>WO 2002046174</u> | A1 | 20020613 | <u>WO 2001-GB5400</u> | 20011206 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| <u>CA 2430847</u> | AA | 20020613 | <u>CA 2001-2430847</u> | 20011206 |
| <u>AU 2002020902</u> | A5 | 20020618 | <u>AU 2002-20902</u> | 20011206 |

| | | | | |
|--|----|----------|-----------------------|------------|
| <u>EP 1355890</u> | A1 | 20031029 | <u>EP 2001-999566</u> | 20011206 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| <u>ZA 2003004312</u> | A | 20041013 | <u>ZA 2003-4312</u> | 20030602 |
| <u>NO 2003002582</u> | A | 20030807 | <u>NO 2003-2582</u> | 20030606 |
| <u>BR 2003002137</u> | A | 20050322 | <u>BR 2003-2137</u> | 20030613 |
| <u>US 2004132787</u> | A1 | 20040708 | <u>US 2004-433807</u> | 20040108 |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>GB 2000-29974</u> | A 20001208 |
| | | | <u>WO 2001-GB5400</u> | W 20011206 |

OTHER SOURCE(S): MARPAT 137:20379
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; X1 = O, S; X2 = O, S; n = 1-3; one of Y and Z = N, and the other = O; R1, R2 = halo, H, CF3, OMe, alkyl; R3 = halo, CF3, alkyl; R4, R5 = H, alkyl; Y = 0-5] and their pharmaceutically acceptable salts, solvates and hydrolysable esters, were prep'd. Thus, reacting II with III (prepsn. given) in the presence of K2CO3 in Me2CO (42%) followed by ester hydrolysis (99%) afforded the acid IV which showed EC50 of 0.024 μM against hPPAR alpha.

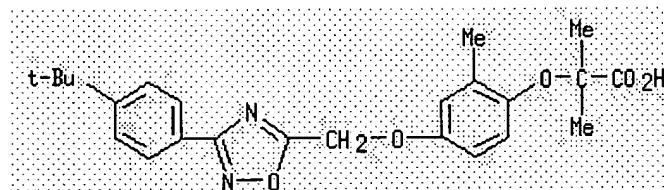
IT 435303-01-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1,2,4-oxadiazoles as hPPAR alpha agonists)

RN 435303-01-8 HCAPLUS

CN Propanoic acid, 2-[4-[[3-[4-(1,1-dimethylethyl)phenyl]-1,2,4-oxadiazol-5-yl]methoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Series
 Text References

ACCESSION NUMBER:

2002:368462 HCAPLUS

DOCUMENT NUMBER:

136:386118

TITLE:

Preparation of (phenylalkyl)-1H-[1,2,4]triazolones as PPAR α agonists for treatment of cardiovascular disease associated with Syndrome X and related conditions

INVENTOR(S):

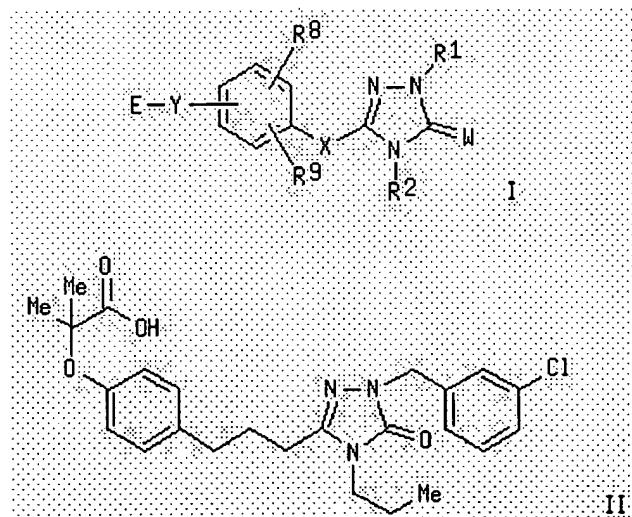
Mantlo, Nathan Bryan; Collado Cano, Ivan; Dominianni, Samuel James; Etgen, Garret Jay, Jr.; Garcia-Paredes, Cristina; Johnston, Richard Duane; Letourneau, Michael Edward; Martinelli, Michael John; Mayhugh, Daniel Ray; Saeed, Ashraf; Thompson, Richard Craig; Wang, Xiaodong; Coffey, David Scott; Schmid, Christopher Randall; Vicenzi, Jeffrey Thomas; Xu, Yanping

PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 388 pp.
 CODEN: PIIXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------------|------------|
| <u>WO 2002038553</u> | A2 | 20020516 | <u>WO 2001-US42928</u> | 20011109 |
| <u>WO 2002038553</u> | A3 | 20030501 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| <u>CA 2421154</u> | AA | 20020516 | <u>CA 2001-2421154</u> | 20011109 |
| <u>AU 2002028592</u> | A5 | 20020521 | <u>AU 2002-28592</u> | 20011109 |
| <u>EP 1335908</u> | A2 | 20030820 | <u>EP 2001-989704</u> | 20011109 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| <u>BR 2001014986</u> | A | 20030923 | <u>BR 2001-14986</u> | 20011109 |
| <u>JP 2004513166</u> | T2 | 20040430 | <u>JP 2002-541088</u> | 20011109 |
| <u>ZA 2003002517</u> | A | 20040630 | <u>ZA 2003-2517</u> | 20030331 |
| <u>NO 2003002059</u> | A | 20030624 | <u>NO 2003-2059</u> | 20030508 |
| <u>HR 2003000365</u> | A1 | 20030831 | <u>HR 2003-365</u> | 20030508 |
| <u>US 2004102500</u> | A1 | 20040527 | <u>US 2003-415673</u> | 20030911 |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>US 2000-247317P</u> | P 20001110 |
| | | | <u>WO 2001-US42928</u> | W 20011109 |

OTHER SOURCE(S): MARPAT 136:386118

GI



AB Title compds. I [wherein R1 = H or (un)substituted alkyl, (hetero)arylalkyl, cycloalkylarylalkyl, CH₂COR₁₇R₁₈; R₁₇ = O or NH; R₁₈ = (un)substituted benzyl; W = O or S; R₂ = H or (un)substituted

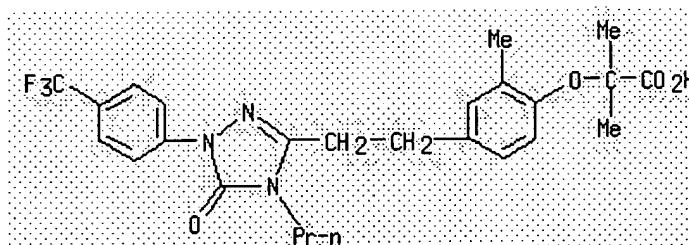
(cyclo)alkyl, allyl, (hetero)arylalkyl, sulfonamido, amido, or OR10; R10 = H or alkyl; X = (un)substituted alkylene linker wherein 1 C may be replaced with O, NH, or S; Y = C, O, S, NH, or a single bond; E = H, CR3R4A; A, (un)substituted (CH₂)_nCO₂C₁₉, (aryl)alkyl, allyl, thioalkyl, thioaryl, alkoxyaryl, alkoxyalkyl, aminoaryl, or aminoalkyl; n = 0-3; A = carboxy, alkynitrile, carboxamide, or (un)substituted sulfonamide, acylsulfonamide, or tetrazole; R3 = H, alkyl, or alkoxy; R4 = H, halo, or (un)substituted (cyclo)alkyl, alkoxy, arylalkyl, or Ph; or CR3R4 = cycloalkyl; R19 = H or (un)substituted arylmethyl or alkyl; R8 = independently H, alkyl, alkenyl, or halo; R9 = independently H, alkenyl, halo, allyl, OR10, or (un)substituted alkyl or (hetero)aryl; R10 = independently H or alkyl] were prep'd. as peroxisome proliferator activated receptor alpha (PPAR α) agonists. For example, condensation of 3-chlorobenzaldehyde with 4-(4-hydroxyphenyl)butyrylhydrazide (p-TsOH, i-PrOH), followed by redn. (NaBH₃CN, THF, AcOH, i-PrOH), treatment with n-PrNCO (THF), and cyclization (KOH, MeOH), afforded 2-(3-chlorobenzyl)-5-[3-(4-hydroxyphenyl)propyl]-4-propyl-3H-triazolin-3-one. Addn. of tert-Bu 2-bromoisobutyrate (K₂CO₃, DMF) and deesterification (TFA, CH₂Cl₂) gave II. I bound to PPAR α receptors with IC₅₀ values of ? 100 nM and demonstrated PPAR α cotransfection efficacy in CV-1 cells of ? 50%. Significant redn. in RQ in female Ay mice [0.864 ? 0.013 (control) vs. 0.803 ? 0.007 (treated); p < 0.001] was obsd. at doses of 50 mg/kg of I. Addnl., treated animals displayed significantly higher rates of energy expenditure than control animals (17.40 ? 0.49 vs. 13.62 ? 0.26 kcal/kg/h, resp.). Thus, I are useful for the prevention and/or treatment of cardiovascular disease assoc'd. with Syndrome X, hyperinsulemia, hypertension, elevated body wt., elevate triglycerides, and elevated LDL.

IT 425672-17-9P

RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (cardiovascular agent; prepn. of (phenylalkyl)triazolones as PPAR α agonists for treatment of cardiovascular disease assoc'd. with Syndrome X and related conditions)

RN 425672-17-9 HCPLUS

CN Propanoic acid, 2-[4-[2-[4,5-dihydro-5-oxo-4-propyl-1-[4-(trifluoromethyl)phenyl]-1H-1,2,4-triazol-3-yl]ethyl]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 34 HCPLUS COPYRIGHT 2005 ACS on STN

| | |
|-----------|------------|
| Full Text | Tables |
| Text | References |

ACCESSION NUMBER:

2002:275829 HCPLUS

DOCUMENT NUMBER:

136:304064

TITLE:

Medicaments of peroxisome proliferator-activated receptor (PPAR) δ for treatment of inflammatory diseases

INVENTOR(S):

Buchan, Kevin William

PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 29 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------------|-----------------|
| <u>WO 2002028434</u> | A2 | 20020411 | <u>WO 2001-GB4373</u> | <u>20011001</u> |
| <u>WO 2002028434</u> | A3 | 20020815 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| <u>AU 2001092046</u> | A5 | 20020415 | <u>AU 2001-92046</u> | <u>20011001</u> |
| <u>EP 1324774</u> | A2 | 20030709 | <u>EP 2001-972266</u> | <u>20011001</u> |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| <u>JP 2004510750</u> | T2 | 20040408 | <u>JP 2002-532258</u> | <u>20011001</u> |
| <u>US 2005131035</u> | A1 | 20050616 | <u>US 2003-398629</u> | <u>20011001</u> |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>GB 2000-24361</u> | A 20001005 |
| | | | <u>WO 2001-GB4373</u> | W 20011001 |

OTHER SOURCE(S): MARPAT 136:304064

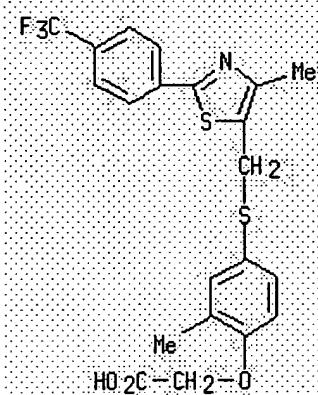
AB Methods of prevention or treatment of inflammatory diseases or conditions, the use of PPAR delta activators in such methods, and methods for the identification of compds. useful in such treatment. PPAR δ agonist, 2-[2-methyl-4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,3-thiazol-5-yl]methyl]sulfanyl]phenoxy]acetic acid (prepn. given), inhibited the activity and expression of inducible nitric oxide synthase.

IT 317318-70-0P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(medicaments of peroxisome proliferator-activated receptor (PPAR)
δ for treatment of inflammatory diseases)

RN 317318-70-0 HCPLUS

CN Acetic acid, [2-methyl-4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 34

HCAPLUS COPYRIGHT 2005 ACS on STN

| | |
|------|----------|
| Full | SEARCHED |
| Text | REFINED |

ACCESSION NUMBER: 2002:275828 HCAPLUS

DOCUMENT NUMBER: 136:289090

TITLE: Synthesis of PPAR δ activators for treatment of diseases or conditions where inhibition of nitric oxide synthase and tumor necrosis factor is desirable

INVENTOR(S): Buchan, Kevin William

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|---|------------------------|
| <u>WO 2002028433</u> | A2 | 20020411 | <u>WO 2001-GB4370</u> | 20011002 |
| <u>WO 2002028433</u> | A3 | 20020815 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| <u>AU 2001092044</u> | A5 | 20020415 | <u>AU 2001-92044</u> | 20011002 |
| <u>EP 1324773</u> | A2 | 20030709 | <u>EP 2001-972264</u> | 20011002 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| <u>JP 2004510749</u> | T2 | 20040408 | <u>JP 2002-532257</u> | 20011002 |
| <u>US 2004029938</u> | A1 | 20040212 | <u>US 2003-398417</u>
<u>GB 2000-24362</u> | 20030821
A 20001005 |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>WO 2001-GB4370</u> | W 20011002 |

OTHER SOURCE(S): MARPAT 136:289090

AB Methods of prevention or treatment of diseases or conditions where inhibition of NO synthase and/or TNF is desirable, the use of PPAR delta activators in such methods and methods for the identification of compds. useful in such treatment.

IT 317318-70-OP

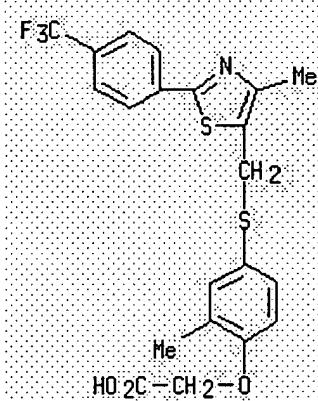
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of PPAR δ activators for treatment of diseases or conditions where inhibition of nitric oxide synthase and tumor necrosis factor is desirable)

RN 317318-70-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full CONTINUE
 Text REFERENCES

ACCESSION NUMBER: 2002:171871 HCAPLUS
 DOCUMENT NUMBER: 136:232294
 TITLE: Oxazolyl-aryloxyacetic acid derivatives and thiazole analogs and their use as PPAR agonists, e.g., as antidiabetics and hypolipidemics
 INVENTOR(S): Brooks, Dawn Alisa; Connor, Scott Eugene; Dominianni, Samuel James; Godfrey, Alexander Glenn; Gossett, Lann Stacy; Rito, Christopher John; Tripp, Allie Edward; Warshawsky, Alan M.; Winneroski, Leonard Larry; Zhu, Guoxin
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 246 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002018355 | A1 | 20020307 | WO 2001-US22615 | 20010823 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2420178 | AA | 20020307 | CA 2001-2420178 | 20010823 |
| AU 2001084658 | A5 | 20020313 | AU 2001-84658 | 20010823 |

| | | | | |
|--|----|----------|------------------------|-------------|
| <u>EP 1313715</u> | A1 | 20030528 | <u>EP 2001-963732</u> | 20010823 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| <u>JP 2004509084</u> | T2 | 20040325 | <u>JP 2002-523473</u> | 20010823 |
| <u>US 2004024034</u> | A1 | 20040205 | <u>US 2003-343474</u> | 20030129 |
| <u>US 2005250825</u> | A1 | 20051110 | <u>US 2005-181640</u> | 20050714 |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>US 2000-227233P</u> | P 20000823 |
| | | | <u>WO 2001-US22615</u> | W 20010823 |
| | | | <u>US 2003-343474</u> | A3 20030129 |

OTHER SOURCE(S): MARPAT 136:232294

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title oxazoles I and their pharmaceutically acceptable salts, solvates, and hydrates are disclosed [wherein R1 = (un)substituted aryl, heteroaryl, cycloalkyl, aryl-alkyl, heteroaryl-alkyl, or cycloalkyl-alkyl; R2 = H, alkyl, or haloalkyl; n = 2, 3, or 4, with the resultant polymethylene chain optionally contg. a carbon-carbon double bond; W = O or S; Y = (un)substituted phenylene, naphthylene, or 1,2,3,4-tetrahydronaphthylene; R3 = H, alkyl, or haloalkyl; R4 = H, alkyl, haloalkyl or (un)substituted PhCH₂; provided that when R3 = R4 = H, then R2 = alkyl or haloalkyl; R5 = H, alkyl, aminoalkyl]. Approx. 120 examples are given. One example of a thiazole analog is also given. The compds. are useful for modulating a peroxisome proliferator activated receptor, particularly in the treatment of diabetes mellitus. For instance, 2-(3-bromophenyl)-4-(chloromethyl)-5-methyloxazole (prepd. in 2 steps) underwent cyanation, hydrolysis to an acid, redn. to an alc., tosylation, and etherification with the corresponding phenol deriv. to give intermediate bromide II. The latter compd. underwent Pd-catalyzed ethynylation, hydrogenation of the ethynyl group, and alk. hydrolysis, to give title compd. III. This compd. bound to human PPAR α and PPAR γ receptors in vitro with IC₅₀ values of 31 and 219 nM, resp., vs. values of 94,500 and 1180 for troglitazone, and 68,000 and 125,000 for fenofibric acid. At 30 mg/kg orally in mice (transgenic for human apoAI), III gave a 74.3% redn. in serum triglycerides and a 180% increase in high-d. lipoprotein cholesterol, vs. 41% and 48% for fenofibrate. III also gave complete normalization of blood glucose in diabetic mice at 30 mg/kg orally.

IT 403610-21-9P, 2-Methyl-2-[4-(5-methyl-2-phenyloxazol-4-

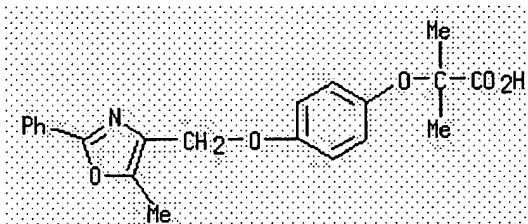
ylmethoxy)phenoxy]propionic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of oxazolyl-aryloxyacetic acid derivs. and thiazole analogs and their use as PPAR agonists)

RN 403610-21-9 HCPLUS

CN Propanoic acid, 2-methyl-2-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 34

HCAPLUS COPYRIGHT 2005 ACS on STN

 Full Abstract
 Text Structure

ACCESSION NUMBER:

2002:107062 HCAPLUS

DOCUMENT NUMBER:

136:145204

TITLE:

Fatty acid synthase inhibitors

INVENTOR(S):

Christensen, Siegfried B., IV; Daines, Robert A.; Lee, Jinhwa; Xiang, Jian-Ning

PATENT ASSIGNEE(S):

Smithkline Beecham Corporation, USA

SOURCE:

PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------------|------------|
| <u>WO 2002009651</u> | A2 | 20020207 | <u>WO 2001-US24366</u> | 20010802 |
| <u>WO 2002009651</u> | A3 | 20020328 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| <u>EP 1322331</u> | A2 | 20030702 | <u>EP 2001-963783</u> | 20010802 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| <u>JP 2004505030</u> | T2 | 20040219 | <u>JP 2002-515206</u> | 20010802 |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>US 2000-222683P</u> | P 20000802 |
| | | | <u>WO 2001-US24366</u> | W 20010802 |

OTHER SOURCE(S): MARPAT 136:145204

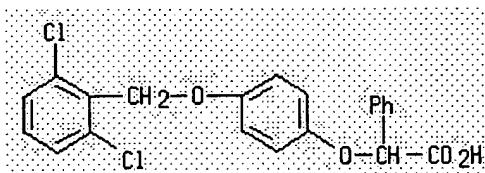
AB This invention relates to the use of compds. as inhibitors of the fatty acid synthase FabH. This invention further comprises novel compds. and pharmaceutical compns. contg. these compds. and their use as FabH inhibitors that are useful as antibiotics for the treatment of Gram pos. and Gram neg. bacterial infections.

IT 395067-29-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (fatty acid synthase FabH inhibitors for use in treatment of bacterial infections)

RN 395067-29-5 HCAPLUSCN Benzeneacetic acid, α -[4-[(2,6-dichlorophenyl)methoxy]phenoxy]-

(9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

 Full Text
 References

ACCESSION NUMBER: 2001:878334 HCAPLUS
 DOCUMENT NUMBER: 136:160852
 TITLE: 7-Substituted 5-Amino-2-(2-furyl)pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines as A2A Adenosine Receptor Antagonists: A Study on the Importance of Modifications at the Side Chain on the Activity and Solubility
 AUTHOR(S): Baraldi, Pier Giovanni; Cacciari, Barbara; Romagnoli, Romeo; Spalluto, Giampiero; Monopoli, Angela; Ongini, Ennio; Varani, Katia; Borea, Pier Andrea
 CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita degli Studi di Ferrara, Ferrara, I-44100, Italy
 SOURCE: Journal of Medicinal Chemistry (2002), 45(1), 115-126
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 136:160852
 AB It was demonstrated in the early 1990s that adenosine exerts many physiol. functions through the interaction with four different receptors, named A₁, A_{2A}, A_{2B}, and A₃. In the past few years, our group has been involved in the development of A_{2A} antagonists, which led to the synthesis of SCH 58261, the first potent and selective adenosine A_{2A} antagonist, which has been widely used as a ref. compd. In this paper, we present an extended series of pyrazolotriazolopyrimidines synthesized with the aim to investigate the influence of the substitutions on the pyrazole ring. The choice of the substituents was based on their capability to improve water solv. while retaining high affinity and selectivity at the human A_{2A} adenosine receptor subtype. In this series, some structural characteristics that are important for activity, i.e., tricyclic structure, free amino group at 5-position, furan ring, and substituent at 7-position on the pyrazole moiety, have been maintained. We focused our attention on the nature of the Ph ring substituent to improve water solv. Following this strategy, we developed new compds. with good affinity and selectivity for A_{2A} adenosine receptors, such as aminophenylpropylfuranylpyrazolotriazolopyrimidinylamine (Ki 0.22; hA₁/hA_{2A} ratio = 9818; R_m = 3.4), aminofuranylpyrazolotriazolopyrimidinylethylhydroxybenzamidine (Ki 0.18 nM; hA₁/hA_{2A} ratio = 994; R_m = 2.8), aminophenylethylfuranylpyrazolotriazolopyrimidinylamine (Ki 0.13 nM; hA₁/hA_{2A} ratio = 4430; R_m = 3.6), and aminofuranylpyrazolotriazolopyrimidinylpropylhydroxymethylbenzodioxolylmethanol (Ki 0.19 nM; hA₁/hA_{2A} ratio = 2273; R_m = 2.7). All the new synthesized compds. have no significant interaction with either A_{2B} or A₃ receptor subtypes. This new series of compds. deeply enlightens some structural requirements to display high affinity and selectivity for the A_{2A} adenosine receptor subtype, although our goal of identifying new compds. with increased water solv. was not completely achieved. On this basis, other strategies will be devised to

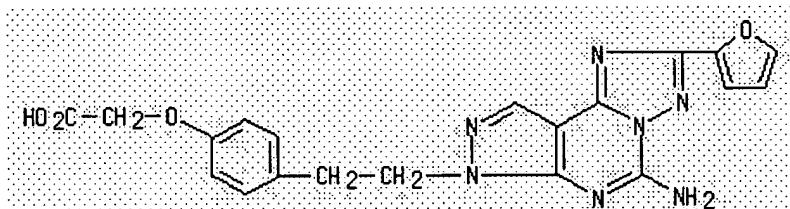
improve this class of compds. with a profile that appears to be promising for treatment of neurodegenerative disorders, such as Parkinson's disease.

IT 396124-31-5P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (substituted aminofurylpyrazolotriazolopyrimidines as adenosine receptor antagonists)

RN 396124-31-5 HCPLUS

CN Acetic acid, [4-[2-[5-amino-2-(2-furanyl)-7H-pyrazolo[4,3-e][1,2,4]triazolo[1,5-c]pyrimidin-7-yl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 34 HCPLUS COPYRIGHT 2005 ACS on STN

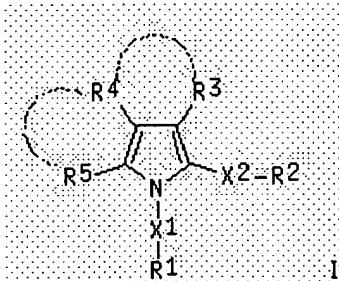
Full CONTINUE
 Text REFERENCES

ACCESSION NUMBER: 2001:868414 HCPLUS
 DOCUMENT NUMBER: 136:20006
 TITLE: Preparation of pyrrole derivatives as tyrosine phosphatase inhibitors for preventive and therapeutic drugs for diseases such as diabetes
 INVENTOR(S): Matsumoto, Takahiro; Katayama, Nozomi; Mabuchi, Hiroshi
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 337 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------|--|----------|------------------------|----------|
| <u>WO 2001090067</u> | A1 | 20011129 | <u>WO 2001-JP4201</u> | 20010521 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| <u>CA 2410338</u> | AA | 20011129 | <u>CA 2001-2410338</u> | 20010521 |
| <u>AU 2001058784</u> | A5 | 20011203 | <u>AU 2001-58784</u> | 20010521 |
| <u>JP 2002121186</u> | A2 | 20020423 | <u>JP 2001-150910</u> | 20010521 |
| <u>EP 1284260</u> | A1 | 20030219 | <u>EP 2001-932153</u> | 20010521 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |

| | | | |
|-------------------------------|------------------|-----------------------|---------------|
| <u>US 2003144338</u> | A1 20030731 | <u>US 2002-276674</u> | 20021115 |
| <u>US 6911468</u> | B2 20050628 | <u>JP 2000-154441</u> | A 20000522 |
| <u>PRIORITY APPLN. INFO.:</u> | | <u>JP 2000-247954</u> | A 20000810 |
| | | <u>WO 2001-JP4201</u> | W 20010521 |

OTHER SOURCE(S): MARPAT 136:20006
GI



AB Compds. of the general formula (I) or salts thereof [wherein X1 and X2 are each a free valency or a spacer having a C1-20 main chain; one of R1 and R2 is a cyclic group which bears a substituent selected from among (1) carboxylated C1-6 alkoxy groups which may be substituted and (2) carboxylated C1-6 aliph. hydrocarbon groups which may be substituted and may further have other substituent, and the other is an optionally substituted cyclic group or hydrogen; and R3, R4 and R5 are each hydrogen or a substituent, or alternatively R4 together with R3 or R5 may form an optionally substituted ring, with the proviso that some compds. of the general formula I are excluded.] are prep'd. These compds. are useful as preventive and therapeutic drugs for diabetes, impaired glucose tolerance (IGT), tumors, autoimmune diseases, immunodeficiency, allergies, bone diseases, infections, joint diseases, hyperlipidemia, diabetes complications, obesity, cachexia, fatty liver, hypertension, liver diseases, polycystic ovary syndromes, muscular dystrophy, myocardial infarction, angina pectoris, cerebral infarction, syndrome X, high-blood insulin, inflammation, and arteriosclerosis or as improvers for insulin resistance or enhancers for insulin sensitivity or blood platelet aggregation inhibitors. Thus, cyclocondensation of 4-octylphenylamine with 1-(4-benzyloxyphenyl)-1,4-pentanedione in the presence of p-MeC₆H₄SO₃H.H₂O in PhMe under reflux for 12 h and hydrogenation of the resulting 1-(4-pentylphenyl)-2-methyl-5-(4-benzyloxyphenyl)-1H-pyrrole over 10% Pd-C in ethanol under hydrogen atm. gave 4-[1-(4-pentylphenyl)-5-methyl-1H-pyrrol-2-yl]phenol which underwent Mitsunobu reaction with (S)-2-hydroxy-3-phenylpropanoic acid Et ester using 1,1'-(azocarbonyl)dipiperidine and Ph₃P in PhMe at 80° for 12 h to give (2R)-2-{[4-[1-(4-pentylphenyl)-5-methyl-1H-pyrrol-2-yl]phenyl]oxy}-3-phenylpropanoic acid Et ester. The latter ester was converted into (2R)-2-{[4-[1-(4-pentylphenyl)-5-methyl-1H-pyrrol-2-yl]phenyl]oxy}-3-phenylpropanoic acid sodium salt (II). II showed IC₅₀ of 0.09 μM against human protein tyrosine phosphatase-1B. Tablet formulations contg. specific I, e.g. (2R)-2-{4-[1-(2-(4-bromophenyl)ethan-1-yl]-5-methyl-1H-pyrrol-2-yl]phenoxy}-3-phenylpropanoic acid, were described.

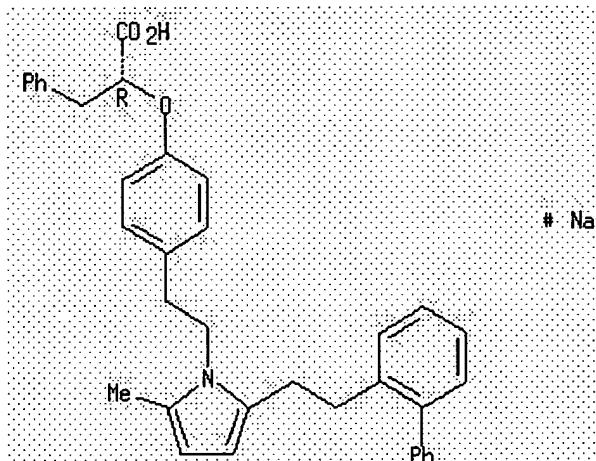
IT 376635-68-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses).

(prep'n. of pyrrole derivs. as tyrosine phosphatase inhibitors for

RN preventive and therapeutic drugs for diseases such as diabetes)
 RN 376635-68-6 HCAPLUS
 CN Benzenepropanoic acid, α -[4-[2-[2-[1,1'-biphenyl]-2-yethyl]-5-methyl-1H-pyrrol-1-yl]ethyl]phenoxy]-, sodium salt, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

FULL EMBODIMENT
 Text REFERENCES

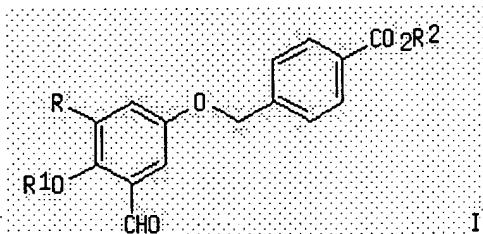
ACCESSION NUMBER: 2001:713284 HCAPLUS
 DOCUMENT NUMBER: 135:242458
 TITLE: Preparation of amphipathic aldehyde glucuronides and their use as adjuvants and immunoeffectors
 INVENTOR(S): Johnson, David
 PATENT ASSIGNEE(S): Corixa Corporation, USA
 SOURCE: PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------|--|----------|------------------------|----------|
| <u>WO 2001070663</u> | A2 | 20010927 | <u>WO 2001-US8548</u> | 20010316 |
| <u>WO 2001070663</u> | A3 | 20020516 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| <u>CA 2403553</u> | AA | 20010927 | <u>CA 2001-2403553</u> | 20010316 |
| <u>US 2001053363</u> | A1 | 20011220 | <u>US 2001-810915</u> | 20010316 |
| <u>US 6649172</u> | B2 | 20031118 | | |
| <u>EP 1265840</u> | A2 | 20021218 | <u>EP 2001-918784</u> | 20010316 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2003528068 T2 20030924 JP 2001-568876 20010316
US 2004063647 A1 20040401 US 2003-652797 20030828
PRIORITY APPLN. INFO.: US 2000-190466P P 20000317
US 2001-810915 A1 20010316
WO 2001-US8548 W 20010316

OTHER SOURCE(S): MARPAT 135:242458

GI



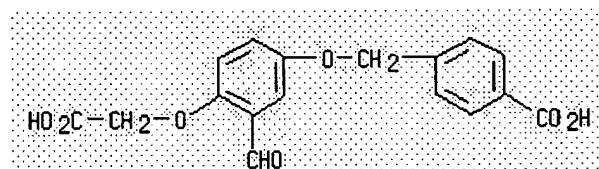
AB This invention relates to the prepn. of arom. aldehyde contg. compds. I wherein R is H, CHO; R1 is H, alkyl, saccharyl, acyl, CO2H; R2 is H, alkyl, substituted alkyl, and their uses as adjuvants and immunoeffectors. Thus, 4-[(3-formyl-4-hydroxyphenoxy)methyl]benzoic acid was prep'd. and tested in mice for its adjuvant activity.

IT 360078-75-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of amphipathic aldehyde glucuronides and their use as adjuvants and immunoeffectors)

RN 360078-75-7 HCPLUS

CN Benzoic acid, 4-[[4-(carboxymethoxy)-3-formylphenoxy]methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 34 HCPLUS COPYRIGHT 2005 ACS on STN

| | |
|------|--------|
| Full | REF ID |
| Text | REF ID |

ACCESSION NUMBER:

2001:327444 HCPLUS

DOCUMENT NUMBER:

135:132175

TITLE:

A selective peroxisome proliferator-activated receptor δ agonist promotes reverse cholesterol transport
 Oliver, William R., Jr.; Shenk, Jennifer L.; Snaith, Mike R.; Russell, Caroline S.; Plunket, Kelli D.; Bodkin, Noni L.; Lewis, Michael C.; Winegar, Deborah A.; Sznaidman, Marcos L.; Lambert, Millard H.; Xu, H. Eric; Sternbach, Daniel D.; Kliener, Steven A.; Hansen, Barbara C.; Willson, Timothy M.

CORPORATE SOURCE:

Metabolic Diseases Drug Discovery, GlaxoSmithKline, Research Triangle Park, NC, 27709, USA

SOURCE:

Proceedings of the National Academy of Sciences of the United States of America (2001), 98(9), 5306-5311

CODEN: PNASA6; ISSN: 0027-8424

PUBLISHER:

National Academy of Sciences

DOCUMENT TYPE:

Journal

LANGUAGE:

English

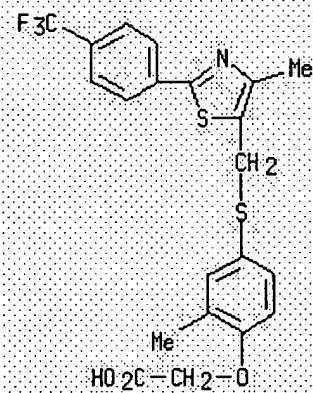
AB The peroxisome proliferator-activated receptors (PPARs) are dietary lipid sensors that regulate fatty acid and carbohydrate metab. The hypolipidemic effects of the fibrate drugs and the antidiabetic effects of the glitazone drugs in humans are due to activation of the α (NR1C1) and γ (NR1C3) subtypes, resp. By contrast, the therapeutic potential of the δ (NR1C2) subtype is unknown, due in part to the lack of selective ligands. We have used combinatorial chem. and structure-based drug design to develop a potent and subtype-selective PPAR δ agonist, GW501516. In macrophages, fibroblasts, and intestinal cells, GW501516 increases expression of the reverse cholesterol transporter ATP-binding cassette A1 and induces apolipoprotein A1-specific cholesterol efflux. When dosed to insulin-resistant middle-aged obese rhesus monkeys, GW501516 causes a dramatic dose-dependent rise in serum high d. lipoprotein cholesterol while lowering the levels of small-dense low d. lipoprotein, fasting triglycerides, and fasting insulin. Our results suggest that PPAR δ agonists may be effective drugs to increase reverse cholesterol transport and decrease cardiovascular disease assocd. with the metabolic syndrome X.

IT 317318-70-0, GW 501516

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (GW501516 promotes reverse cholesterol transport)

RN 317318-70-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 34

HCAPLUS COPYRIGHT 2005 ACS on STN

| | |
|------|------------|
| Full | Ref ID |
| Text | References |

ACCESSION NUMBER:

2001:12437 HCAPLUS

DOCUMENT NUMBER:

134:86235

TITLE:

Preparation of thiazoles and oxazoles as selective activators of human PPAR delta

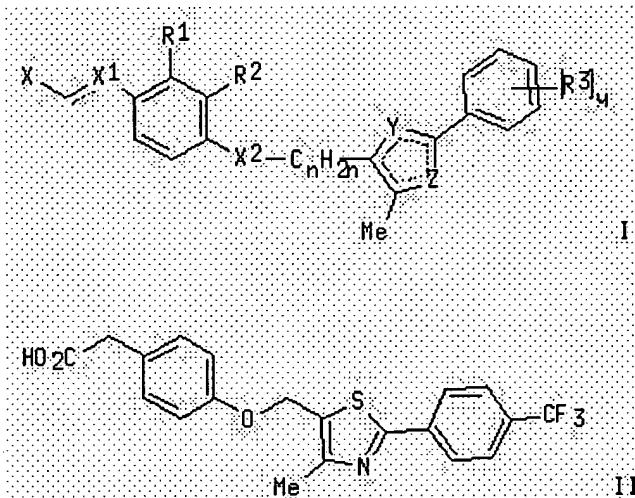
INVENTOR(S):

Chao, Esther Yu-Hsuan; Haffner, Curt Dale; Lambert, Millard Hurst, III; Maloney, Patrick Reed; Sierra, Michael Lawrence; Sternbach, Daniel David; Sznajdman, Marcos Luis; Willson, Timothy Mark; Xu, Huaqiang Eric;

PATENT ASSIGNEE(S): Gellibert, Francoise Jeanne
 SOURCE: Glaxo Group Limited, UK; et al.
 PCT Int. Appl., 83 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|--------------------------|-----------------|
| <u>WO 2001000603</u> | A1 | 20010104 | <u>WO 2000-EP5720</u> | <u>20000622</u> |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| <u>CA 2377126</u> | AA | 20010104 | <u>CA 2000-2377126</u> | <u>20000622</u> |
| <u>BR 2000011891</u> | A | 20020305 | <u>BR 2000-11891</u> | <u>20000622</u> |
| <u>EP 1189895</u> | A1 | 20020327 | <u>EP 2000-943847</u> | <u>20000622</u> |
| <u>EP 1189895</u> | B1 | 20050608 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE,
SI, LT, LV, FI, RO | | | | |
| <u>TR 200103612</u> | T2 | 20020521 | <u>TR 2001-200103612</u> | <u>20000622</u> |
| <u>JP 2003503399</u> | T2 | 20030128 | <u>JP 2001-507012</u> | <u>20000622</u> |
| <u>JP 3490704</u> | B2 | 20040126 | | |
| <u>AU 765347</u> | B2 | 20030918 | <u>AU 2000-58171</u> | <u>20000622</u> |
| <u>JP 2003313141</u> | A2 | 20031106 | <u>JP 2003-98492</u> | <u>20000622</u> |
| <u>NZ 515676</u> | A | 20040528 | <u>NZ 2000-515676</u> | <u>20000622</u> |
| <u>AT 297384</u> | E | 20050615 | <u>AT 2000-943847</u> | <u>20000622</u> |
| <u>ZA 2001009804</u> | A | 20030228 | <u>ZA 2001-9804</u> | <u>20011128</u> |
| <u>NO 2001006078</u> | A | 20011213 | <u>NO 2001-6078</u> | <u>20011213</u> |
| <u>US 6710063</u> | B1 | 20040323 | <u>US 2001-18935</u> | <u>20011219</u> |
| <u>US 2003203947</u> | A1 | 20031030 | <u>US 2003-383011</u> | <u>20030306</u> |
| <u>US 6723740</u> | B2 | 20040420 | | |
| <u>PRIORITY APPLN. INFO.:</u> | | | | |
| | | | <u>GB 1999-14977</u> | A 19990625 |
| | | | <u>JP 2001-507012</u> | A3 20000622 |
| | | | <u>WO 2000-EP5720</u> | W 20000622 |
| | | | <u>US 2001-18935</u> | A1 20011219 |

OTHER SOURCE(S): MARPAT 134:86235
 GI



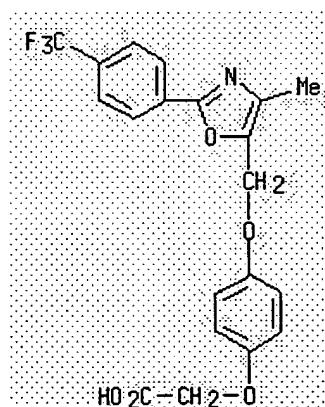
AB The title compds. [I; X = CO₂H (or its ester), tetrazole; X₁ = NH, NMe, O, etc.; X₂ = O, S; R₁, R₂ = H, Me, OMe, halo; n = 1-2; one of Y and Z = N and the other = S or O; y = 0-5; R₃ = CF₃, halo], useful as selective activators of human PPAR δ , were prep'd. E.g., a multi-step synthesis of the thiazole II was given. All of the exemplified acids I (X = CO₂H) showed at least 50% activation hPPAR δ relative to the pos. control at \approx 10⁻⁷ M. Most of the exemplified acids I (X = CO₂H) were at least 10-fold selective for hPPAR δ over hPPAR α and hPPAR γ . One of the compds. I was studied in a Rhesus model and showed a shift in the LDLc compn. to fewer and larger LDLc particles.

IT 317318-16-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of thiazoles and oxazoles as selective activators of human PPAR delta)

RN 317318-16-4 HCPLUS

CN Acetic acid, [4-[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-oxazolyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 34 HCPLUS COPYRIGHT 2005 ACS on STN

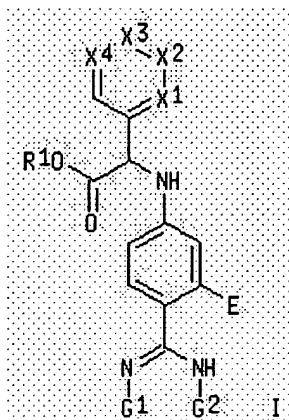
| | |
|-----------|------------|
| Full Text | Search |
| Text | References |

ACCESSION NUMBER: 2000:421087 HCPLUS

DOCUMENT NUMBER: 133:59090
 TITLE: Preparation of phenylglycine derivatives as pharmaceuticals
 INVENTOR(S): Ackermann, Jean; Alig, Leo; Chucholowski, Alexander;
 Groebke, Katrin; Hilpert, Kurt; Kuehne, Holger; Obst,
 Ulrike; Weber, Lutz; Wessel, Hans Peter
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
 SOURCE: PCT Int. Appl., 242 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|--------------------------|--------------------|
| <u>WO 2000035858</u> | A1 | 20000622 | <u>WO 1999-EP9520</u> | <u>19991206</u> |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,
MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| <u>CA 2354023</u> | AA | 20000622 | <u>CA 1999-2354023</u> | <u>19991206</u> |
| <u>BR 9916111</u> | A | 20010904 | <u>BR 1999-16111</u> | <u>19991206</u> |
| <u>EP 1149069</u> | A1 | 20011031 | <u>EP 1999-962221</u> | <u>19991206</u> |
| <u>EP 1149069</u> | B1 | 20040825 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO | | | | |
| <u>TR 200101744</u> | T2 | 20011221 | <u>TR 2001-200101744</u> | <u>19991206</u> |
| <u>JP 2002532459</u> | T2 | 20021002 | <u>JP 2000-588120</u> | <u>19991206</u> |
| <u>JP 3676236</u> | B2 | 20050727 | | |
| <u>RU 2198871</u> | C1 | 20030220 | <u>RU 2001-119160</u> | <u>19991206</u> |
| <u>AU 758229</u> | B2 | 20030320 | <u>AU 2000-18627</u> | <u>19991206</u> |
| <u>NZ 511927</u> | A | 20040227 | <u>NZ 1999-511927</u> | <u>19991206</u> |
| <u>AT 274491</u> | E | 20040915 | <u>AT 1999-962221</u> | <u>19991206</u> |
| <u>ES 2230909</u> | T3 | 20050501 | <u>ES 1999-962221</u> | <u>19991206</u> |
| <u>US 6242644</u> | B1 | 20010605 | <u>US 1999-460901</u> | <u>19991214</u> |
| <u>US 2001001799</u> | A1 | 20010524 | <u>US 2001-758977</u> | <u>20010112</u> |
| <u>US 6476264</u> | B2 | 20021105 | | |
| <u>ZA 2001004034</u> | A | 20020819 | <u>ZA 2001-4034</u> | <u>20010517</u> |
| <u>HR 2001000427</u> | A1 | 20020630 | <u>HR 2001-427</u> | <u>20010606</u> |
| <u>NO 2001002921</u> | A | 20010614 | <u>NO 2001-2921</u> | <u>20010613</u> |
| <u>US 2003083504</u> | A1 | 20030501 | <u>US 2002-264943</u> | <u>20021004</u> |
| <u>US 6683215</u> | B2 | 20040127 | | |
| <u>US 2004034231</u> | A1 | 20040219 | <u>US 2003-639030</u> | <u>20030812</u> |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>EP 1998-123721</u> | <u>A 19981214</u> |
| | | | <u>WO 1999-EP9520</u> | <u>W 19991206</u> |
| | | | <u>US 1999-460901</u> | <u>A1 19991214</u> |
| | | | <u>US 2001-758977</u> | <u>A3 20010112</u> |
| | | | <u>US 2002-264943</u> | <u>A3 20021004</u> |

OTHER SOURCE(S): MARPAT 133:59090
 GI



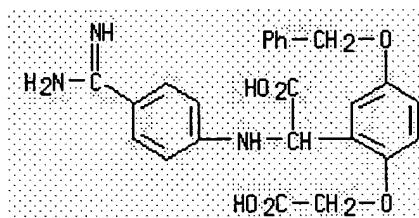
AB Novel N-(4-carbamimidoylphenyl)glycine derivs. I [R10 is H or the residue of an ester group which is cleavable under physiol. conditions; E = H, OH; three of X1 to X4 independently represent (un)substituted carbon and the fourth represents (un)substituted carbon or N; one of G1 and G2 represents H and the other represents H, alkyl, hydroxy, alkoxy, aroyl, CO₂R or O₂CR, where R = (un)substituted alkyl] or their hydrates, solvates or physiol. usable salts were prep'd. as pharmaceuticals, e.g., antithrombotics. Thus, (RS)-(4-benzyloxy-3-methoxyphenyl)(4-carbamimidoylphenylamino)acetic acid was prep'd. and showed K_i = 0.061 μM/L for inhibition of the amidolytic activity of factor VIIa/tissue factor complex.

IT 277319-34-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prep'n. of phenylglycine derivs. as pharmaceuticals)

RN 277319-34-3 HCPLUS

CN Benzeneacetic acid, α-[[4-(aminoiminomethyl)phenyl]amino]-2-(carboxymethoxy)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 34 HCPLUS COPYRIGHT 2005 ACS on STN

| | |
|-----------|------------|
| Full Text | References |
|-----------|------------|

ACCESSION NUMBER: 2000:335399 HCPLUS
 DOCUMENT NUMBER: 132:334458
 TITLE: Preparation of 4-oxothiazole-5-acetamides as PPAR_y receptor antagonists
 INVENTOR(S): Collins, Jon Loren; Holmes, Christopher Patrick; Lenhard, James Martin; Willson, Timothy Mark
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 34 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1

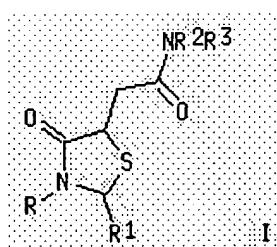
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------------|-----------------|
| <u>WO 2000027832</u> | A2 | 20000518 | <u>WO 1999-EP8477</u> | <u>19991109</u> |
| <u>WO 2000027832</u> | A3 | 20000727 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| <u>EP 1129084</u> | A2 | 20010905 | <u>EP 1999-971805</u> | <u>19991109</u> |
| <u>EP 1129084</u> | B1 | 20050302 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| <u>JP 2002529458</u> | T2 | 20020910 | <u>JP 2000-581011</u> | <u>19991109</u> |
| <u>AT 289995</u> | E | 20050315 | <u>AT 1999-971805</u> | <u>19991109</u> |
| <u>US 6541492</u> | B1 | 20030401 | <u>US 2001-831672</u> | <u>20010511</u> |
| <u>US 2002151569</u> | A1 | 20021017 | <u>US 2002-115550</u> | <u>20020403</u> |
| <u>GB 1998-24614</u> | | | <u>GB 1998-24614</u> | A 19981111 |
| | | | <u>WO 1999-EP8477</u> | W 19991109 |
| | | | <u>US 2001-831672</u> | A3 20010511 |

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 132:334458

GI



AB Title compds. [I; R = R4Z(CH₂)_n; R1 = hexyl, heptyl, alkylphenyl; R2 = Bu or (halo)benzyl; R3 = Bu or (un)substituted CH₂Ph; R4 = CO₂H, ureido, OH, OMe, etc.; Z = 1,4-phenylene; R4Z = 3,4-methylenedioxyphenyl; n = 2-4] were prepd. Thus, N-protected 4-(HO₂C)C₆H₄(CH₂)₄NH₂ was condensed with Sasrin resin and the deprotected product cyclocondensed with octanal and HO₂CCH(SH)CH₂CO₂H to give, after amidation and resin cleavage, I [R = 4-(HO₂C)C₆H₄(CH₂)₄, R1 = heptyl, R2 = R3 = CH₂Ph]. Data for biol. activity of I were given.

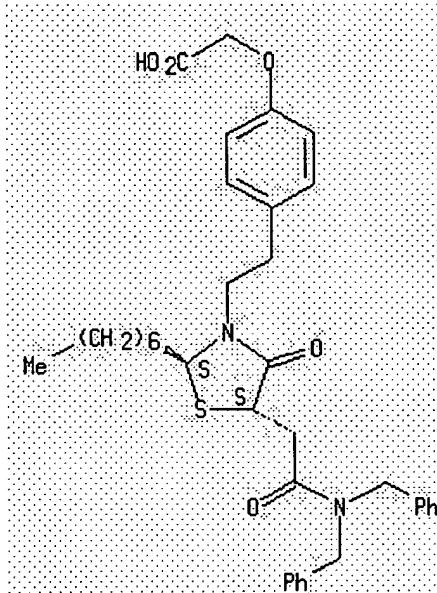
IT 267413-00-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prep. of 4-oxothiazole-5-acetamides as PPAR_γ receptor antagonists)

RN 267413-00-3 HCPLUS

CN Acetic acid, [4-[2-[(2R,5R)-5-[2-[bis(phenylmethyl)amino]-2-oxoethyl]-2-heptyl-4-oxo-3-thiazolidinyl]ethyl]phenoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 16 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Text References

ACCESSION NUMBER: 1999:96030 HCAPLUS
 DOCUMENT NUMBER: 130:139342
 TITLE: Preparation of arylbenzimidazoles and analogs as interleukin 1 β inhibitors
 INVENTOR(S): De Nanteuil, Guillaume; Portevin, Bernard; Bonnet, Jacqueline; Fradin, Armel
 PATENT ASSIGNEE(S): Adir et Compagnie, Fr.
 SOURCE: Eur. Pat. Appl., 21 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

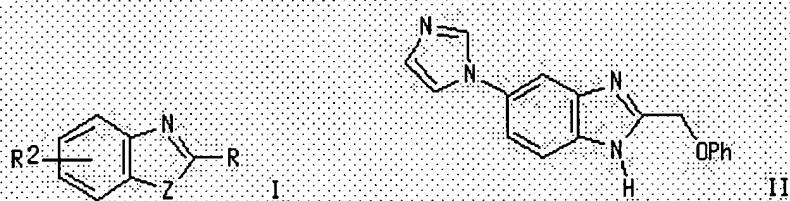
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------------|----------|
| <u>EP 894795</u> | A1 | 19990203 | <u>EP 1998-401920</u> | 19980728 |
| <u>EP 894795</u> | B1 | 20010606 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| <u>FR 2766822</u> | A1 | 19990205 | <u>FR 1997-9710</u> | 19970730 |
| <u>FR 2766822</u> | B1 | 20010223 | | |
| <u>US 6040327</u> | A | 20000321 | <u>US 1998-120487</u> | 19980722 |
| <u>JP 11100368</u> | A2 | 19990413 | <u>JP 1998-210640</u> | 19980727 |
| <u>PT 894795</u> | T | 20010928 | <u>PT 1998-401920</u> | 19980728 |
| <u>ES 2159922</u> | T3 | 20011016 | <u>ES 1998-401920</u> | 19980728 |
| <u>CA 2244438</u> | AA | 19990130 | <u>CA 1998-2244438</u> | 19980729 |
| <u>NO 9803493</u> | A | 19990201 | <u>NO 1998-3493</u> | 19980729 |
| <u>CN 1210859</u> | A | 19990317 | <u>CN 1998-117575</u> | 19980729 |
| <u>CN 1087740</u> | B | 20020717 | | |
| <u>ZA 9806814</u> | A | 19990202 | <u>ZA 1998-6814</u> | 19980730 |
| <u>AU 9878608</u> | A1 | 19990211 | <u>AU 1998-78608</u> | 19980730 |
| <u>AU 734447</u> | B2 | 20010614 | | |
| <u>BR 9802804</u> | A | 20000502 | <u>BR 1998-2804</u> | 19980730 |
| <u>HK 1018440</u> | A1 | 20021101 | <u>HK 1999-103381</u> | 19990805 |

GR 3036473
PRIORITY APPLN. INFO.:
 OTHER SOURCE(S):
 GI

T3 20011130
 MARPAT 130:139342

GR 2001-401332
FR 1997-9710

20010830
 A 19970730



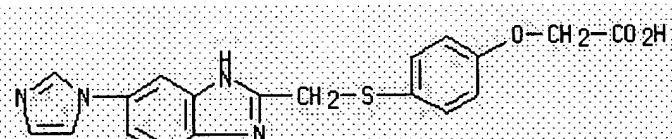
AB Title compds. [I; R = CRaRbR1; R1 = halo, OH, alkoxy, arylmethyl, etc.; Ra,Rb = H, OH, (ar)alkyl; R2 = 1 or 2 (hetero)aryl; Z = O, S, (alkyl)imino] were prepd. Thus, 2-amino-4-chloronitrobenzene was aminated by imidazole and the reduced product cyclocondensed with PhOCH₂CO₂H to give title compd. II. Data for biol. activity of I were given.

IT 220067-56-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepns. of arylbenzimidazoles and analogs as interleukin 1 β inhibitors)

RN 220067-56-1 HCAPLUS

CN Acetic acid, [4-[[[5-(1H-imidazol-1-yl)-1H-benzimidazol-2-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Text References

ACCESSION NUMBER:

1998:324824 HCAPLUS

DOCUMENT NUMBER:

129:27961

TITLE:

Preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion molecules to GPIIb/IIIa

INVENTOR(S):

Mills, Stuart Dennett

PATENT ASSIGNEE(S):

Zeneca Ltd., UK

SOURCE:

U.S., 68 pp., Cont.-in-part of U.S. 5,563,141.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------|------|----------|-----------------------|----------|
| <u>US 5753659</u> | A | 19980519 | <u>US 1995-458180</u> | 19950602 |
| <u>US 5563141</u> | A | 19961008 | <u>US 1994-218174</u> | 19940328 |
| <u>US 5750754</u> | A | 19980512 | <u>US 1996-658097</u> | 19960604 |

PRIORITY APPLN. INFO.:

| | |
|-----------------------|-------------|
| <u>GB 1993-6451</u> | A 19930329 |
| <u>GB 1993-25610</u> | A 19931215 |
| <u>US 1994-218174</u> | A2 19940328 |
| <u>GB 1993-6453</u> | A 19930329 |
| <u>GB 1993-25605</u> | A 19931215 |
| <u>GB 1995-18188</u> | A 19950907 |

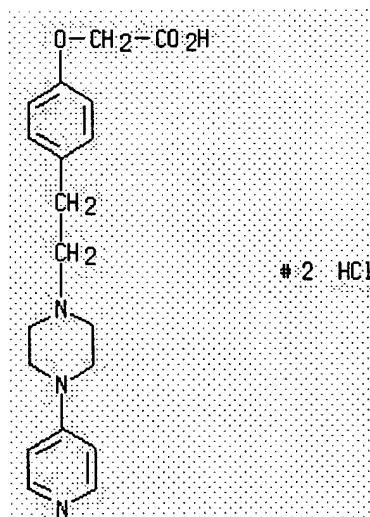
AB The title compds. [(M1)n-Q-(M2)1-n-L-A; n = 0-1; M1 = NH₂; Q = an arom. heterocyclic group contg. N atom; M2 = imino; L = template; A = an acidic group, or its ester or amide, or sulfonamide] and their pharmaceutically acceptable salts and pro-drugs, useful for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa, for the inhibition of platelet aggregation, and for the treatment of unstable angina. Thus, reaction of Me 4-bromoacetylphenoxyacetate with 1-(4-pyridyl)piperazine in MeCN afforded Me 4-{2-[4-(4-pyridyl)piperazin-1-yl]acetyl}phenoxyacetate which showed pIC₅₀ of 5.8-6.4 against binding of fibrinogen to GPIIb/IIIa.

IT 166951-67-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heterocycl-l-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)

RN 166951-67-3 HCAPLUS

CN Acetic acid, [4-[2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



REFERENCE COUNT:

68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

| | |
|------|------------|
| Full | Cited |
| Text | References |

ACCESSION NUMBER:

1998:55525 HCAPLUS

DOCUMENT NUMBER:

128:128032

TITLE:

Preparation of heterocycl-l-substituted phenoxyalkanoic acids as fibrinogen receptor antagonists

INVENTOR(S):

Duggan, Mark E.; Egbertson, Melissa S.; Hartman, George D.; Young, Steven D.; Ihle, Nathan C.

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA

SOURCE:

PCT Int. Appl., 270 pp.

CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------------|------------|
| <u>WO 9800134</u> | A1 | 19980108 | <u>WO 1997-US11133</u> | 19970625 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| <u>CA 2258093</u> | AA | 19980108 | <u>CA 1997-2258093</u> | 19970625 |
| <u>AU 9735798</u> | A1 | 19980121 | <u>AU 1997-35798</u> | 19970625 |
| <u>AU 721130</u> | B2 | 20000622 | | |
| <u>EP 912175</u> | A1 | 19990506 | <u>EP 1997-932307</u> | 19970625 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| <u>JP 2000514061</u> | T2 | 20001024 | <u>JP 1998-504291</u> | 19970625 |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>US 1996-20975P</u> | P 19960628 |
| | | | <u>GB 1997-893</u> | A 19970117 |
| | | | <u>WO 1997-US11133</u> | W 19970625 |

OTHER SOURCE(S): MARPAT 128:128032

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

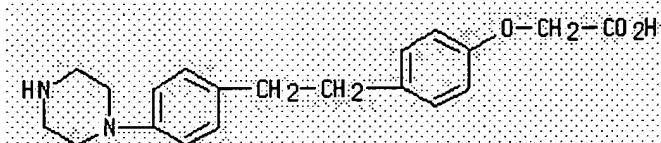
AB The title compds. X-Y-Z-A-B [I; X = (un)substituted 5-7- membered arom. or nonarom. ring, having 1-3 heteroatoms selected from N, O, and S, (un)substituted 9-10 membered fused arom. or nonarom. ring, having 1-3 heteroatoms selected from N, O, and S; Y = (un)substituted 5-6 membered arom. or nonarom. ring, having 0-3 heteroatoms selected from N, O, and S; XY = II, III, IV, V; Z = C(O)NR4, N(R4)C(O), CH2CH2, CH:CH, etc.; R4 = H, C1-4 alkyl, C3-6 cycloalkyl; A = (un)substituted 5-6 membered arom. ring, having 0-3 heteroatoms selected from N, O, and S, 9-10 membered fused arom. ring having 0-3 heteroatoms (N, O, and S); B = C(CH2)mCO2R9, (CH2)nCO2R9, CH(R8)(CH2)pCO2R9, OCH(R8)(CH2)pCO2R9 (wherein m = 1-3; n = 0-3; p = 0-3; R8 = H, aryl, amino, etc.; R9 = H, aryl, C1-8 alkyl, etc.)], useful in inhibiting the binding of fibrinogen to blood platelets, inhibiting the aggregation of blood platelets, treating thrombus or embolus formation, inhibiting osteoclast mediated bone resorption, inhibiting angiogenesis, and in inhibiting tumor growth, were prep'd. and formulated. Thus, a few-step detailed synthesis of the acid VI which showed IC50 in the range between 10 nM and 50 mM against ADP-stimulated platelet aggregation, was described.

IT 201808-81-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prep'n. of heterocycll-substituted phenoxyalkanoic acids as fibrinogen receptor antagonists)

RN 201808-81-3 HCPLUS

CN Acetic acid, [4-[2-[4-(1-piperazinyl)phenyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

| | |
|-----------|------------|
| Full Text | References |
|-----------|------------|

ACCESSION NUMBER:

1997:631661 HCAPLUS

DOCUMENT NUMBER:

127:242815

TITLE:

Anionic- and Lipophilic-Mediated Surface Binding Inhibitors of Human Leukocyte Elastase

AUTHOR(S):

Regan, John; McGarry, Daniel; Bruno, Joseph; Green, Daniel; Newman, Jack; Hsu, Chin-Yi; Kline, Jane; Barton, Jeffrey; Travis, Jeffrey; Choi, Yong Mi; Volz, Francis; Pauls, Henry; Harrison, Richard; Zilberstein, Asher; Ben-Sasson, Shmuel A.; Chang, Michael

CORPORATE SOURCE:

Departments of Medicinal Chemistry and Inflammation Biology, Rhone-Poulenc Rorer, Collegeville, PA, 19426, USA

SOURCE:

Journal of Medicinal Chemistry (1997), 40(21), 3408-3422

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

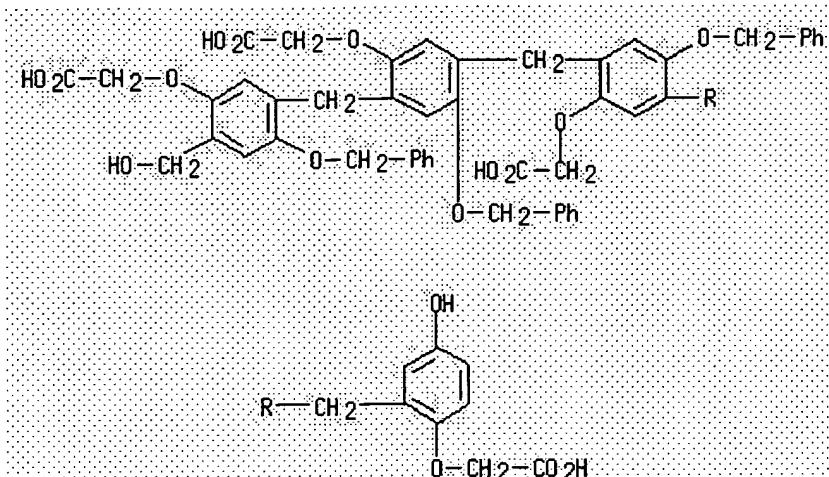
AB We report the synthesis of a series of diphenylmethane-based oligomers contg. anionic and lipophilic functionalities that are potent inhibitors of human leukocyte elastase (HLE). The enzyme inhibition is regulated by the size of the oligomer, as well as, the no. of charges. Lipophilicity is an important element in detg. potency and specificity against other basic enzymes. Compds. whose scaffolds contain three phenoxyacetic acid groups and three alkyl ethers are competitive and specific inhibitors of HLE with $K_i = 20$ nM. The mechanism of action of this class of compds. is believed to involve multidendate interactions with the surface of HLE near the active site which prevents substrate access to the catalytic site.

IT 147067-39-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (synthesis of diphenylmethane-based oligomers as selective inhibitors of human leukocyte elastase)

RN 147067-39-8 HCAPLUS

CN Acetic acid, [2-[[5-(carboxymethoxy)-4-[[5-(carboxymethoxy)-4-[(5-carboxymethoxy)-4-(hydroxymethyl)-2-(phenylmethoxy)phenyl]methyl]-2-(phenylmethoxy)phenyl]methyl]-2-hydroxyphenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 34 HCPLUS COPYRIGHT 2005 ACS on STN

Full
 Text

ACCESSION NUMBER: 1997:513484 HCPLUS
 DOCUMENT NUMBER: 127:190753
 TITLE: Preparation of heterocyclic derivatives as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa
 Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney
 INVENTOR(S): Zeneca Ltd., UK
 PATENT ASSIGNEE(S): U.S., 42 pp., Cont.-in-part of U.S. 5,556,977.
 SOURCE: CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------------|----------|
| <u>US 5652242</u> | A | 19970729 | <u>US 1995-457538</u> | 19950601 |
| <u>US 5556977</u> | A | 19960917 | <u>US 1994-218171</u> | 19940328 |
| <u>EP 825184</u> | A1 | 19980225 | <u>EP 1997-117909</u> | 19940328 |
| <u>EP 825184</u> | B1 | 20010620 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE | | | | |
| <u>CA 2194397</u> | AA | 19961205 | <u>CA 1996-2194397</u> | 19960528 |
| <u>WO 9638416</u> | A1 | 19961205 | <u>WO 1996-GB1260</u> | 19960528 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT,
LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML | | | | |
| <u>AU 9658272</u> | A1 | 19961218 | <u>AU 1996-58272</u> | 19960528 |
| <u>AU 710105</u> | B2 | 19990916 | | |
| <u>GB 2304340</u> | A1 | 19970319 | <u>GB 1996-27127</u> | 19960528 |
| <u>GB 2304340</u> | B2 | 19980729 | | |
| <u>EP 796247</u> | A1 | 19970924 | <u>EP 1996-919906</u> | 19960528 |
| R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL,
PT, SE | | | | |

| | | | | |
|--------------------|-----------|-----------------|-------------------------|-----------------|
| <u>BR 9606409</u> | <u>A</u> | <u>19970930</u> | <u>BR 1996-6409</u> | <u>19960528</u> |
| <u>DE 19680509</u> | <u>T</u> | <u>19971204</u> | <u>DE 1996-19680509</u> | <u>19960528</u> |
| <u>JP 09512836</u> | <u>T2</u> | <u>19971222</u> | <u>JP 1996-536281</u> | <u>19960528</u> |
| <u>JP 2885941</u> | <u>B2</u> | <u>19990426</u> | | |
| <u>AT 9609005</u> | <u>A</u> | <u>19991215</u> | <u>AT 1996-9005</u> | <u>19960528</u> |
| <u>AT 406675</u> | <u>B</u> | <u>20000725</u> | | |
| <u>ES 2137886</u> | <u>A1</u> | <u>19991216</u> | <u>ES 1997-50006</u> | <u>19960528</u> |
| <u>ES 2137886</u> | <u>B1</u> | <u>20000816</u> | | |
| <u>CH 691808</u> | <u>A</u> | <u>20011031</u> | <u>CH 1997-224</u> | <u>19960528</u> |
| <u>ZA 9604509</u> | <u>A</u> | <u>19961202</u> | <u>ZA 1996-4509</u> | <u>19960531</u> |
| <u>NL 1003243</u> | <u>C2</u> | <u>19961204</u> | <u>NL 1996-1003243</u> | <u>19960531</u> |
| <u>FR 2734818</u> | <u>A1</u> | <u>19961206</u> | <u>FR 1996-6747</u> | <u>19960531</u> |
| <u>FR 2734818</u> | <u>B1</u> | <u>19980710</u> | | |
| <u>BE 1009520</u> | <u>A5</u> | <u>19970401</u> | <u>BE 1996-491</u> | <u>19960531</u> |
| <u>US 5750754</u> | <u>A</u> | <u>19980512</u> | <u>US 1996-658097</u> | <u>19960604</u> |
| <u>SE 9700203</u> | <u>A</u> | <u>19970124</u> | <u>SE 1997-203</u> | <u>19970124</u> |
| <u>SE 510812</u> | <u>C2</u> | <u>19990628</u> | | |
| <u>FI 9700393</u> | <u>A</u> | <u>19970130</u> | <u>FI 1997-393</u> | <u>19970130</u> |
| <u>DK 9700106</u> | <u>A</u> | <u>19970401</u> | <u>DK 1997-106</u> | <u>19970130</u> |
| <u>NO 9700437</u> | <u>A</u> | <u>19970220</u> | <u>NO 1997-437</u> | <u>19970131</u> |
| <u>NO 307460</u> | <u>B1</u> | <u>20000410</u> | | |
| <u>US 5728701</u> | <u>A</u> | <u>19980317</u> | <u>US 1997-820003</u> | <u>19970318</u> |
| <u>GR 3036640</u> | <u>T3</u> | <u>20011231</u> | <u>GR 2001-401498</u> | <u>20010918</u> |

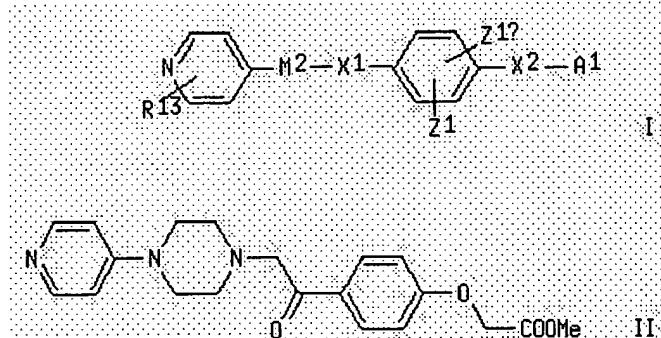
PRIORITY APPLN. INFO.:

| | | |
|-----------------------|-----------|-----------------|
| <u>GB 1993-6453</u> | <u>A</u> | <u>19930329</u> |
| <u>GB 1993-25605</u> | <u>A</u> | <u>19931215</u> |
| <u>US 1994-218171</u> | <u>A2</u> | <u>19940328</u> |
| <u>GB 1993-6451</u> | <u>A</u> | <u>19930329</u> |
| <u>GB 1993-25610</u> | <u>A</u> | <u>19931215</u> |
| <u>EP 1994-910494</u> | <u>A3</u> | <u>19940328</u> |
| <u>US 1995-457538</u> | <u>A</u> | <u>19950601</u> |
| <u>GB 1995-18188</u> | <u>A</u> | <u>19950907</u> |
| <u>WO 1996-GB1260</u> | <u>W</u> | <u>19960528</u> |

OTHER SOURCE(S):

MARPAT 127:190753

GI



AB The title compds. [I; M2 = NR3 (wherein R3 = H, C1-4 alkyl), etc.; X1 = a bond, C1-4 alkylene, C2-4 alkylene, etc.; Z1, Z1a = H, OH, halo, etc.; X2 = a bond, C1-4 alkylene, C2-4 alkylene, etc.; A1 = COOH, a metabolically stable ester, amide; R13 = H, C1-4 alkyl, C1-4 alkoxy, halo] and their pharmaceutically acceptable salts, useful as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa, were prepd. and formulated. Thus, reaction of Me 4-bromoacetylphenoxyacetate with 1-(4-pyridyl)piperazine in MeCN afforded the title compd. II which showed pIC50 of 7.2 against platelet aggregation.

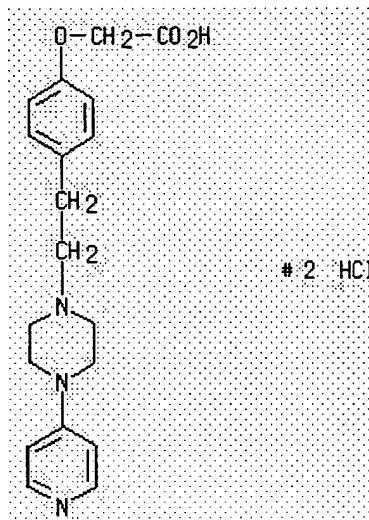
IT 166951-67-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prep. of heterocyclic derivs. as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa)

RN 166951-67-3 HCAPLUS

CN Acetic acid, [4-[2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



L5 ANSWER 21 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Citations
 Text References

ACCESSION NUMBER:

1997:446484 HCAPLUS

DOCUMENT NUMBER:

127:171078

TITLE:

Selective endothelin A receptor ligands. 1. Discovery and structure-activity of 2,4-disubstituted benzoic acid derivatives

AUTHOR(S):

Astles, P. C.; Brown, T. J.; Handscombe, C. M.; Harper, M. F.; Harris, N. V.; Lewis, R. A.; Lockey, P. M.; McCarthy, C.; McLay, I. M.; Porter, B.; Roach, A. G.; Smith, C.; Walsh, R. J. A.

CORPORATE SOURCE:

Rhone Poulenec Rorer, Dagenham Research Centre, Dagenham, RM10 7XS, UK

SOURCE:

European Journal of Medicinal Chemistry (1997), 32(5), 409-423

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER:

Elsevier

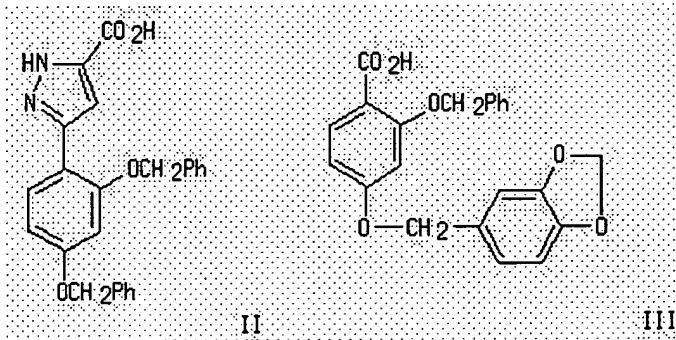
DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI



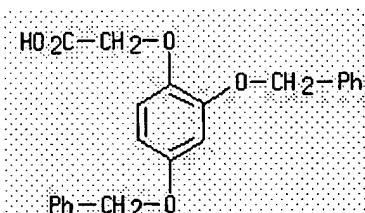
AB This paper describes the discovery of a new non-peptide endothelin A (ETA) selective ligand, 2,4-dibenzylxybenzoic acid (I), which inhibits the binding of [¹²⁵I]ET-1 to ETA receptors with an IC₅₀ of 9 μM (ET-1 = endothelin-1). Optimization of I resulted in compd. II which had an IC₅₀ of 1 μM. One of the analogs of I, compd. III, was examd. in a functional assay and shown to antagonize ET-1-induced contraction of rat aorta. The identification of I was made through the application of ChemDBS-3D searching of our corporate database. The 3D query, using an arom. ring to a carboxylic acid group sepd. by 10.2 ? 1.1 l, was derived from an examn. of common pharmacophoric distances found in the low energy conformations of two known ETA antagonists, the cyclic pentapeptide BO 123 and myriceron caffeoyl ester.

IT 170281-54-6P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(benzoic acid deriv. endothelin A receptor ligand prepn. and structure-activity relationships)

BN 170281-54-6 HCAPLUS

RN 102-03-1 C10H12O2
CN Acetic acid, [2-(4-bis(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

1-5 ANSWER 22 OF 34 HCPIIUS COPYRIGHT 2005 ACS on STN

Full Text Citations References

ACCESSION NUMBER:

1997-400093 HCAPLUS

ACCESSION NUMBER:

127·17681

DOCUMENT NUMBER: 12-11766
TITLE: Five-membered heterocycles [thiazoles, imidazoles, and thiadiazoles], pharmaceutical agents containing them, their use as aggregation inhibitors, and methods for their production

INVENTOR(S) :

Linz, Guenter; Himmelsbach, Frank; Pieper, Helmut;
Austel, Volkhard; Guth, Brian; Weisenberger, Johannes

PATENT ASSIGNEE(S):

Ausser, Volkhard, Geth, Brian
Dr. Karl Thomas Grubb Germany

PATENT
NAME

Dr. Raff Thomas Gwin, Esq.
PCB Int. Appl. 130 pp.

SOURCE:

PCT INC. APP
GODEN: RIVYR

DOCUMENT TYPE:

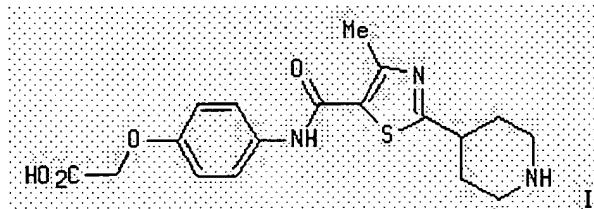
CODEN: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------------|-----------------|
| <u>WO 9715567</u> | A1 | 19970501 | <u>WO 1996-EP4390</u> | <u>19961010</u> |
| W: CA, JP, MX
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| <u>DE 19539091</u> | A1 | 19970424 | <u>DE 1995-19539091</u> | <u>19951020</u> |
| <u>DE 19548798</u> | A1 | 19970703 | <u>DE 1995-19548798</u> | <u>19951227</u> |
| <u>EP 858457</u> | A1 | 19980819 | <u>EP 1996-934603</u> | <u>19961010</u> |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI | | | | |
| <u>JP 11513382</u> | T2 | 19991116 | <u>JP 1996-513786</u> | <u>19961010</u> |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>DE 1995-19539091</u> | A 19951020 |
| | | | <u>DE 1995-19548798</u> | A 19951227 |
| | | | <u>WO 1996-EP4390</u> | W 19961010 |

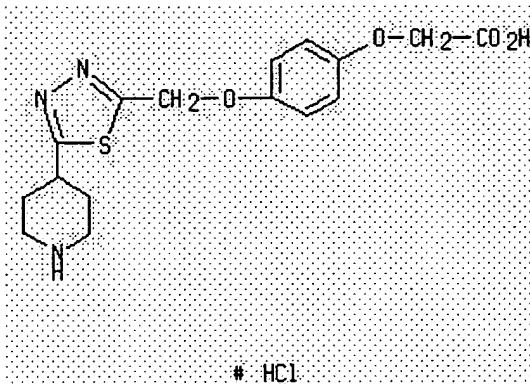
OTHER SOURCE(S): MARPAT 127:17681
GI

AB Disclosed are certain five-membered heterocycles, their tautomers, stereoisomers, mixts., and salts, having valuable pharmacol. properties, esp. cellular aggregation-inhibiting properties. Also disclosed are pharmaceutical agents contg. the compds., their use, and methods of producing them. The compds. have antiinflammatory, osteoporosis-inhibiting, antithrombotic, antiaggregatory, and tumor- and metastasis-inhibiting properties. Prepns. of approx. 100 invention compds. and 60 intermediates are described, and six std. pharmaceutical formulations are given. The example compd. I.HBr had an EC50 of 0.13 μ M for inhibition of collagen-induced platelet aggregation in vitro.

IT 190515-14-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of five-membered heterocycles as aggregation inhibitors)

RN 190515-14-1 HCPLUS

CN Acetic acid, [4-[[5-(4-piperidinyl)-1,3,4-thiadiazol-2-yl]methoxy]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



L5 ANSWER 23 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full [Chemical](#)
 Text References

ACCESSION NUMBER:

1997:97157 HCAPLUS

DOCUMENT NUMBER:

126:157280

TITLE:

Preparation of aromatic alcanoic acid and alkanol derivatives as antithrombotics

INVENTOR(S):

Hashizume, Hiroichi; Hagiwara, Masaki; Myamae, Tetsuhisa; Ogawa, Masaji; Ppongo, Tomoko; Morikawa, Tadanori

PATENT ASSIGNEE(S):

Fuji Yakuhin Kogyo Kk, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 17 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

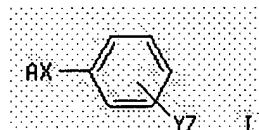
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PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------|-----------|-----------------|-----------------------|-----------------|
| <u>JP 08333287</u> | <u>A2</u> | <u>19961217</u> | <u>JP 1995-158813</u> | <u>19950602</u> |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>JP 1995-158813</u> | <u>19950602</u> |

OTHER SOURCE(S): MARPAT 126:157280

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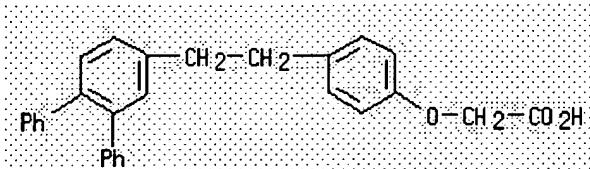
AB The title compds. I [A = (un)substituted benzene, etc.; X, Y = (O- or N-contg.) alkylene; Z = amino, OH, carboxyl, aminocarbonyl, etc.] are prep'd. The title compds. in vitro showed IC50 values of 0.068 to 15.3 μ M against thrombin-induced platelet aggregation.

IT 185995-32-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prep'n. of arom. alcanoic acid and alkanol derivs. as antithrombotics)

RN 185995-32-8 HCAPLUS

CN Acetic acid, [4-(2-[1,1':2',1''-terphenyl]-4'-ylethyl)phenoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 24 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full [Full Text](#)

[References](#)

ACCESSION NUMBER: 1997:15490 HCAPLUS
 DOCUMENT NUMBER: 126:60367
 TITLE: Preparation of aryloxy- and arylthioglutamic acids as excitatory amino acid receptor antagonists
 INVENTOR(S): Heinz, Lawrence J.; Lunn, William H. W.; Schoepp, Darryle D.
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 161,830, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------------|-------------|
| <u>US 5576323</u> | A | 19961119 | <u>US 1994-322632</u> | 19941013 |
| <u>ZA 9409405</u> | A | 19960528 | <u>ZA 1994-9405</u> | 19941128 |
| <u>CA 2136904</u> | AA | 19950604 | <u>CA 1994-2136904</u> | 19941129 |
| <u>NO 9404578</u> | A | 19950606 | <u>NO 1994-4578</u> | 19941129 |
| <u>AU 9479151</u> | A1 | 19950608 | <u>AU 1994-79151</u> | 19941130 |
| <u>AU 676781</u> | B2 | 19970320 | | |
| <u>BR 9404809</u> | A | 19950801 | <u>BR 1994-4809</u> | 19941201 |
| <u>FI 9405704</u> | A | 19950604 | <u>FI 1994-5704</u> | 19941202 |
| <u>EP 658539</u> | A1 | 19950621 | <u>EP 1994-308949</u> | 19941202 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| <u>HU 69181</u> | A2 | 19950828 | <u>HU 1994-3469</u> | 19941202 |
| <u>CN 1108240</u> | A | 19950913 | <u>CN 1994-119360</u> | 19941202 |
| <u>JP 07267908</u> | A2 | 19951017 | <u>JP 1994-299390</u> | 19941202 |
| <u>US 5843997</u> | A | 19981201 | <u>US 1996-626447</u> | 19960402 |
| PRIORITY APPLN. INFO.: | | | US 1993-161830 | B2 19931203 |
| | | | US 1994-322632 | A 19941013 |

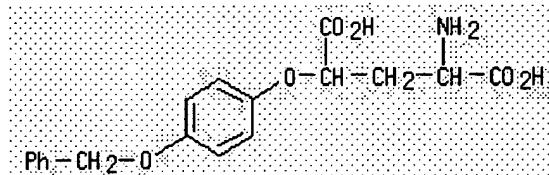
OTHER SOURCE(S): MARPAT 126:60367

AB Novel compds. R₃pX₃mX₂sX₁nCH(CO₂R₂)(CH₂)_rCH(NH₂)CO₂R₁ [R₁, R₂ = H, protective group, R₃, X₂ = (un)substituted aryl or heterocyclyl group, X₁ = NH₂ or substituted amino, O, S, X₃ = alkylene, alkenediyl, oxoalkylene, oxyalkylene, etc., m, n, s = 0, 1, p = 0-3, q = 0-6, r = 1, 2] or their pharmaceutically acceptable salts were prep'd. as antagonists of excitatory amino acid receptors. Thus, Me 3-hydroxy-2-pyrrolidone-5-carboxylate was prep'd. in 4 steps from cyclopentadiene and benzyl N-hydroxycarbamate and etherified with phenol and treated with LiOH in H₂O-THF to afford 4-phenoxyglutamic acid. The latter at 10 µM concn. gave 88.0% displacement of 3H-glutamate binding from rat brain cell membranes. Formulation contg. the title compds. are given.

IT 170012-28-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prep'n. of aryloxy- and arylthioglutamic acids as excitatory amino acid

receptor antagonists)
RN 170012-28-9 HCAPLUS
CN Glutamic acid, 4-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



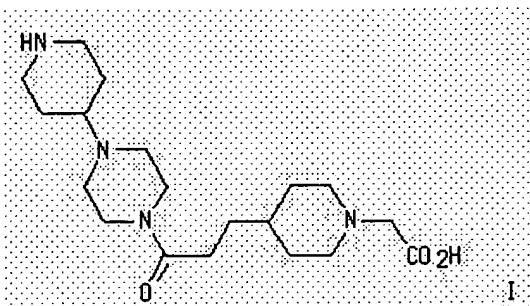
L5 ANSWER 25 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Text References

ACCESSION NUMBER: 1996:531795 HCAPLUS
DOCUMENT NUMBER: 125:195688
TITLE: Preparation of 1-(piperazinocarbonyl)piperidine-4-alkanoates and analogs as cell aggregation inhibitors
INVENTOR(S): Pieper, Helmut; Austel, Volkhard; Himmelsbach, Frank; Linz, Guenter; Guth, Brian; Weisenberger, Johannes
PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------------|-----------------|
| <u>WO 9620173</u> | A1 | 19960704 | <u>WO 1995-EP5031</u> | <u>19951219</u> |
| W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE,
KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL,
RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, UG, UZ, VN | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE,
IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR,
NE, SN, TD, TG | | | | |
| <u>DE 4446301</u> | A1 | 19960627 | <u>DE 1994-4446301</u> | <u>19941223</u> |
| <u>DE 19526678</u> | A1 | 19970123 | <u>DE 1995-19526678</u> | <u>19950721</u> |
| <u>DE 19533639</u> | A1 | 19970313 | <u>DE 1995-19533639</u> | <u>19950912</u> |
| <u>AU 9644324</u> | A1 | 19960719 | <u>AU 1996-44324</u> | <u>19951219</u> |
| <u>EP 799202</u> | A1 | 19971008 | <u>EP 1995-943168</u> | <u>19951219</u> |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV | | | | |
| <u>BR 9510360</u> | A | 19971223 | <u>BR 1995-10360</u> | <u>19951219</u> |
| <u>JP 10511374</u> | T2 | 19981104 | <u>JP 1995-520188</u> | <u>19951219</u> |
| <u>ZA 9510956</u> | A | 19970623 | <u>ZA 1995-10956</u> | <u>19951227</u> |
| <u>FI 9702646</u> | A | 19970819 | <u>FI 1997-2646</u> | <u>19970619</u> |
| <u>NO 9702881</u> | A | 19970620 | <u>NO 1997-2881</u> | <u>19970620</u> |
| PRIORITY APPLN. INFO.: | | | | |
| | | | <u>DE 1994-4446301</u> | A 19941223 |
| | | | <u>DE 1995-19526678</u> | A 19950721 |
| | | | <u>DE 1995-19533639</u> | A 19950912 |
| | | | <u>WO 1995-EP5031</u> | W 19951219 |

OTHER SOURCE(S): MARPAT 125:195688
GI



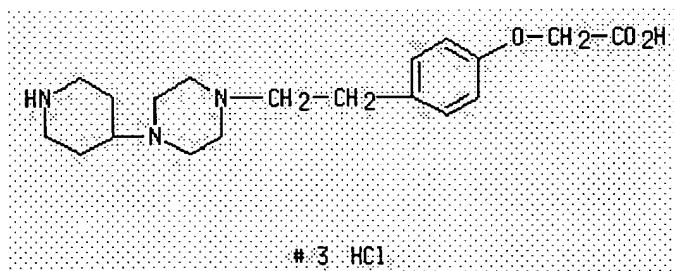
AB R1ZZ1Z2Z3R2 [R1 = 3-pyrrolidinyl, 3- or 4-piperidinyl, 3- or 4-hexahydroazepinyl, etc.; R2 = OH, alkoxy, etc.; Z = (un)substituted piperazine-1,4-diyl; Z1 = CO, alkylene(carbonyl), carbonylalkyleneoxy, etc.; Z2 = cyclohexylen, phenylene, heterocyclylene, etc.; Z3 = (alkylene)carbonyl, CH₂CH(NH₂)CO, carbonyliminoalkylene carbonyl, etc.] were prepd. Thus, title compd. I.3HCl had IC₅₀ of 0.012 and 0.094μM against BIBU 52 binding to, and collagen-induced aggregation of, platelets in vitro.

IT 180530-69-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 1-(piperazinocarbonyl)piperidine-4-alkanoates and analogs as cell aggregation inhibitors)

RN 180530-69-2 HCPLUS

CN Acetic acid, [4-[2-[4-(4-piperidinyl)-1-piperazinyl]ethyl]phenoxy]-, trihydrochloride (9CI) (CA INDEX NAME)



L5 ANSWER 26 OF 34 HCPLUS COPYRIGHT 2005 ACS on STN

Full
Text References

ACCESSION NUMBER:

1996:464318 HCPLUS

DOCUMENT NUMBER:

125:114673

TITLE:

Preparation of benzyloxyphenylalkylbenzoates and related compounds as analgesics and prostaglandin antagonists

INVENTOR(S):

Breault, Gloria Ann; Oldfield, John; Tucker, Howard; Warner, Peter

PATENT ASSIGNEE(S):

Zeneca Limited, UK

SOURCE:

PCT Int. Appl., 172 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|-------|-------|-----------------|-------|
| ----- | ----- | ----- | ----- | ----- |

| | | | |
|---|--|-----------------------|-----------------|
| <u>WO 9611902</u> | <u>A1 19960425</u> | <u>WO 1995-GB2417</u> | <u>19951012</u> |
| W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ | RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | |
| <u>ZA 9508622</u> | <u>A 19960412</u> | <u>ZA 1995-8622</u> | <u>19951012</u> |
| <u>AU 9536162</u> | <u>A1 19960506</u> | <u>AU 1995-36162</u> | <u>19951012</u> |
| <u>EP 733033</u> | <u>A1 19960925</u> | <u>EP 1995-933542</u> | <u>19951012</u> |
| <u>EP 733033</u> | <u>B1 19991222</u> | | |
| R: CH, DE, FR, GB, IT, LI | | | |
| <u>JP 09511529</u> | <u>T2 19971118</u> | <u>JP 1995-513027</u> | <u>19951012</u> |
| <u>US 5811459</u> | <u>A 19980922</u> | <u>US 1996-647977</u> | <u>19960604</u> |
| <u>PRIORITY APPLN. INFO.:</u> | | | |
| | | <u>GB 1994-20557</u> | A 19941012 |
| | | <u>WO 1995-GB2417</u> | W 19951012 |

OTHER SOURCE(S): MARPAT 125:114673

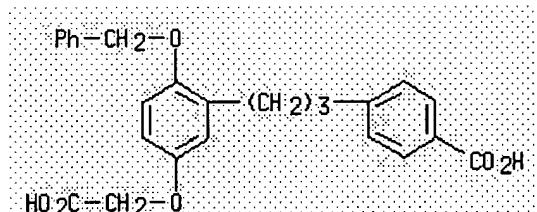
AB Ortho-substituted Ph, naphthyl, and heterocyclic ethers (> 600 compds.) were prepd. for use in treating pain mediated by the E-type prostaglandins (no data). Thus, 2-PhCH₂OCH₂H₄(CH₂)₃C₆H₄CO₂H-4 was prepd. from 2-HOC₆H₄Ac and 4-OCHC₆H₄CO₂Me in 5 steps.

IT 179252-70-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of benzyloxyphenylalkylbenzoates and related compds. as analgesics and prostaglandin antagonists)

RN 179252-70-1 HCPLUS

CN Benzoic acid, 4-[3-[5-(carboxymethoxy)-2-(phenylmethoxy)phenyl]propyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 27 OF 34 HCPLUS COPYRIGHT 2005 ACS on STN

Full
 Text References

ACCESSION NUMBER: 1995:994147 HCPLUS
 DOCUMENT NUMBER: 124:55567
 TITLE: Preparation of substituted benzene-derivative endothelin inhibitors
 INVENTOR(S): Astles, Peter Charles; Harper, Mark Francis; Harris, Neil Victor; McLay, Ian McFarlane; Walsh, Roger John Aitchison; Lewis, Richard Alan; Smith, Christopher; Porter, Barry; McCarthy, Clive
 PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Ltd., UK
 SOURCE: PCT Int. Appl., 197 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

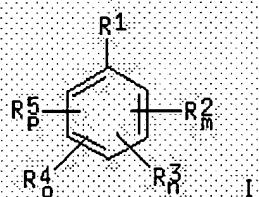
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

| <u>WO 9513262</u> | <u>A1</u> | <u>19950518</u> | <u>WO 1994-GB2499</u> | <u>19941114</u> |
|---|-----------|-----------------|------------------------|-----------------|
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN | | | | |
| RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| <u>CA 2176363</u> | <u>AA</u> | <u>19950518</u> | <u>CA 1994-2176363</u> | <u>19941114</u> |
| <u>AU 9481498</u> | <u>A1</u> | <u>19950529</u> | <u>AU 1994-81498</u> | <u>19941114</u> |
| <u>ZA 9409035</u> | <u>A</u> | <u>19960514</u> | <u>ZA 1994-9035</u> | <u>19941114</u> |
| <u>EP 728128</u> | <u>A1</u> | <u>19960828</u> | <u>EP 1995-900842</u> | <u>19941114</u> |
| <u>EP 728128</u> | <u>B1</u> | <u>19980916</u> | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| <u>JP 09505043</u> | <u>T2</u> | <u>19970520</u> | <u>JP 1995-513704</u> | <u>19941114</u> |
| <u>AT 171158</u> | <u>E</u> | <u>19981015</u> | <u>AT 1995-900842</u> | <u>19941114</u> |
| <u>ES 2123941</u> | <u>T3</u> | <u>19990116</u> | <u>ES 1995-900842</u> | <u>19941114</u> |
| <u>US 6211234</u> | <u>B1</u> | <u>20010403</u> | <u>US 1997-640922</u> | <u>19970627</u> |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>GB 1993-23382</u> | A 19931112 |
| | | | <u>GB 1994-3363</u> | A 19940222 |
| | | | <u>GB 1994-10750</u> | A 19940527 |
| | | | <u>WO 1994-GB2499</u> | W 19941114 |

OTHER SOURCE(S):

MARPAT 124:55567

GI



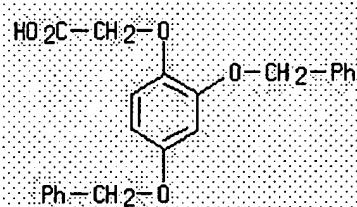
AB The title compds. [I; R1 = H, (un)substituted hydroxyalkyl, carboxyalkyl, CN, NO₂, (un)substituted alkoxy, etc.; R2 = arylalkoxy, heteroarylalkoxy, arylalkylthio, etc.; R3 = HO, alkoxy, aryloxy, etc.; R4 = (un)substituted alkyl or alkenyl; R5 = alkyl, alkenyl, halogen; m-p = 0, 1], useful as endothelin inhibitors (no data) for the treatment of diseases modulated by inhibiting endothelin (no data), are prep'd. Thus, Me 2-benzyloxy-4-(4-chlorobenzyloxy)benzoate was sapond., producing 2-benzyloxy-4-(4-chlorobenzyloxy)benzoic acid, m.p. 150-152?, in 44% yield.

IT 170281-54-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted benzene endothelin inhibitors)

RN 170281-54-6 HCPLUS

CN Acetic acid, [2,4-bis(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 28 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full
Text

ACCESSION NUMBER: 1995:905329 HCAPLUS
 DOCUMENT NUMBER: 123:314527
 TITLE: Preparation of aryloxyglutamates and related compounds as excitatory amino acid receptor antagonists.
 INVENTOR(S): Heinz, Lawrence J.; Lunn, William Henry Walker;
 Schoepp, Darryle Darwin
 PATENT ASSIGNEE(S): Eli Lilly and Co., USA
 SOURCE: Eur. Pat. Appl., 52 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------------|------------|
| <u>EP 658539</u> | A1 | 19950621 | <u>EP 1994-308949</u> | 19941202 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| <u>US 5576323</u> | A | 19961119 | <u>US 1994-322632</u> | 19941013 |
| PRIORITY APPLN. INFO.: | | | <u>US 1993-161830</u> | A 19931203 |
| | | | <u>US 1994-322632</u> | A 19941013 |

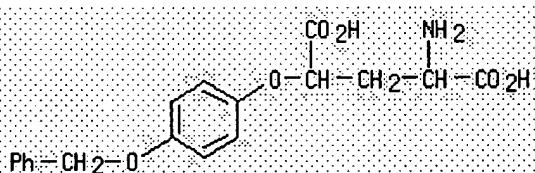
OTHER SOURCE(S): CASREACT 123:314527; MARPAT 123:314527
 AB H₂NCH(CO₂R₃)(CH₂)_rCH(CO₂R₄)Zn(R₁)sWm(R₂)p [Z = NR₅, O, S; W = CH₃-p, (CH₂)_q, CH:CHCO, (CH₂)_qO, NR₅, O, S, SO, SO₂, etc.; m, n, s = 0, 1; p = 0-3; q = 0-6; r = 1, 2; m + n + p + s ?1; R₁, R₂ = (substituted) aryl, heterocyclyl; R₃, R₄ = H, protecting group; R₅ = H, alkyl, acyl, alkylsulfonyl; with provisos], were prep'd. Thus, Me 3-hydroxy-2-pyrrolidone-5-carboxylate (prepn. given) was treated with Ph₃P, 2-naphthalenethiol, and di-Et azodicarboxylate in THF at 0° to give Me 3-(2-naphthalenethio)-2-pyrrolidone-5-carboxylate. The latter was treated with LiOH in THF/H₂O to give 3-(2-naphthalenethio)glutamic acid. This at 100 μM gave 100.6% displacement of [³H]-Glu from crude rat forebrain membrane preps.

IT 170012-28-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of aryloxyglutamates and related compds. as excitatory amino acid receptor antagonists)

RN 170012-28-9 HCAPLUS

CN Glutamic acid, 4-[4-(phenylmethoxy)phenoxy] (9CI) (CA INDEX NAME)



L5 ANSWER 29 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full
Text

ACCESSION NUMBER: 1995:810381 HCAPLUS
 DOCUMENT NUMBER: 123:227994
 TITLE: Heterocyclic derivatives as platelet aggregation inhibitors

INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney

PATENT ASSIGNEE(S): Zeneca Ltd., UK

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

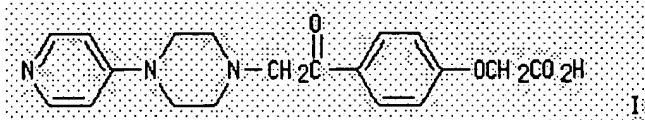
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-----------------------|------------------------|----------|
| <u>WO 9422834</u> | A1 | 19941013 | <u>WO 1994-GB647</u> | 19940328 |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| <u>CA 2156070</u> | AA | 19941013 | <u>CA 1994-2156070</u> | 19940328 |
| <u>AU 9462889</u> | A1 | 19941024 | <u>AU 1994-62889</u> | 19940328 |
| <u>AU 692438</u> | B2 | 19980611 | | |
| <u>EP 691959</u> | A1 | 19960117 | <u>EP 1994-910494</u> | 19940328 |
| <u>EP 691959</u> | B1 | 19980722 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| <u>BR 9406613</u> | A | 19960206 | <u>BR 1994-6613</u> | 19940328 |
| <u>HU 72088</u> | A2 | 19960328 | <u>HU 1995-2290</u> | 19940328 |
| <u>CN 1120334</u> | A | 19960410 | <u>CN 1994-191664</u> | 19940328 |
| <u>JP 08508291</u> | T2 | 19960903 | <u>JP 1994-521810</u> | 19940328 |
| <u>EP 825184</u> | A1 | 19980225 | <u>EP 1997-117909</u> | 19940328 |
| <u>EP 825184</u> | B1 | 20010620 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE | | | | |
| <u>AT 168678</u> | E | 19980815 | <u>AT 1994-910494</u> | 19940328 |
| <u>ES 2119184</u> | T3 | 19981001 | <u>ES 1994-910494</u> | 19940328 |
| <u>RU 2142944</u> | C1 | 19991220 | <u>RU 1995-122602</u> | 19940328 |
| <u>IL 109144</u> | A1 | 20000229 | <u>IL 1994-109144</u> | 19940328 |
| <u>AT 202345</u> | E | 20010715 | <u>AT 1997-117909</u> | 19940328 |
| <u>ES 2159798</u> | T3 | 20011016 | <u>ES 1997-117909</u> | 19940328 |
| <u>PT 825184</u> | T | 20011130 | <u>PT 1997-117909</u> | 19940328 |
| <u>FI 9504616</u> | A | 19950928 | <u>FI 1995-4616</u> | 19950928 |
| <u>NO 9503837</u> | A | 19950928 | <u>NO 1995-3837</u> | 19950928 |
| <u>US 5750754</u> | A | 19980512 | <u>US 1996-658097</u> | 19960604 |
| <u>GR 3036640</u> | T3 | 20011231 | <u>GR 2001-401498</u> | 20010918 |
| PRIORITY APPLN. INFO.: | | | | |
| | | <u>GB 1993-6453</u> | A | 19930329 |
| | | <u>GB 1993-25605</u> | A | 19931215 |
| | | <u>GB 1993-6451</u> | A | 19930329 |
| | | <u>GB 1993-25610</u> | A | 19931215 |
| | | <u>EP 1994-910494</u> | A3 | 19940328 |
| | | <u>WO 1994-GB647</u> | W | 19940328 |
| | | <u>GB 1995-18188</u> | A | 19950907 |

OTHER SOURCE(S): MARPAT 123:227994
GI



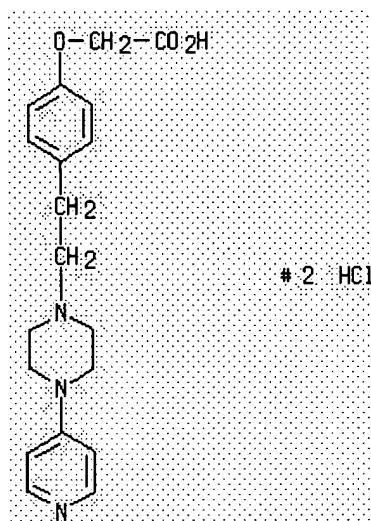
AB Pyridine derivs. and metabolically labile esters and amides thereof were disclosed as pharmaceuticals. The compds. are useful as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa. A specifically claimed compd. is 4-[2-[4-(4-pyridinyl)-1-piperazinyl]acetyl]phenoxyacetic acid (I).

IT **166951-67-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of pyridine compds. platelet aggregation inhibitors)

RN 166951-67-3 HCAPLUS

CN Acetic acid, [4-[2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



L5 ANSWER 30 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full **Chemical**
 Text References

ACCESSION NUMBER: 1995:758624 HCAPLUS
 DOCUMENT NUMBER: 123:169654
 TITLE: Preparation of heterocyclic compounds as platelet aggregation inhibitors
 INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney
 PATENT ASSIGNEE(S): Zeneca Ltd., UK
 SOURCE: PCT Int. Appl., 236 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

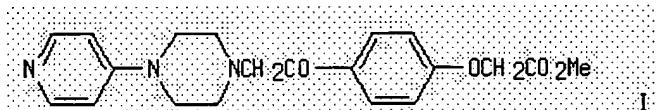
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------|------|----------|----------------------|----------|
| <u>WO 9422835</u> | A2 | 19941013 | <u>WO 1994-GB648</u> | 19940328 |
| <u>WO 9422835</u> | A3 | 19941222 | | |

W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,

BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
CA 2155307 AA 19941013 CA 1994-2155307 19940328
AU 9462890 A1 19941024 AU 1994-62890 19940328
AU 692439 B2 19980611
EP 690847 A1 19960110 EP 1994-910495 19940328
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
JP 08509967 T2 19961022 JP 1994-521811 19940328
JP 3088016 B2 20000918
US 5750754 A 19980512 US 1996-658097 19960604
PRIORITY APPLN. INFO.:

GB 1993-6451 A 19930329
GB 1993-25610 A 19931215
GB 1993-6453 A 19930329
GB 1993-25605 A 19931215
WO 1994-GB648 W 19940328
GB 1995-18188 A 19950907

OTHER SOURCE(S): MARPAT 123:169654
GI



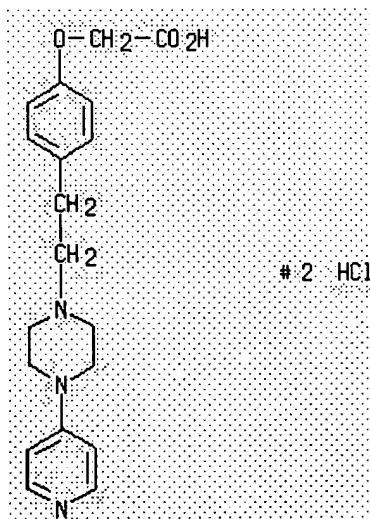
AB Title compds. [I; (M_1) n Q(M_2) $1-n$ LA wherein = 0, 1; M_1 = amino; Q = N-heterocyclyl; M_2 = imino; L = template; A = an acidic group, or ester, amide deriv., sulfonamide] and pharmaceutically acceptable salts and pro-drugs thereof are prepd. Me 4-(bromoacetyl)phenoxyacetate in MeCN was added to 1-(4-pyridyl)piperazine in MeCN to give the title compd II. Platelet aggregation inhibition was demonstrated by I. Pharmaceutical formulations comprising I are given.

IT 166951-67-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heterocyclic compds. as platelet aggregation inhibitors)

RN 166951-67-3 HCPLUS

CN Acetic acid, [4-[2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

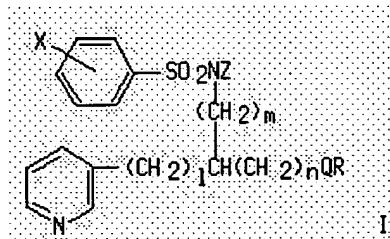


Full
Text
REFERENCES

ACCESSION NUMBER: 1993:6872 HCPLUS
 DOCUMENT NUMBER: 118:6872
 TITLE: Preparation of N-(3-pyridylalkyl)sulfonamide derivatives as drugs
 INVENTOR(S): Ohnishi, Hiroyuki; Miyakoshi, Masazumi; Isozaki, Masashi; Fujitake, Masayuki; Mikami, Naoya; Yanoshita, Ryohei; Akasofu, Harue; Sugizaki, Katsuyoshi; Nakata, Nobuyuki
 PATENT ASSIGNEE(S): Terumo Corp., Japan
 SOURCE: Eur. Pat. Appl., 47 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------|-----------|-----------------|-----------------------|-----------------|
| <u>EP 501876</u> | <u>A1</u> | <u>19920902</u> | <u>EP 1992-400487</u> | <u>19920225</u> |
| R: BE, CH, DE, FR, GB, IT, LI, NL, SE | | | | |
| <u>JP 04270265</u> | <u>A2</u> | <u>19920925</u> | <u>JP 1991-114154</u> | <u>19910225</u> |
| <u>JP 05043546</u> | <u>A2</u> | <u>19930223</u> | <u>JP 1991-200650</u> | <u>19910809</u> |
| <u>JP 05043547</u> | <u>A2</u> | <u>19930223</u> | <u>JP 1991-200651</u> | <u>19910809</u> |
| <u>US 5374641</u> | <u>A</u> | <u>19941220</u> | <u>US 1992-840165</u> | <u>19920224</u> |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>JP 1991-114154</u> | A 19910225 |
| | | | <u>JP 1991-200650</u> | A 19910809 |
| | | | <u>JP 1991-200651</u> | A 19910809 |

OTHER SOURCE(S): MARPAT 118:6872
 GI



AB Title compds. I [X = H, HO, halo, O2N, cyano, alkyl, alkoxy; R = R1O, R2O2C(CH2)aO, R3O2CO, R6O2C(R5)C:C(R4), R7O2C(CH2)b wherein R1-R7 = H, alkyl; a, b 0-4; Q = 1,4-phenylene, certain divalent heterocycll; Z = H, alkyl, alkoxy carbonyl, PhCH2O2C, OCH; l, m, n = 0-4] or salts thereof, useful as TXA2 prodn. inhibitors, TXA2 antagonists, prostaglandin H2 antagonists, and antithrombotic and antiallergic agents, are prep'd. NCCH2P(O)(OEt)2 was added to a NaOEt-EtOH soln. followed by 4-(methoxymethoxyphenyl) 3-pyridyl ketone to give (E)- and (Z)-3-(4-methoxymethoxyphenyl)-3-(3-pyridyl)acrylonitrile, which were reduced with NaBH4 to the propionitrile; this in MePh was treated with (Me2CHCH2)AlH to give the aldehyde, to which was added Jones reagent to give the propionic acid. The latter in C6H6 was treated with N3P(O)(OPh)2 and Et3N, refluxed and treated with PhCH2OH to give the corresponding amine benzyl carbamate, to which in THF was added n-BuLi and 4-ClC6H4SO2Cl to give the sulfonamide; this in 3 steps was converted to the title compd. I (X = 4-Cl, Z = H, l = n = 0, m = 1, Q = 1,4-C6H4, R = EtO2CCH2O) (II).

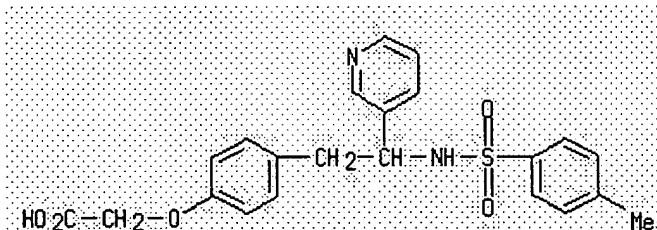
In a test for TXA₂ synthesis inhibition in human platelets, the IC₅₀ of II was 3.3 8-10 μM.

IT 144824-29-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as drug)

RN 144824-29-3 HCPLUS

CN Acetic acid, [4-[2-[(4-methylphenyl)sulfonyl]amino]-2-(3-pyridinyl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)



LS ANSWER 32 OF 34 HCPLUS COPYRIGHT 2005 ACS on STN

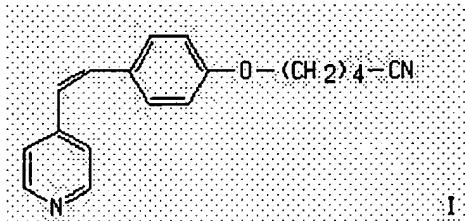
 Full References
 Text

ACCESSION NUMBER: 1992:531082 HCPLUS
 DOCUMENT NUMBER: 117:131082
 TITLE: [(alkoxyphenyl)alkyl]- and [(alkylphenyl)alkyl]pyridines and -pyridine oxides, methods for their preparation and their use as antiallergic agents
 INVENTOR(S): Friebe, Walter Gunar; Kampe, Wolfgang; Linssen, Marcel; Wilhelms, Otto Henning
 PATENT ASSIGNEE(S): Boehringer Mannheim GmbH, Germany
 SOURCE: Ger. Offen., 12 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------------|------------|
| <u>DE 4038335</u> | A1 | 19920604 | <u>DE 1990-4038335</u> | 19901201 |
| <u>CA 2099603</u> | AA | 19920602 | <u>CA 1991-2099603</u> | 19911128 |
| <u>WO 9209598</u> | A1 | 19920611 | <u>WO 1991-EP2249</u> | 19911128 |
| W: AU, BG, BR, CA, CS, FI, HU, JP, KR, NO, PL, RO, SU, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE | | | | |
| <u>AU 9189574</u> | A1 | 19920625 | <u>AU 1991-89574</u> | 19911128 |
| <u>EP 559695</u> | A1 | 19930915 | <u>EP 1991-920436</u> | 19911128 |
| <u>EP 559695</u> | B1 | 19970122 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| <u>JP 06503076</u> | T2 | 19940407 | <u>JP 1992-500329</u> | 19911128 |
| <u>AT 148115</u> | E | 19970215 | <u>AT 1991-920436</u> | 19911128 |
| <u>ES 2097822</u> | T3 | 19970416 | <u>ES 1991-920436</u> | 19911128 |
| <u>US 5399575</u> | A | 19950321 | <u>US 1993-66058</u> | 19930614 |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>DE 1990-4038335</u> | A 19901201 |
| | | | <u>WO 1991-EP2249</u> | A 19911128 |

OTHER SOURCE(S): CASREACT 117:131082; MARPAT 117:131082

GI



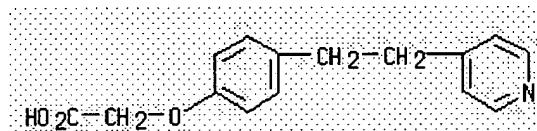
AB Certain [(alkoxyphenyl)alkyl]pyridines, [(alkylphenyl)alkyl]pyridines, or [(alkoxyphenyl)alkyl]pyridine 1-oxides or [(alkylphenyl)alkyl]pyridine 1-oxides are claimed. A process for their prepn. comprises, e.g., the alkylation of a [(hydroxyphenyl)alkyl]pyridine 1-oxide or the phenylation of a methylpyridine 1-oxide deriv. Pharmaceuticals contg. said pyridine derivs. and their use for the treatment of allergies are claimed. Alkylation of 4-[2-(4-hydroxyphenyl)ethenyl]pyridine with bromovaleronitrile gave 5-[4-[2-(4-pyridyl)ethenyl]phenoxy]valeronitrile (I) in 86 yield. The antiallergic activity of I was not tested.

IT **143052-54-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as allergy inhibitor)

RN **143052-54-4** HCAPLUS

CN Acetic acid, [4-[2-(4-pyridinyl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 33 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Clipped
 Text References

ACCESSION NUMBER: 1990:178999 HCAPLUS
 DOCUMENT NUMBER: 112:178999
 TITLE: Morpholines and morpholine N-oxides, medicines containing these compounds and process for their preparation
 INVENTOR(S): Reiffen, Manfred; Mark, Michael; Sauter, Robert; Grell, Wolfgang
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
 SOURCE: Eur. Pat. Appl., 28 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--|----------|------------------------|------------|
| <u>EP 334146</u> | A1 | 19890927 | <u>EP 1989-104376</u> | 19890313 |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| <u>DE 3809775</u> | A1 | 19891005 | <u>DE 1988-3809775</u> | 19880323 |
| <u>JP 01299287</u> | A2 | 19891204 | <u>JP 1989-70300</u> | 19890322 |
| <u>US 5026702</u> | A | 19910625 | <u>US 1989-327665</u> | 19890323 |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>DE 1988-3809775</u> | A 19880323 |
| OTHER SOURCE(S): | CASREACT 112:178999; MARPAT 112:178999 | | | |

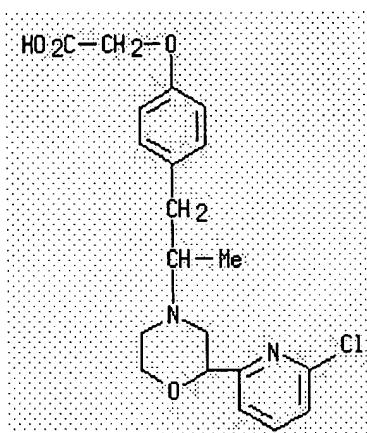
GI For diagram(s), see printed CA Issue.
 AB The title compds. [I; R1 = (halo-, CF₃-, or alkyl-substituted) heteroaryl; R2 = H, OH; R3 = OH, CO₂H, alkoxy carbonyl, carbamoyl, (substituted) alkoxy, vinyl; A = (Me- or Et-substituted) C₂-3 alkylene; X = bond, O; n = 0, 1], useful as platelet aggregation inhibitors, antidiabetics, antiobesity agents, antihyperlipoproteinemics, and anabolic agents, were prepd. Thus, 2-(6-chloropyridin-2-yl)morpholine and 1-(4-carbomethoxymethoxyphenyl)propan-2-one in MeOH were stirred with HOAc and NaBH₃CN to give 84% II. II at 0.3 mg/kg orally in mice reduced blood glucose by 50% and increased blood glycerin by 262%. Numerous formulations of I were given.

IT 126325-27-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as drug)

RN 126325-27-7 HCAPLUS

CN Acetic acid, [4-[2-[2-(6-chloro-2-pyridinyl)-4-morpholinyl]propyl]phenoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 34 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

| | |
|------|------------|
| FULL | 1984 |
| Text | References |

ACCESSION NUMBER: 1984:407021 HCAPLUS
 DOCUMENT NUMBER: 101:7021
 TITLE: Benzo[b]thiophenes
 INVENTOR(S): Ong, Helen H.; Profitt, James A.
 PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA
 SOURCE: U.S., 36 pp. Cont.-in-part of U.S. Ser. No. 198,736, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------|------|----------|-----------------------|----------|
| <u>US 4436748</u> | A | 19840313 | <u>US 1981-256470</u> | 19810422 |
| <u>ES 506228</u> | A1 | 19830101 | <u>ES 1981-506228</u> | 19811014 |
| <u>FI 8103246</u> | A | 19820421 | <u>FI 1981-3246</u> | 19811016 |
| <u>EP 50326</u> | A2 | 19820428 | <u>EP 1981-108387</u> | 19811016 |
| <u>EP 50326</u> | A3 | 19820721 | | |
| <u>EP 50326</u> | B1 | 19860129 | | |

R: AT, BE, CH, DE, FR, GB, IT, NL, SE

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| <u>AU 8176547</u> | <u>A1</u> | <u>19820429</u> | <u>AU 1981-76547</u> | <u>19811016</u> |
| <u>EP 155981</u> | <u>A2</u> | <u>19851002</u> | <u>EP 1984-108392</u> | <u>19811016</u> |
| <u>EP 155981</u> | <u>A3</u> | <u>19851030</u> | | |
| R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE | | | | |
| <u>AT 17726</u> | <u>E</u> | <u>19860215</u> | <u>AT 1981-108387</u> | <u>19811016</u> |
| <u>DK 8104606</u> | <u>A</u> | <u>19820421</u> | <u>DK 1981-4606</u> | <u>19811019</u> |
| <u>NO 8103526</u> | <u>A</u> | <u>19820421</u> | <u>NO 1981-3526</u> | <u>19811019</u> |
| <u>JP 57122080</u> | <u>A2</u> | <u>19820729</u> | <u>JP 1981-165895</u> | <u>19811019</u> |
| <u>ZA 8107216</u> | <u>A</u> | <u>19830223</u> | <u>ZA 1981-7216</u> | <u>19811019</u> |
| <u>HU 26664</u> | <u>O</u> | <u>19830928</u> | <u>HU 1981-3036</u> | <u>19811019</u> |
| <u>CA 1196923</u> | <u>A1</u> | <u>19851119</u> | <u>CA 1981-388259</u> | <u>19811019</u> |
| <u>ES 515436</u> | <u>A1</u> | <u>19840701</u> | <u>ES 1982-515436</u> | <u>19820901</u> |
| <u>ES 524980</u> | <u>A1</u> | <u>19850201</u> | <u>ES 1983-524980</u> | <u>19830816</u> |
| <u>US 4528399</u> | <u>A</u> | <u>19850709</u> | <u>US 1983-558076</u> | <u>19831205</u> |
| <u>US 4537976</u> | <u>A</u> | <u>19850827</u> | <u>US 1983-558074</u> | <u>19831205</u> |
| <u>NO 8404042</u> | <u>A</u> | <u>19820421</u> | <u>NO 1984-4042</u> | <u>19841009</u> |
| <u>NO 8404043</u> | <u>A</u> | <u>19820421</u> | <u>NO 1984-4043</u> | <u>19841009</u> |
| <u>NO 8404957</u> | <u>A</u> | <u>19820421</u> | <u>NO 1984-4957</u> | <u>19841211</u> |
| <u>FI 8501140</u> | <u>A</u> | <u>19850321</u> | <u>FI 1985-1140</u> | <u>19850321</u> |
| <u>FI 8501141</u> | <u>A</u> | <u>19850321</u> | <u>FI 1985-1141</u> | <u>19850321</u> |
| <u>US 4672138</u> | <u>A</u> | <u>19870609</u> | <u>US 1986-825725</u> | <u>19860203</u> |

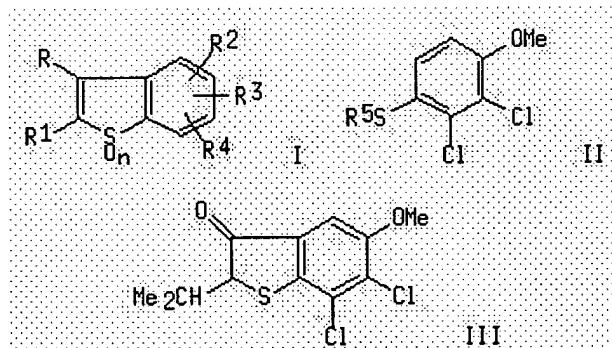
PRIORITY APPLN. INFO.:

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| US 1980-198736 | A2 19801020 |
| US 1981-256470 | A 19810422 |
| EP 1981-108387 | P 19811016 |
| FI 1981-3246 | A 19811016 |
| US 1983-558079 | A1 19831205 |

OTHER SOURCE(S):

CASREACT 101:7021

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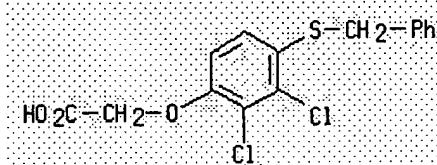


AB Benzothiophenes I [R = H, alkyl, cycloalkyl, (un)substituted Ph; R1 = H, alkanoyl, alkyl, cycloalkyl, formyl, hydroxyalkyl, (un)substituted Ph; R2 = (un)substituted alkoxy; R3, R4 = H, halo, alkyl; n = 0-2] were prepd. Thus, 2,3-Cl₂C₆H₃OMe was chlorosulfonylated and the sulfonyl chloride reduced to give the thiophenol II (R5 = H) which was alkylated with Me₂CHCHBrCO₂H to give the thioether II [R2 = Me₂CH(HO₂C)CH]. The thioether was cyclized using SOCl₂-AlCl₃ to give benzothiophenone III. III was reduced to the alc. which was dehydrated to give I (R = H, R1 = Me₂CH, R2 = 5-OMe, R3 = 6-Cl, R4 = 7-Cl, n = 0). The latter compd. was demethylated, condensed with BrCH₂CO₂Et, and hydrolyzed to give I (R = H, R1 = Me₂CH, R2 = 5-OCH₂CO₂H, R3 = 6-Cl, R4 = 7-Cl, n = 0); IV. IV was oxidized with 3-ClC₆H₄C(O)OOH to give the sulfone (V). At 50 mg/kg in spontaneous hypertensive rats, IV and V decreased blood pressure by 41, 33 mm Hg, resp. At 64 mg/kg in rats V increased urine excretion 2.3-fold.

IT 90340-20-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (diuretic activity of)
 RN 90340-20-8 HCAPLUS
 CN Acetic acid, [2,3-dichloro-4-[(phenylmethyl)thio]phenoxy]- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 16:08:19 ON 20 DEC 2005)

FILE 'REGISTRY' ENTERED AT 16:08:25 ON 20 DEC 2005

L1 STRUCTURE uploaded
 L2 50 S L1
 L3 1449 S L2 FULL

FILE 'HCAPLUS' ENTERED AT 16:11:25 ON 20 DEC 2005

L4 102 S L3/THU
 L5 34 S L4 AND PD < JULY 2002

=> s l4 and bell, r?/au
 2688 BELL, R?/AU
 L6 1 L4 AND BELL, R?/AU

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L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Summary
 Text References

ACCESSION NUMBER: 2004:2698 HCAPLUS
 DOCUMENT NUMBER: 140:59519
 TITLE: Preparation of (biphenylalkoxy)- and
 [(phenylpyridyl)alkoxy]-substituted phenylalkanoic
 acids and phenoxyalkanoic acids as hPPAR activators
 for treatment of cardiovascular disease and related
 disorders
 INVENTOR(S): Hamlett, Christopher Charles Frederick; Bell,
 Richard; Beswick, Paul John; Gosmini, Romain Luc
 Marie; King, Nigel Paul; Patel, Vipulkumar Kantibhai
 Smithkline Beecham Corporation, USA
 PATENT ASSIGNEE(S):
 SOURCE: PCT Int. Appl., 158 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2004000315 | A1 | 20031231 | WO 2003-EP6415 | 20030618 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | | |

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
 PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2487909 AA 20031231 CA 2003-2487909 20030618

EP 1513526 A1 20050316 EP 2003-738056 20030618

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003011931 A 20050405 BR 2003-11931 20030618

JP 2005534672 T2 20051117 JP 2004-514761 20030618

NO 2004005328 A 20050309 NO 2004-5328 20041203

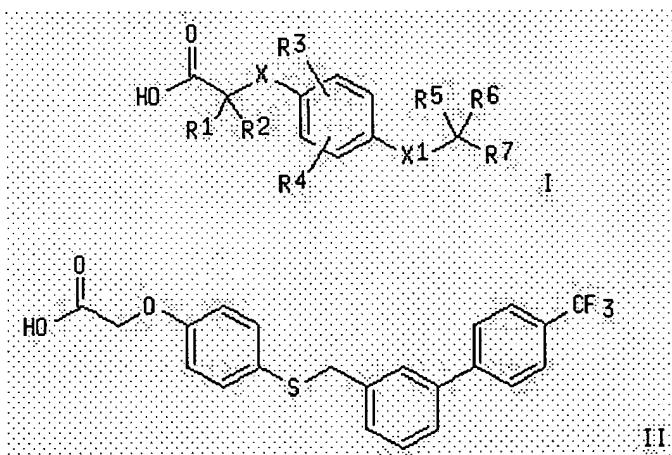
GB 2002-14149 A 20020619

WO 2003-EP6415 W 20030618

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 140:59519

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AB Title compds. I [wherein R1 and R2 = independently H or alkyl; X = O or (CH₂)_n; n = 0-2; R3 R4 = independently H, alkyl, OMe, CF₃, allyl, or halo; X1 = O, S, SO₂, SO, or CH₂; R5 and R6 = independently H, (halo)alkyl, or alkoxyalkyl; or CR5R6 = cycloalkyl; R7 = (un)substituted Ph or 6-membered heteroaryl; and pharmaceutically acceptable salts, solvates, and hydrolyzable esters thereof] were prep'd. as human peroxisome proliferator activated receptor (hPPAR) activators. For example, a mixt. of 3-(bromomethyl)-4'-(trifluoromethyl)biphenyl, Et (4-mercaptop-2-methylphenoxy)acetate, and polymer-supported diisopropylethylamine in DCM was stirred at room temp. overnight to give the thioether. Sapon. of the ester with aq. NaOH in THF and acidification afforded II. Compds. of the invention showed at least 50% activation of hPPAR δ relative to the pos. control at concns. of 10⁻⁷ M or less. Thus, I and their pharmaceutical compns. are useful for the treatment of hPPAR mediated conditions, such as dyslipidemia, syndrome X, heart failure, hypercholesterolemia, cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia, or anorexia nervosa (no data).

IT 638215-22-2P, [[2-Methyl-4-[[[4'-(trifluoromethyl)biphenyl-3-yl]methyl]thio]phenyl]oxy]acetic acid 638215-23-3P,

[[2-Methyl-4-[[[4-methyl-4'-(trifluoromethyl)biphenyl-3-yl]methyl]thio]phenyl]oxy]acetic acid **638215-25-5P**,
 [[2-Methyl-4-[2-[4'-(trifluoromethyl)biphenyl-3-yl]ethyl]phenyl]oxy]acetic acid **638215-26-6P**, [[2-Methyl-4-[[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]methyl]thio]phenyl]oxy]acetic acid **638215-27-7P**,
 [[2-Methyl-4-[[1-[4'-(trifluoromethyl)biphenyl-3-yl]ethyl]thio]phenyl]oxy]acetic acid **638215-28-8P**,
 [[2-Methyl-4-[[1-[4'-(trifluoromethyl)biphenyl-4-yl]ethyl]thio]phenyl]oxy]acetic acid **638215-29-9P**,
 2-Methyl-2-[[2-methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenyl]oxy]propanoic acid **638215-30-2P**,
 [[2-Methyl-4-[[1-[4'-(trifluoromethyl)biphenyl-3-yl]pentyl]oxy]phenyl]oxy]acetic acid **638215-31-3P**,
 [[4-[[1-(4'-Chlorobiphenyl-3-yl)pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-32-4P**, [[2-Methyl-4-[[1-[4'-(trifluoromethyl)biphenyl-4-yl]pentyl]oxy]phenyl]oxy]acetic acid **638215-33-5P**,
 [[4-[[1-(4'-Chlorobiphenyl-4-yl)pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-34-6P**, [[2-Methyl-4-[[1R)-1-[4'-(trifluoromethyl)biphenyl-4-yl]pentyl]thio]phenyl]oxy]acetic acid **638215-35-7P**,
 [[2-Methyl-4-[[1S)-1-[4'-(trifluoromethyl)biphenyl-4-yl]pentyl]thio]phenyl]oxy]acetic acid **638215-36-8P**,
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 [[4-[[1-[6-(4-Fluorophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-56-2P**, [[4-[[1-[6-(4-Cyanophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-57-3P**, [[2-Methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]hexyl]oxy]phenyl]oxy]acetic acid **638215-58-4P**,
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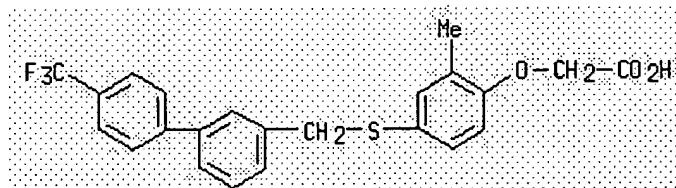
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638215-66-4P, [[4-[[1-[6-(4-Cyanophenyl)-2-pyridinyl]pentyl]oxy]-2-ethylphenyl]oxy]acetic acid **638215-67-5P**, [[2-Ethyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenyl]oxy]acetic acid
638215-69-7P, [[4-[[1R)-1-[6-(4-Chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-70-0P**, [[4-[[1R)-1-[6-(4-Cyanophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-71-1P**, [[2-Methyl-4-[[1R)-1-[6-[4-(methyloxy)phenyl]-2-pyridinyl]pentyl]oxy]phenyl]oxy]acetic acid
638215-72-2P, [[4-[[1R)-1-[6-(4-Acetylphenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-73-3P**, [[4-[[1R)-1-[6-[4-Acetyl-3-(methyloxy)phenyl]-2-pyridinyl]pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-74-4P**, [[4-[[1S)-1-[6-(4-Chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenyl]oxy]acetic acid
638215-75-5P, [[4-[[1S)-1-[6-(4-Cyanophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-76-6P**, [[2-Methyl-4-[[1S)-1-[6-[4-(methyloxy)phenyl]-2-pyridinyl]pentyl]oxy]phenyl]oxy]acetic acid **638215-77-7P**, [[4-[[1S)-1-[6-(4-Acetylphenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-78-8P**, [[4-[[1S)-1-[6-[4-Acetyl-3-(methyloxy)phenyl]-2-pyridinyl]pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-79-9P**, [[2-Methyl-4-[[1R)-3-(methyloxy)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]propyl]oxy]phenyl]oxy]acetic acid **638215-80-2P**, [[4-[[1R)-1-[6-(4-Chlorophenyl)-2-pyridinyl]-3-(methyloxy)propyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-81-3P**, [[2-Methyl-4-[[1S)-3-(methyloxy)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]propyl]oxy]phenyl]oxy]acetic acid **638215-82-4P**, [[4-[[1S)-1-[6-(4-Chlorophenyl)-2-pyridinyl]-3-(methyloxy)propyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-83-5P**, [[4-[[1R)-2-(Ethyloxy)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-84-6P**, [[4-[[1R)-2-(Ethyloxy)-1-[6-[4-(methyloxy)phenyl]-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-85-7P**, [[4-[[1R)-1-[6-(4-Acetylphenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-86-8P**, [[4-[[1R)-1-[6-(4-Cyanophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-87-9P**, [[4-[[1R)-1-[6-(4-Chlorophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-88-0P**, [[4-[[1S)-2-(Ethyloxy)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-89-1P**, [[4-[[1S)-2-(Ethyloxy)-1-[6-[4-(methyloxy)phenyl]-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-90-4P**, [[4-[[1S)-1-[6-(4-Acetylphenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-91-5P**, [[4-[[1S)-1-[6-(4-Cyanophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-92-6P**, [[4-[[1S)-1-[6-(4-Chlorophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-93-7P**, [[4-[[1R)-2-(Ethyloxy)-1-[6-(3-fluoro-4-methylphenyl)-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-94-8P**, [[4-[[1R)-2-(Ethyloxy)-1-[6-(4-methylphenyl)-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-95-9P**, [[4-[[1R)-2-(Ethyloxy)-1-[6-(4-(1-methylethyl)phenyl)-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid

methylphenyl]oxy]acetic acid **638215-96-0P**, [[4-[[[(1R)-1-[6-(4-Cyano-3-fluorophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-97-1P**, [[4-[[[(1R)-2-(Ethyloxy)-1-[6-[4-(ethyloxy)phenyl]-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-98-2P**, [[4-[[[(1R)-2-(Ethyloxy)-1-[6-(2-fluoro-4-methylphenyl)-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638215-99-3P**, [[4-[[[(1R)-2-(Ethyloxy)-1-[6-(4-fluorophenyl)-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-00-9P**, [[4-[[[(1R)-2-(Ethyloxy)-1-[6-[4-(1-methylethyl)oxy]phenyl]-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-01-0P**, [[4-[[[(1R)-1-[6-(4-Chloro-3-methylphenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-02-1P**, [[4-[[[(1R)-1-[6-(3-Chloro-4-cyanophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-03-2P**, [[4-[[[(1R)-1-[6-(4-Cyano-3-methylphenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-04-3P**, [[4-[[[(1R)-2-(Ethyloxy)-1-[6-[3-fluoro-4-(methyloxy)phenyl]-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-05-4P**, [[4-[[[(1R)-1-[6-(4-Cyano-2-fluorophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-06-5P**, [[4-[[[(1R)-1-[6-(4-Cyano-2-methylphenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-07-6P**, [[4-[[[(1S)-2-(Ethyloxy)-1-[6-(3-fluoro-4-methylphenyl)-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-08-7P**, [[4-[[[(1S)-2-(Ethyloxy)-1-[6-(4-methylphenyl)-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-09-8P**, [[4-[[[(1S)-2-(Ethyloxy)-1-[6-[4-(1-methylethyl)phenyl]-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-10-1P**, [[4-[[[(1S)-1-[6-(4-Cyano-3-fluorophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-11-2P**, [[4-[[[(1S)-2-(Ethyloxy)-1-[6-[4-(ethyloxy)phenyl]-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-12-3P**, [[4-[[[(1S)-2-(Ethyloxy)-1-[6-(2-fluoro-4-methylphenyl)-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-13-4P**, [[4-[[[(1S)-2-(Ethyloxy)-1-[6-(4-fluorophenyl)-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-14-5P**, [[4-[[[(1S)-2-(Ethyloxy)-1-[6-[4-(1-methylethyl)oxy]phenyl]-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-15-6P**, [[4-[[[(1S)-1-[6-(4-Chloro-3-methylphenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-16-7P**, [[4-[[[(1S)-1-[6-(3-Chloro-4-cyanophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-17-8P**, [[4-[[[(1S)-1-[6-(4-Cyano-3-methylphenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-18-9P**, [[4-[[[(1S)-2-(Ethyloxy)-1-[6-[3-fluoro-4-(methyloxy)phenyl]-2-pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-19-0P**, [[4-[[[(1S)-1-[6-(4-Cyano-2-fluorophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-20-3P**, [[4-[[[(1S)-1-[6-[4-Cyano-3-(methyloxy)phenyl]-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-58-7P**, [[2-Methyl-4-[1-[2-methyl-4'-(trifluoromethyl)biphenyl-3-yl]pentyl]oxy]phenyl]oxy]acetic acid **638216-59-8P**, [[4-[[1-(4'-Chloro-2-methylbiphenyl-3-yl)pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-60-1P**, [[4-[[1-(2,4'-Dimethylbiphenyl-3-yl)pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-61-2P**, [[4-[[1-(4'-Cyano-2-methylbiphenyl-3-yl)pentyl]oxy]-2-methylphenyl]oxy]acetic acid **638216-62-3P**, [[2-Methyl-4-[1-[2-methyl-4'-(methyloxy)biphenyl-3-yl]pentyl]oxy]phenyl]oxy]acetic acid **638216-63-4P**, [[4-[[1-(4'-Fluoro-2-methylbiphenyl-3-yl)pentyl]oxy]-2-

methylphenyl]oxy]acetic acid **638216-64-5P**, [[2-Methyl-4-[[2-(propyloxy)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]ethyl]oxy]phenyl]oxy]acetic acid **638216-65-6P**, [[4-[[2-(Ethyloxy)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]ethyl]thio]-2-methylphenyl]oxy]acetic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (hPPAR activator; prepn. of (aryloxy)phenylalkanoic acids and (aryloxy)phenoxyalkanoic acids as hPPAR activators for treatment of cardiovascular disease and related disorders)

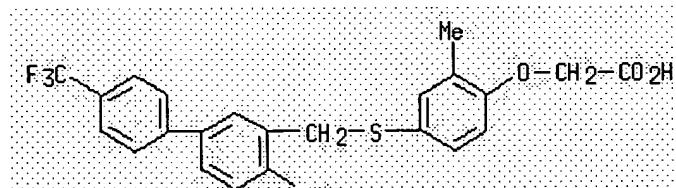
RN **638215-22-2** HCPLUS

CN Acetic acid, [2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



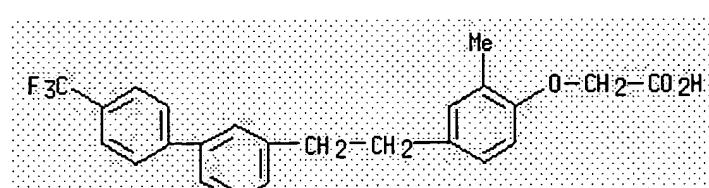
RN **638215-23-3** HCPLUS

CN Acetic acid, [2-methyl-4-[[[4-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



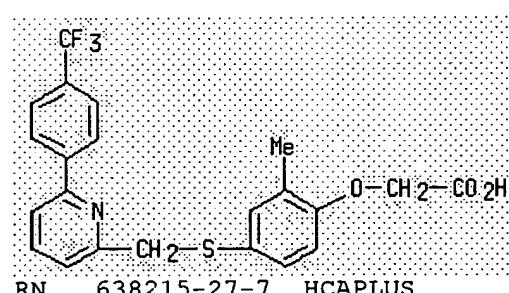
RN **638215-25-5** HCPLUS

CN Acetic acid, [2-methyl-4-[2-[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



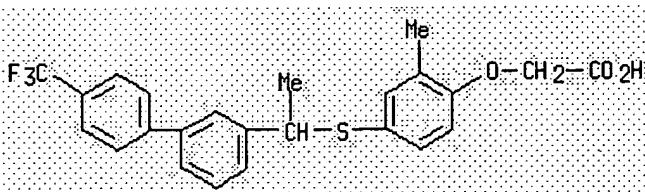
RN **638215-26-6** HCPLUS

CN Acetic acid, [2-methyl-4-[[[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



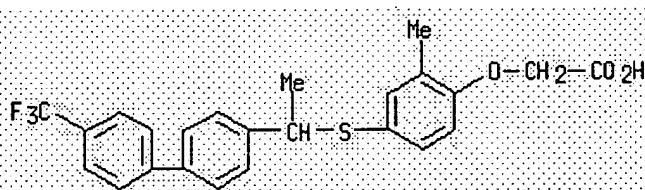
RN **638215-27-7** HCPLUS

CN Acetic acid, [2-methyl-4-[[1-[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



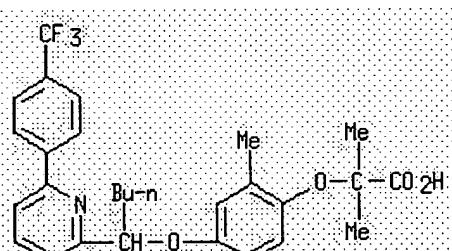
RN 638215-28-8 HCPLUS

CN Acetic acid, [2-methyl-4-[[1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



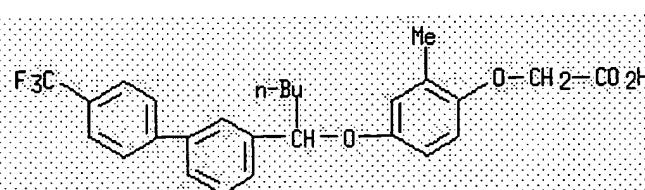
RN 638215-29-9 HCPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



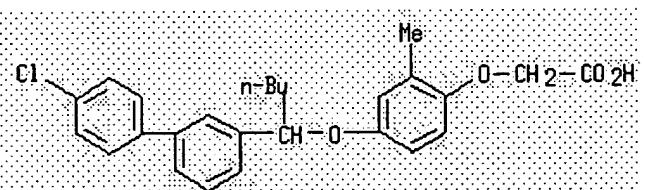
RN 638215-30-2 HCPLUS

CN Acetic acid, [2-methyl-4-[[1-[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 638215-31-3 HCPLUS

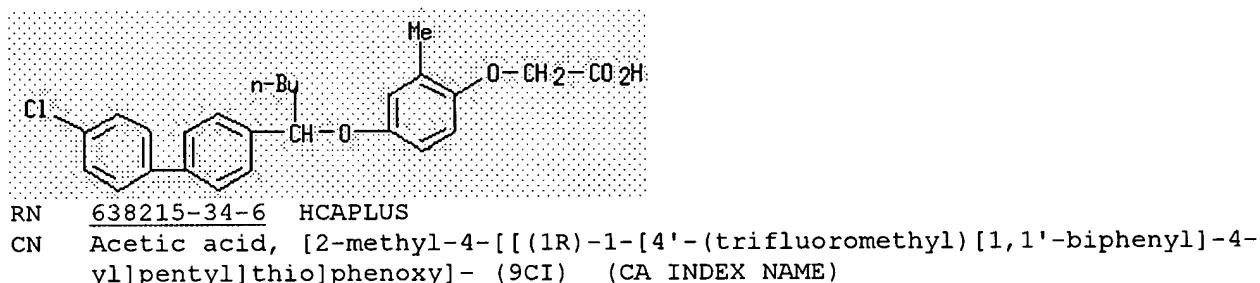
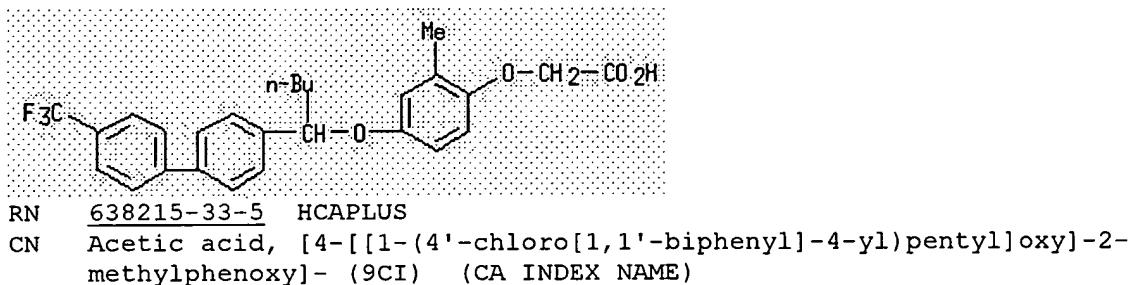
CN Acetic acid, [4-[[1-(4'-chloro[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



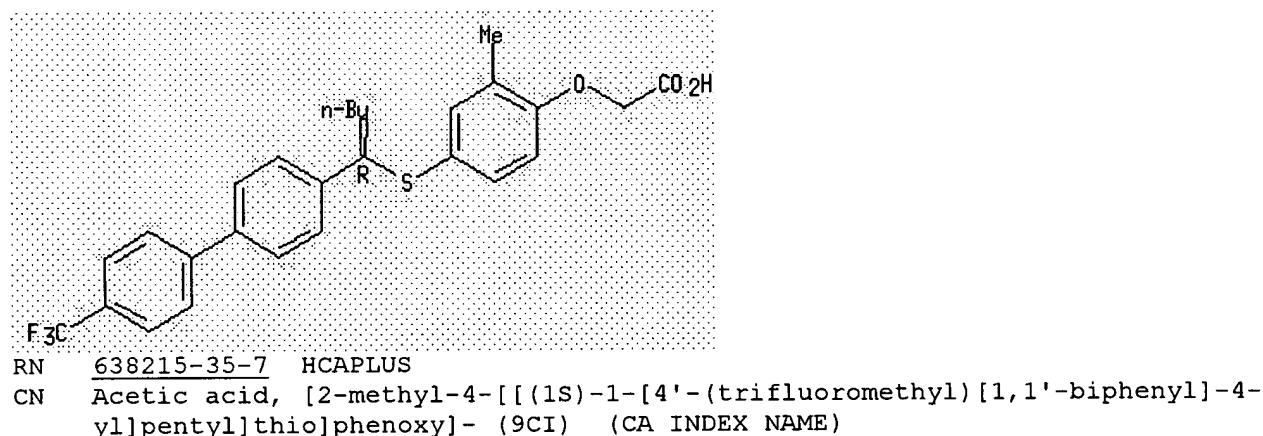
RN 638215-32-4 HCPLUS

CN Acetic acid, [2-methyl-4-[[1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-

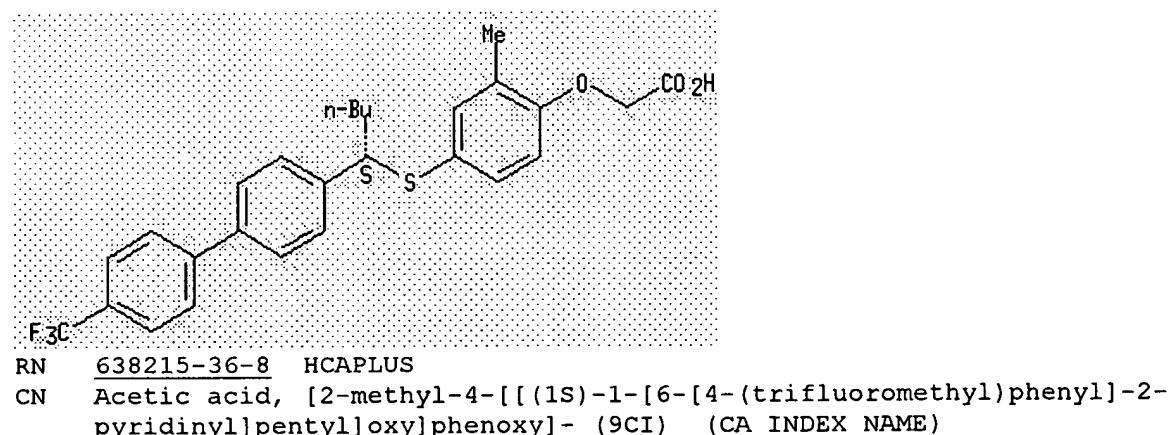
yl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



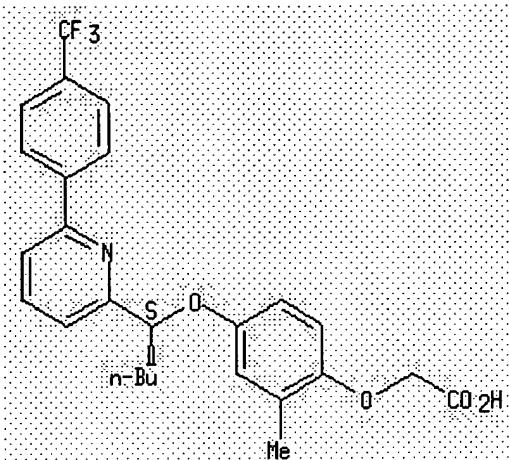
Absolute stereochemistry.



Absolute stereochemistry.



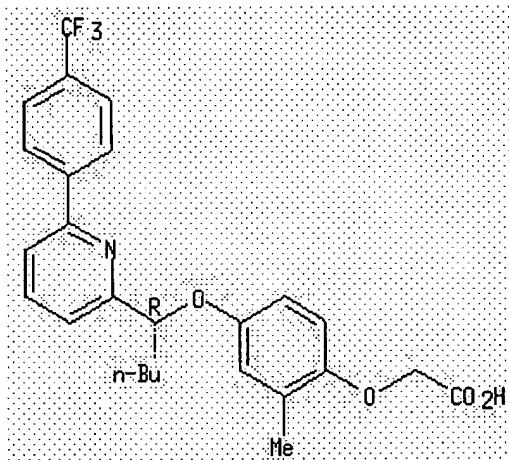
Absolute stereochemistry.



RN 638215-37-9 HCAPLUS

CN Acetic acid, [2-methyl-4-[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

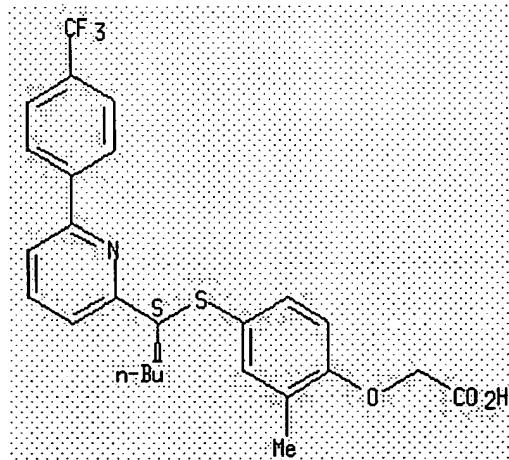
Absolute stereochemistry.



RN 638215-38-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

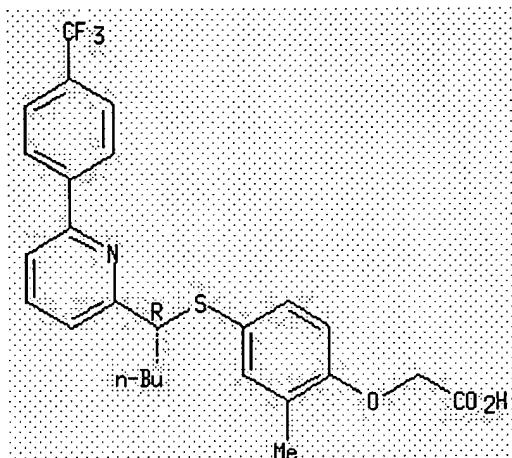
Absolute stereochemistry.



RN 638215-39-1 HCAPLUS

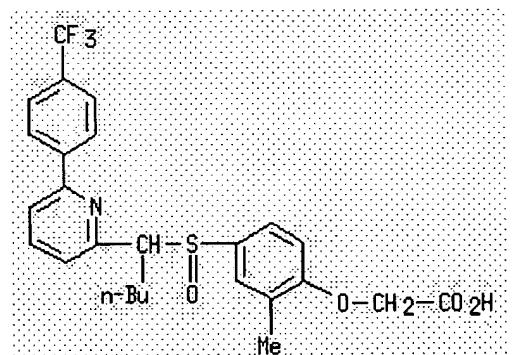
CN Acetic acid, [2-methyl-4-[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



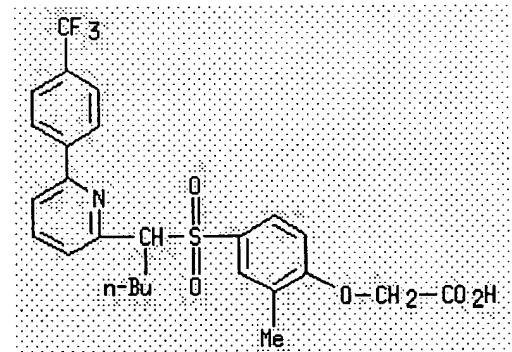
RN 638215-40-4 HCPLUS

CN Acetic acid, [2-methyl-4-[(1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]sulfinyl]phenoxy]- (9CI) (CA INDEX NAME)



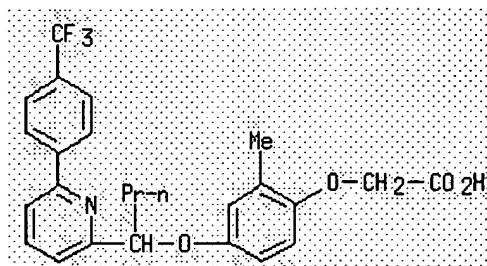
RN 638215-41-5 HCPLUS

CN Acetic acid, [2-methyl-4-[(1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)

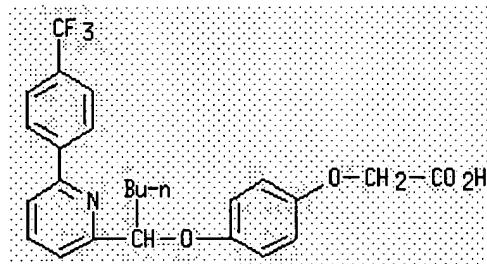


RN 638215-43-7 HCPLUS

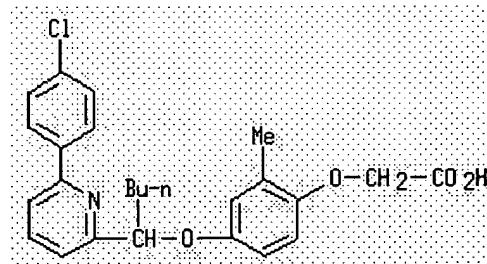
CN Acetic acid, [2-methyl-4-[(1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]butoxy]phenoxy]- (9CI) (CA INDEX NAME)



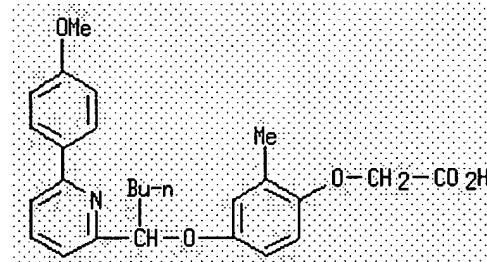
RN 638215-45-9 HCAPLUS
 CN Acetic acid, [4-[[1-[6-[4-(trifluoromethyl)phenyl]pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



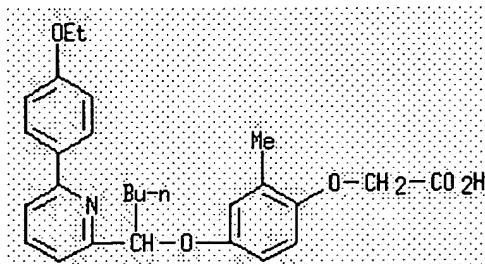
RN 638215-47-1 HCAPLUS
 CN Acetic acid, [4-[[1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



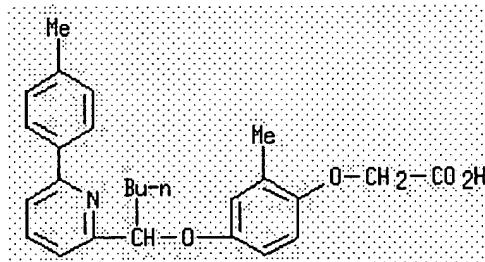
RN 638215-48-2 HCAPLUS
 CN Acetic acid, [4-[[1-[6-(4-methoxyphenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



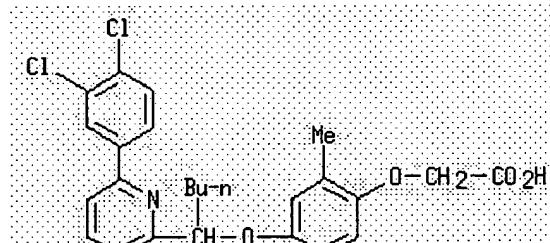
RN 638215-49-3 HCAPLUS
 CN Acetic acid, [4-[[1-[6-(4-ethoxyphenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



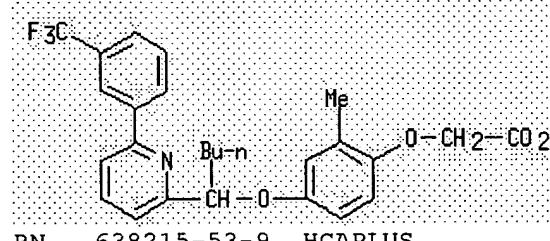
RN 638215-50-6 HCAPLUS
 CN Acetic acid, [2-methyl-4-[[1-[6-(4-methylphenyl)-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



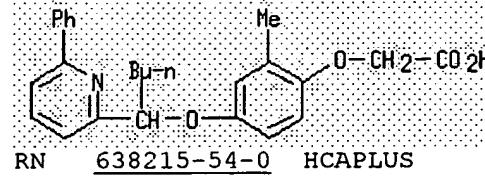
RN 638215-51-7 HCAPLUS
 CN Acetic acid, [4-[[1-[6-(3,4-dichlorophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RN 638215-52-8 HCAPLUS
 CN Acetic acid, [2-methyl-4-[[1-[6-[3-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

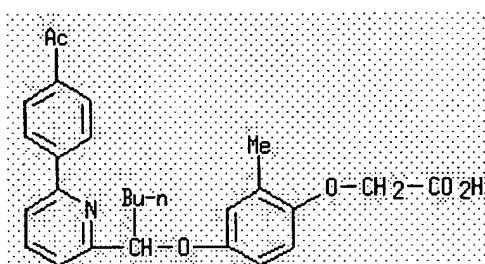


RN 638215-53-9 HCAPLUS
 CN Acetic acid, [2-methyl-4-[[1-(6-phenyl-2-pyridinyl)pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

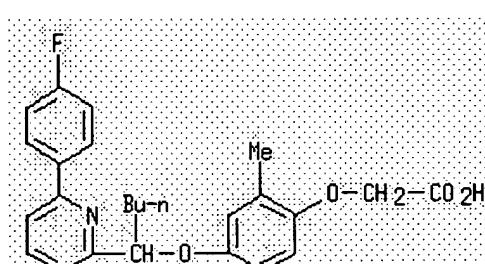


RN 638215-54-0 HCAPLUS
 CN Acetic acid, [4-[[1-[6-(4-acetylphenyl)-2-pyridinyl]pentyl]oxy]-2-

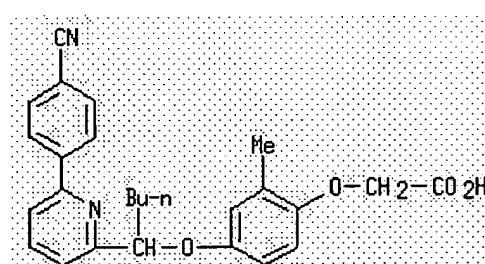
methylphenoxy]- (9CI) (CA INDEX NAME)



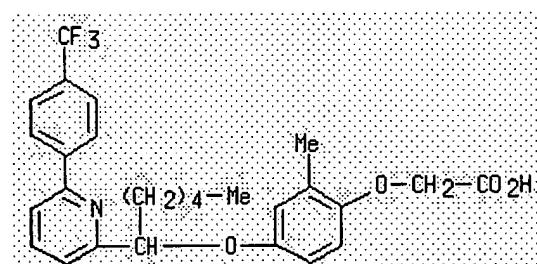
RN 638215-55-1 HCPLUS
 CN Acetic acid, [4-[(1-[6-(4-fluorophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



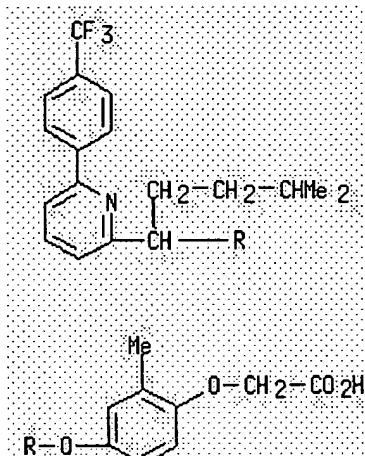
RN 638215-56-2 HCPLUS
 CN Acetic acid, [4-[(1-[6-(4-cyanophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



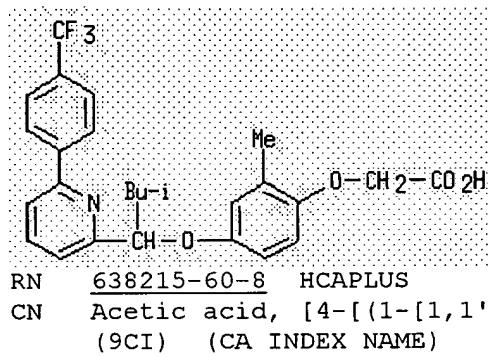
RN 638215-57-3 HCPLUS
 CN Acetic acid, [2-methyl-4-[(1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]hexyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



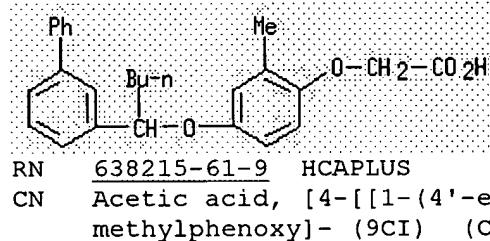
RN 638215-58-4 HCPLUS
 CN Acetic acid, [2-methyl-4-[[4-methyl-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



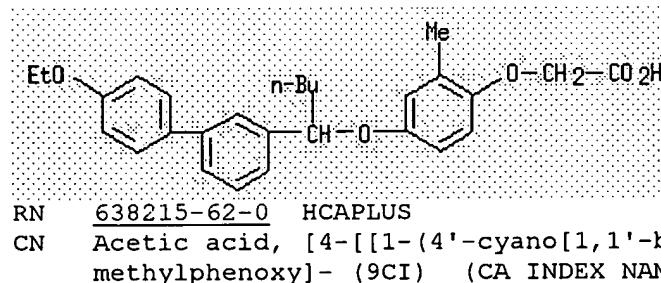
RN 638215-59-5 HCPLUS
 CN Acetic acid, [2-methyl-4-[3-methyl-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]butoxy]phenoxy]- (9CI) (CA INDEX NAME)



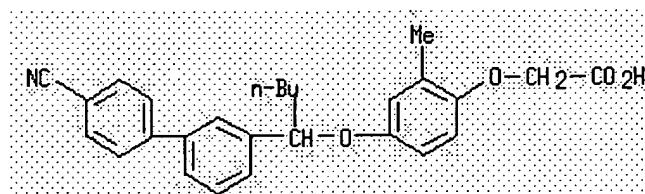
RN 638215-60-8 HCPLUS
 CN Acetic acid, [4-[(1-[1,1'-biphenyl]-3-ylpentyl)oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



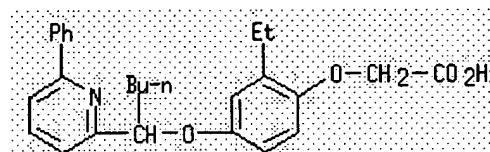
RN 638215-61-9 HCPLUS
 CN Acetic acid, [4-[[1-(4'-ethoxy[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



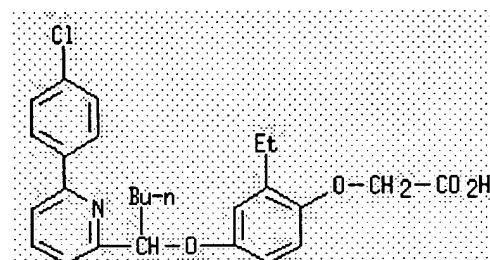
RN 638215-62-0 HCPLUS
 CN Acetic acid, [4-[[1-(4'-cyano[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



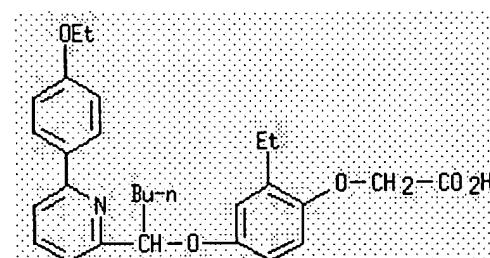
RN 638215-63-1 HCPLUS
 CN Acetic acid, [2-ethyl-4-[[1-(6-phenyl-2-pyridinyl)pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



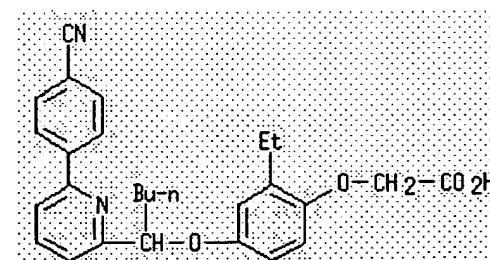
RN 638215-64-2 HCPLUS
 CN Acetic acid, [4-[[1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-ethylphenoxy]- (9CI) (CA INDEX NAME)



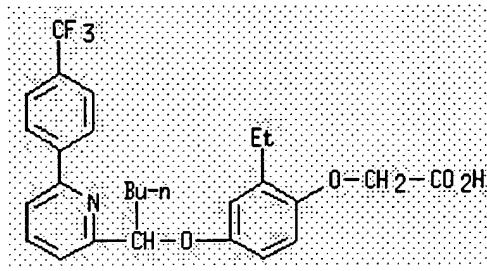
RN 638215-65-3 HCPLUS
 CN Acetic acid, [4-[[1-[6-(4-ethoxyphenyl)-2-pyridinyl]pentyl]oxy]-2-ethylphenoxy]- (9CI) (CA INDEX NAME)



RN 638215-66-4 HCPLUS
 CN Acetic acid, [4-[[1-[6-(4-cyanophenyl)-2-pyridinyl]pentyl]oxy]-2-ethylphenoxy]- (9CI) (CA INDEX NAME)



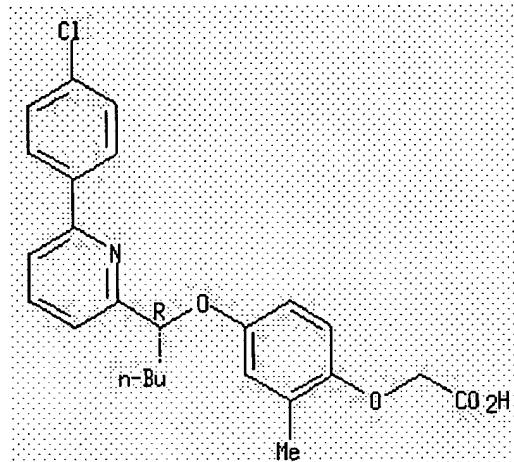
RN 638215-67-5 HCPLUS
 CN Acetic acid, [2-ethyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 638215-69-7 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

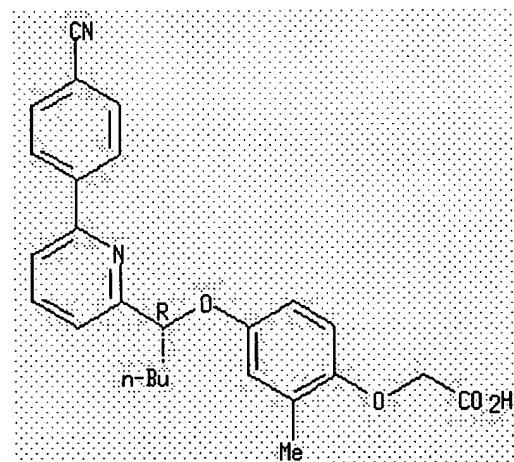
Absolute stereochemistry.



RN 638215-70-0 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-cyanophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

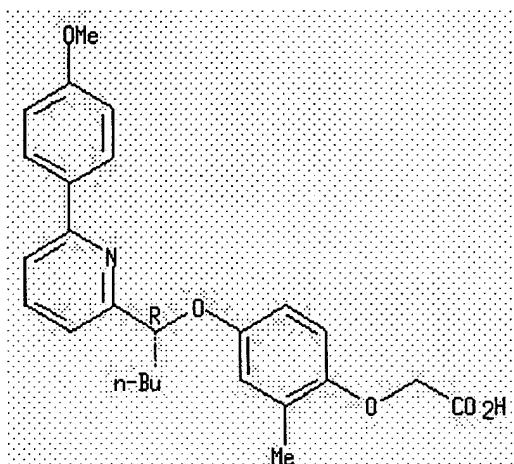
Absolute stereochemistry.



RN 638215-71-1 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-methoxyphenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

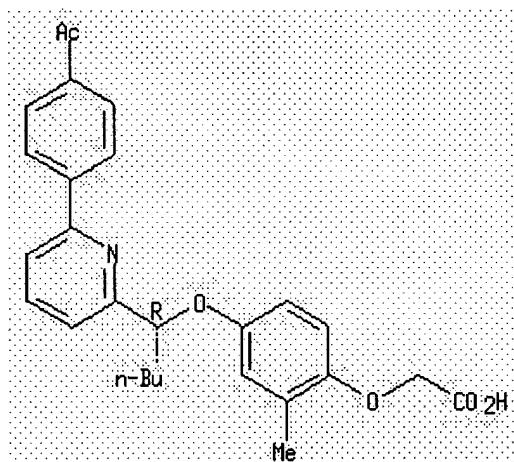
Absolute stereochemistry.



RN 638215-72-2 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-acetylphenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

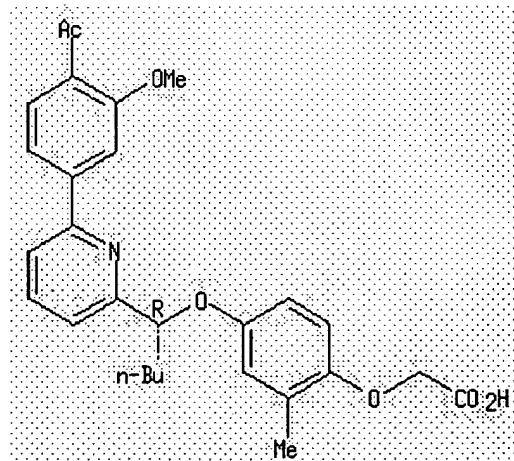
Absolute stereochemistry.



RN 638215-73-3 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-acetyl-3-methoxyphenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

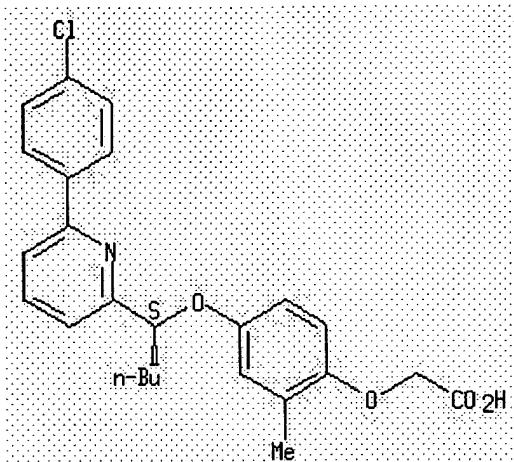


RN 638215-74-4 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-

methylphenoxy]- (9CI) (CA INDEX NAME)

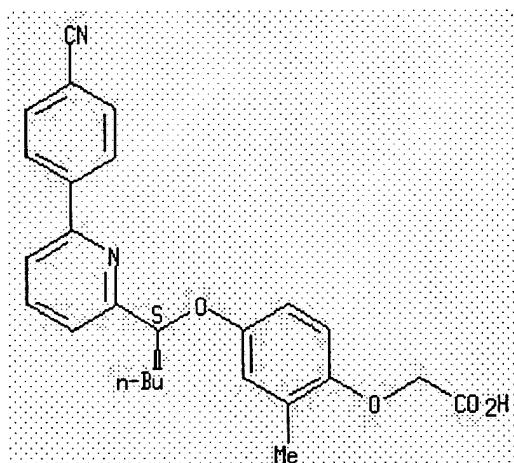
Absolute stereochemistry.



RN 638215-75-5 HCPLUS

CN Acetic acid, [4-[[[(1S)-1-[6-(4-cyanophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

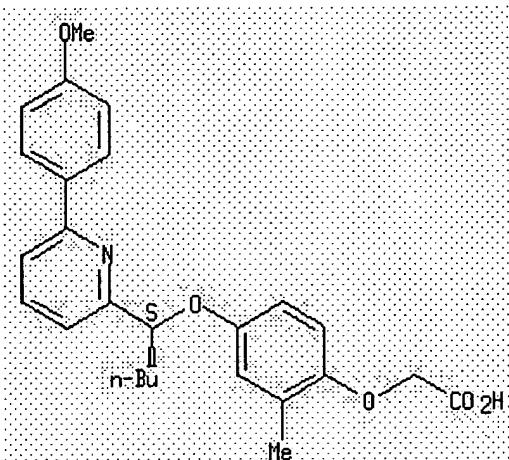
Absolute stereochemistry.



RN 638215-76-6 HCPLUS

CN Acetic acid, [4-[[[(1S)-1-[6-(4-methoxyphenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

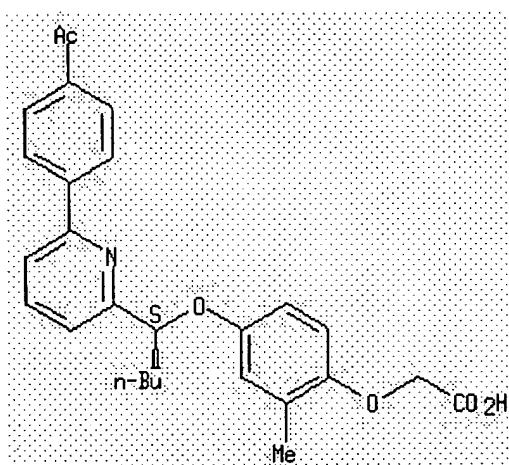
Absolute stereochemistry.



RN 638215-77-7 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-acetylphenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

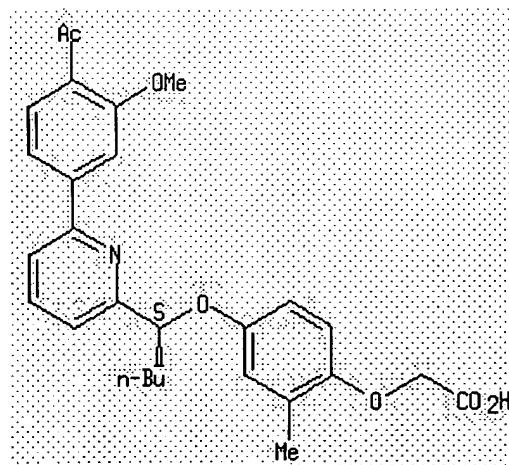
Absolute stereochemistry.



RN 638215-78-8 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-acetyl-3-methoxyphenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

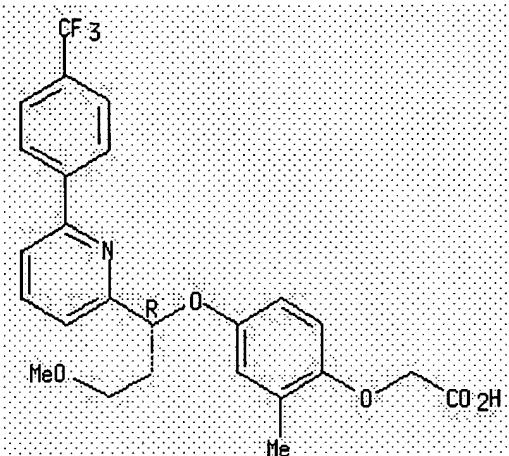


RN 638215-79-9 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-(trifluoromethyl)phenyl)-2-

pyridinyl]propoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

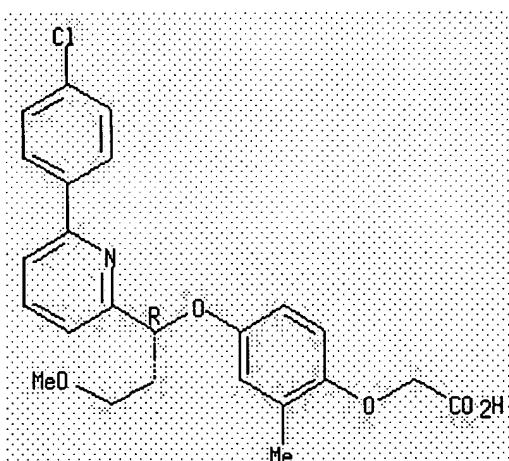
Absolute stereochemistry.



RN 638215-80-2 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-chlorophenyl)-2-pyridinyl]-3-methoxypropoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

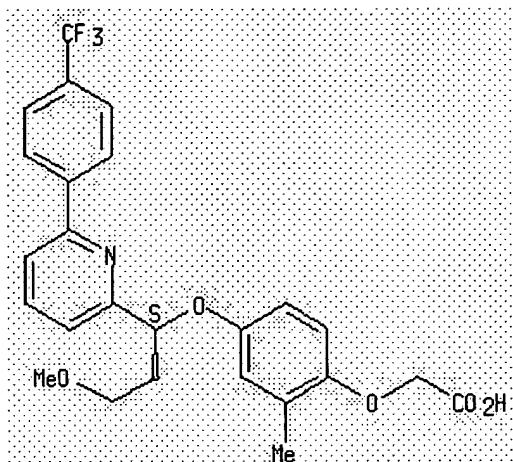
Absolute stereochemistry.



RN 638215-81-3 HCPLUS

CN Acetic acid, [4-[(1S)-3-methoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]propoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

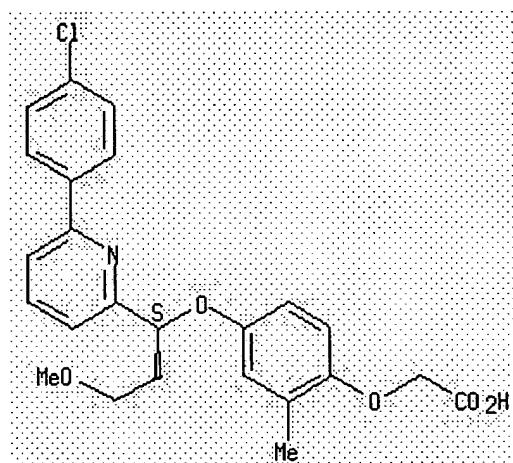
Absolute stereochemistry.



RN 638215-82-4 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-chlorophenyl)-2-pyridinyl]-3-methoxypropoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

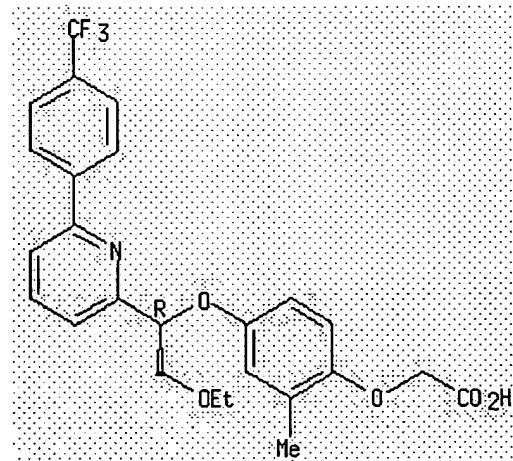
Absolute stereochemistry.



RN 638215-83-5 HCPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-[4-(trifluoromethyl)phenyl]pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

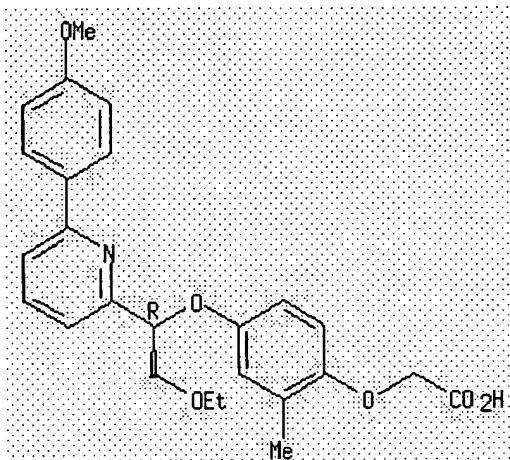


RN 638215-84-6 HCPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(4-methoxyphenyl)-2-pyridinyl]ethoxy]- (9CI) (CA INDEX NAME)

2-methylphenoxy]- (9CI) (CA INDEX NAME)

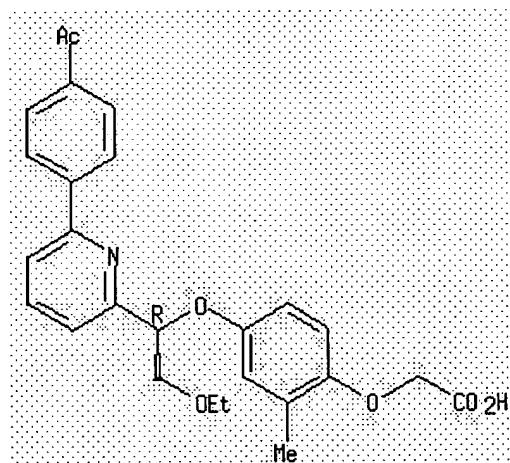
Absolute stereochemistry.



RN 638215-85-7 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-acetylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

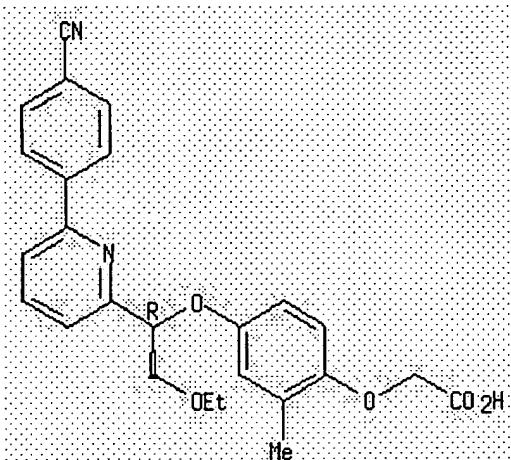
Absolute stereochemistry.



RN 638215-86-8 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

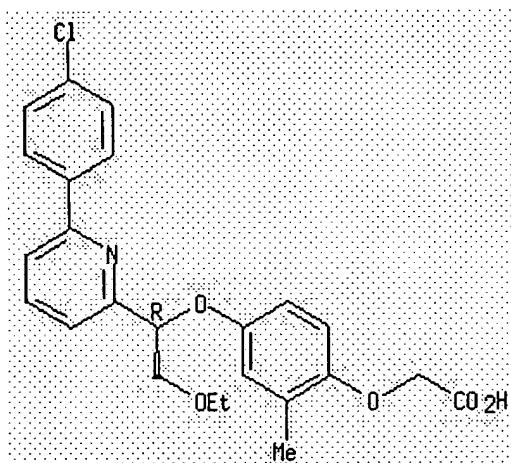
Absolute stereochemistry.



RN 638215-87-9 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-chlorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

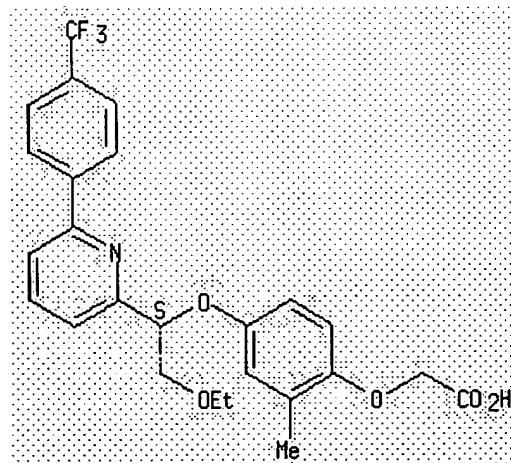
Absolute stereochemistry.



RN 638215-88-0 HCPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

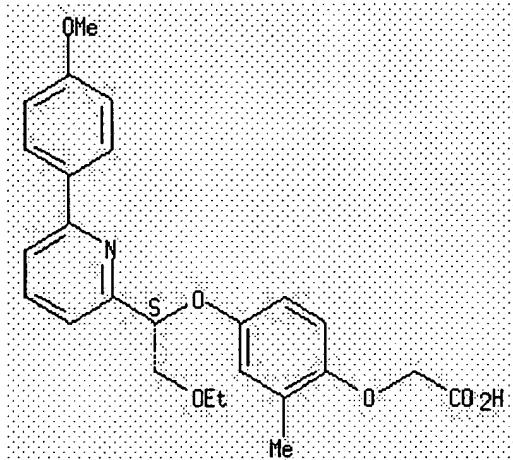


RN 638215-89-1 HCPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(4-methoxyphenyl)-2-pyridinyl]ethoxy]- (9CI)

2-methylphenoxy]- (9CI) (CA INDEX NAME)

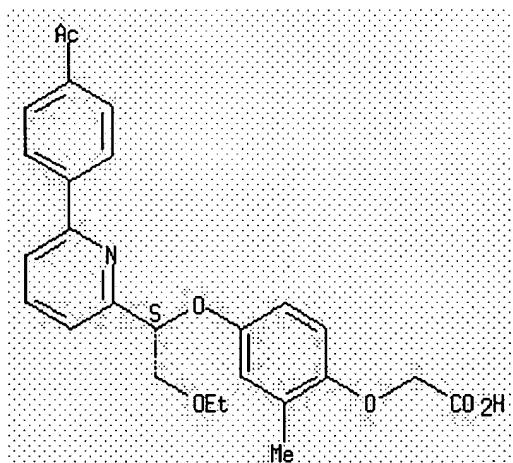
Absolute stereochemistry.



RN 638215-90-4 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-acetylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

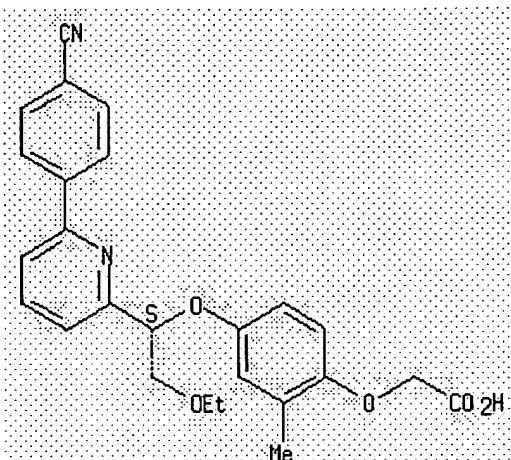
Absolute stereochemistry.



RN 638215-91-5 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

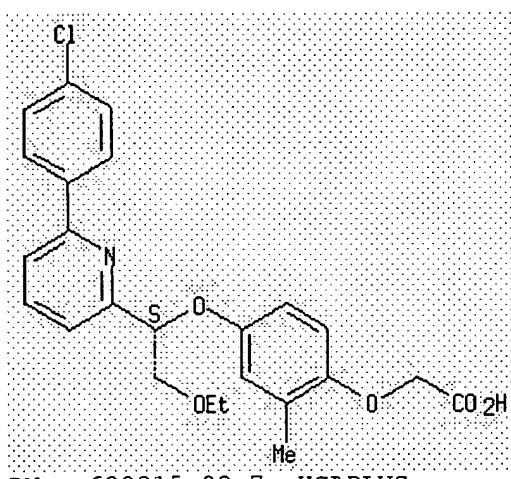
Absolute stereochemistry.



RN 638215-92-6 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-chlorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

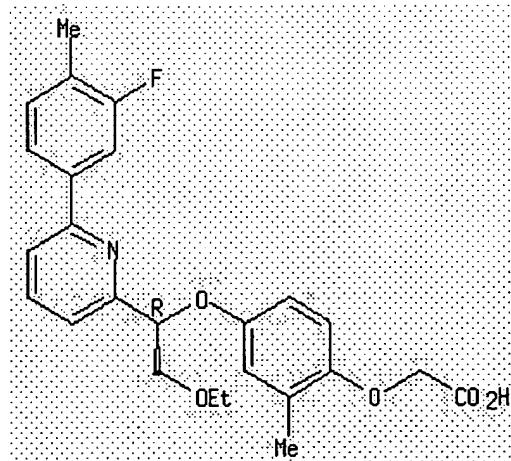
Absolute stereochemistry.



RN 638215-93-7 HCPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(3-fluoro-4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

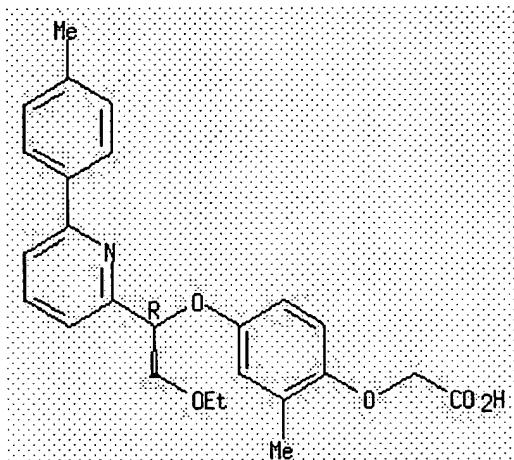


RN 638215-94-8 HCPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(4-methylphenyl)-2-pyridinyl]ethoxy]-2-

methylphenoxy]- (9CI) (CA INDEX NAME)

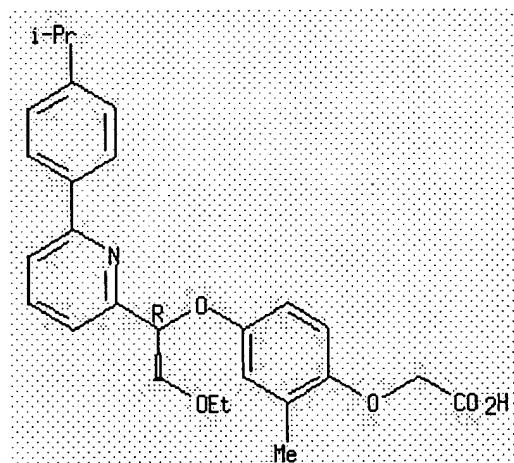
Absolute stereochemistry.



RN 638215-95-9 HCPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-[4-(1-methylethyl)phenyl]-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

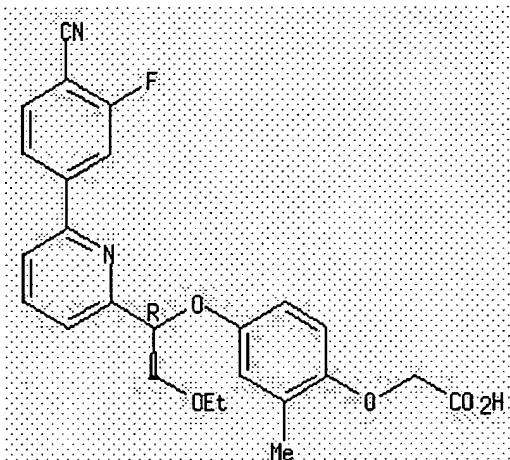
Absolute stereochemistry.



RN 638215-96-0 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-cyano-3-fluorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

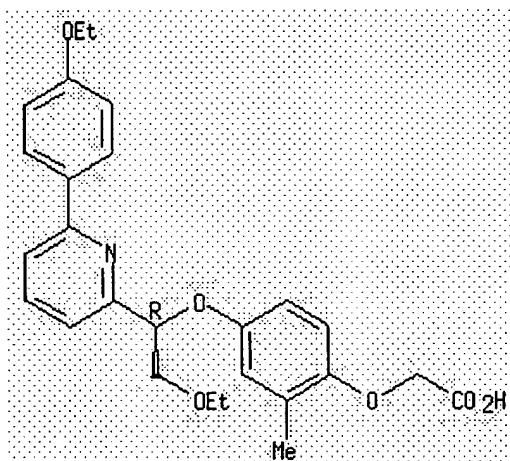
Absolute stereochemistry.



RN 638215-97-1 HCPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(4-ethoxyphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

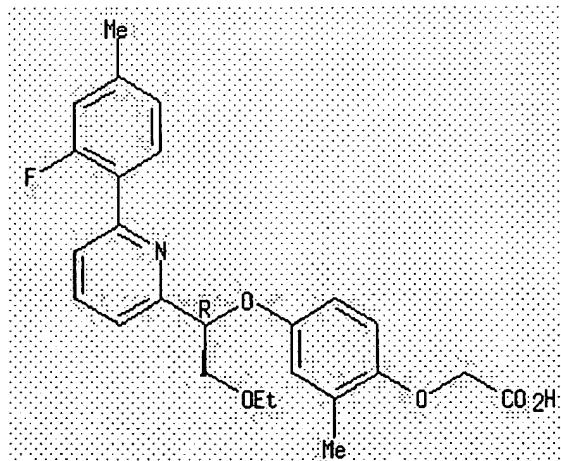
Absolute stereochemistry.



RN 638215-98-2 HCPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(2-fluoro-4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

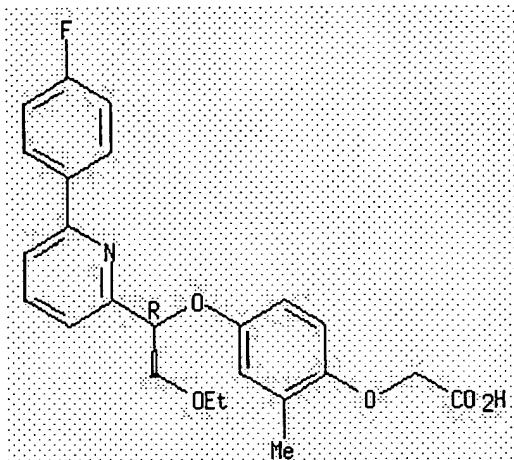


RN 638215-99-3 HCPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(4-fluorophenyl)-2-pyridinyl]ethoxy]-2-

methylphenoxy]- (9CI) (CA INDEX NAME)

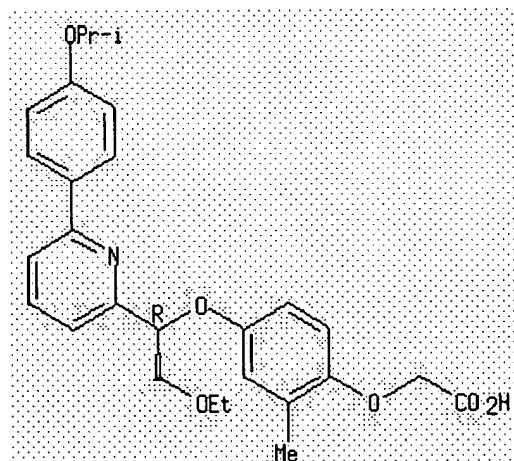
Absolute stereochemistry.



RN 638216-00-9 HCPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-[4-(1-methylethoxy)phenyl]-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

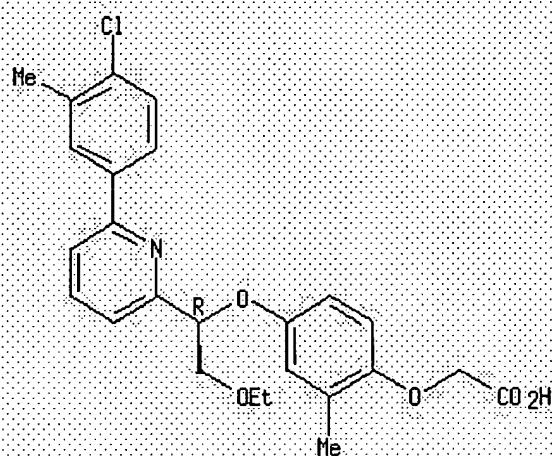
Absolute stereochemistry.



RN 638216-01-0 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-chloro-3-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

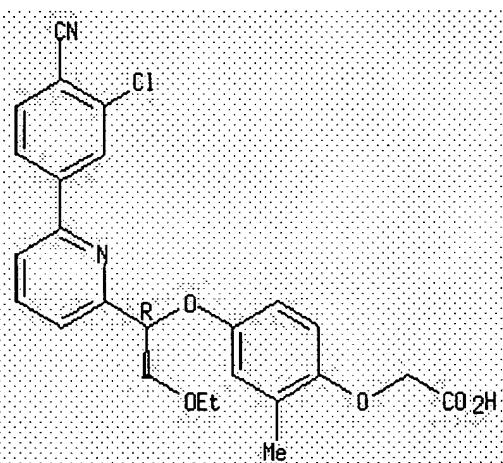
Absolute stereochemistry.



RN 638216-02-1 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(3-chloro-4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

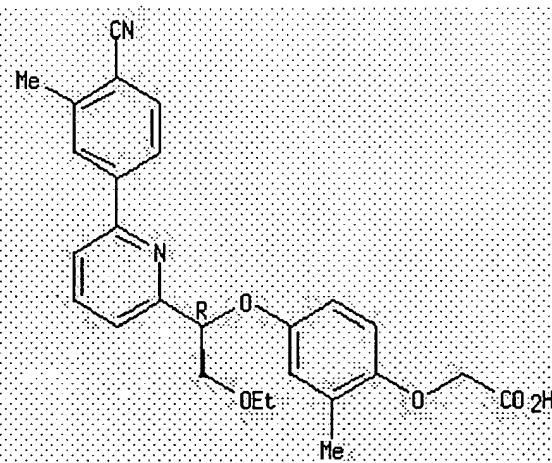
Absolute stereochemistry.



RN 638216-03-2 HCPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-cyano-3-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

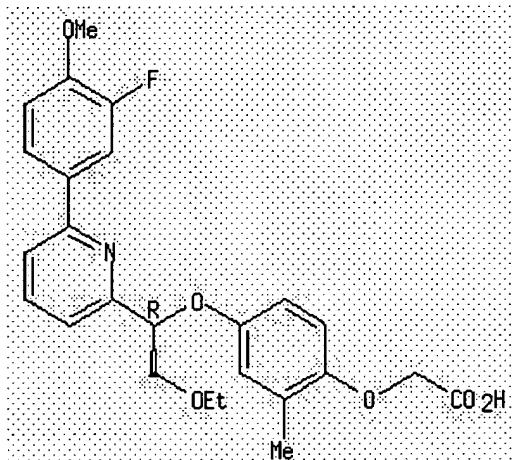


RN 638216-04-3 HCPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(3-fluoro-4-methoxyphenyl)-2-

pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

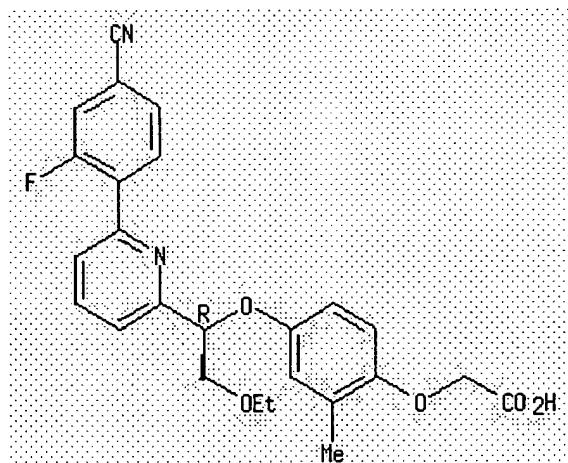
Absolute stereochemistry.



RN 638216-05-4 HCAPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-cyano-2-fluorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

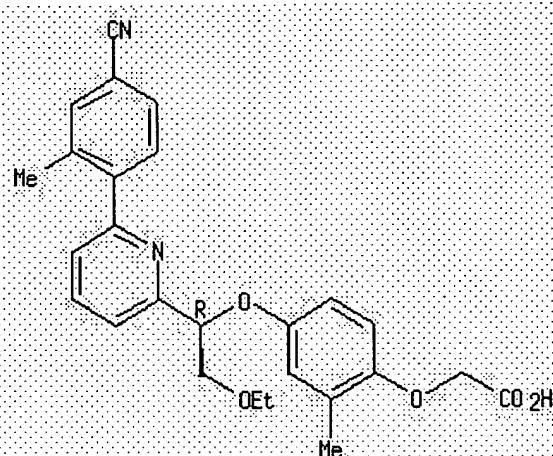
Absolute stereochemistry.



RN 638216-06-5 HCAPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-cyano-2-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

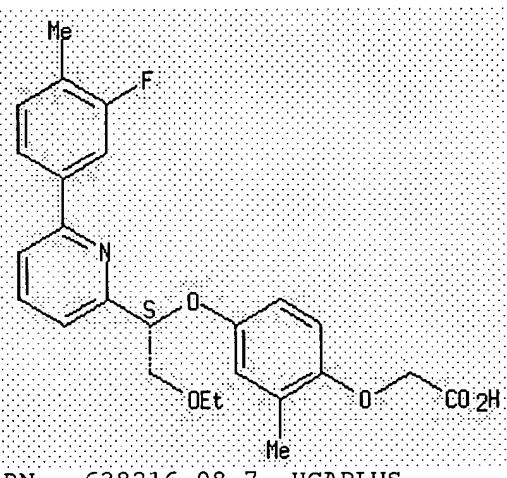
Absolute stereochemistry.



RN 638216-07-6 HCPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(3-fluoro-4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

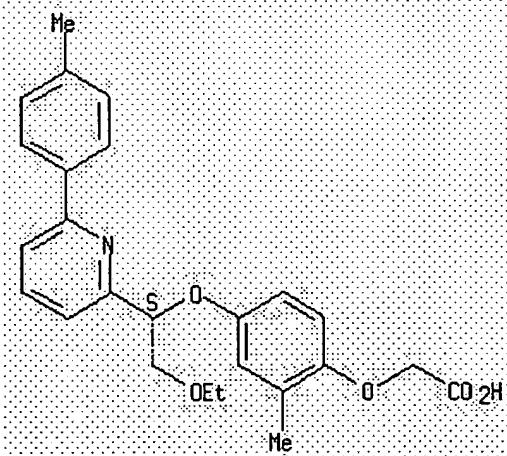
Absolute stereochemistry.



RN 638216-08-7 HCPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

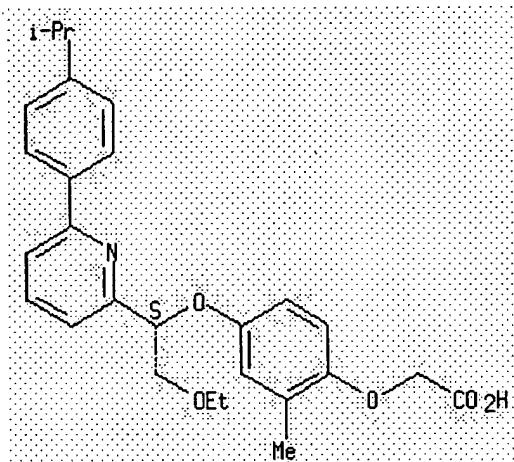


RN 638216-09-8 HCPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-[4-(1-methylethyl)phenyl]-2-

pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

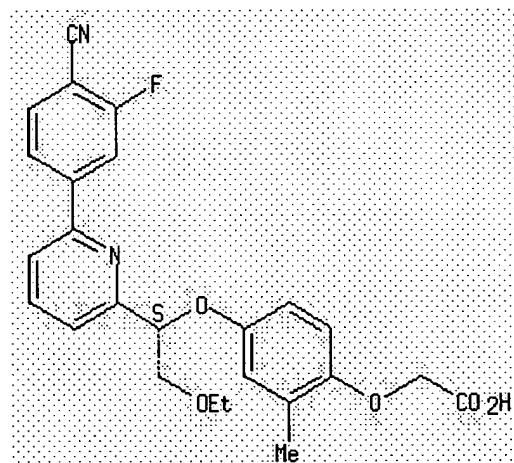
Absolute stereochemistry.



RN 638216-10-1 HCAPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-3-fluorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

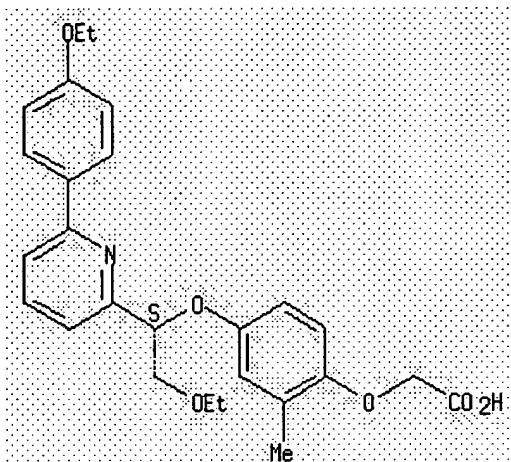
Absolute stereochemistry.



RN 638216-11-2 HCAPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(4-ethoxyphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

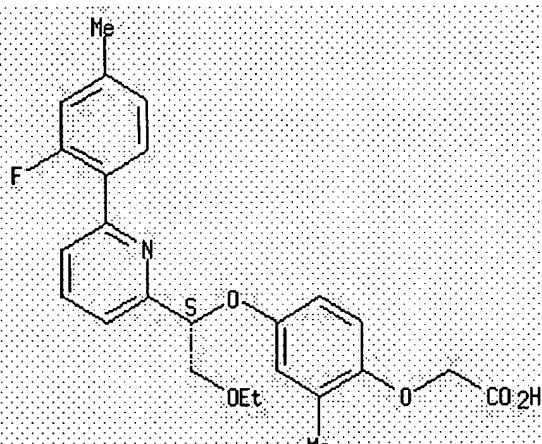
Absolute stereochemistry.



RN 638216-12-3 HCPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(2-fluoro-4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

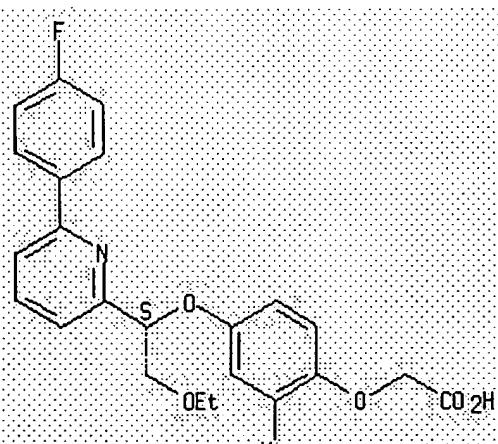
Absolute stereochemistry.



RN 638216-13-4 HCPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(4-fluorophenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

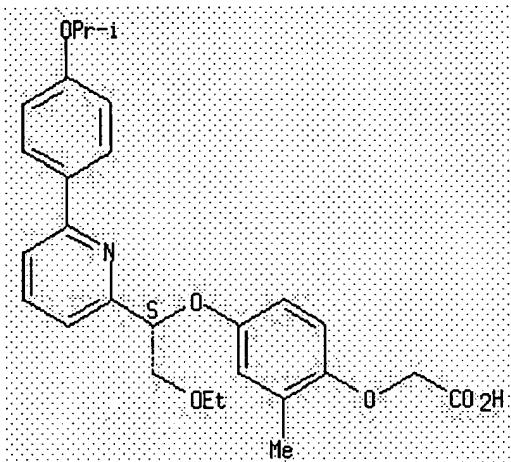


RN 638216-14-5 HCPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-[4-(1-methylethoxy)phenyl]-2-

pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

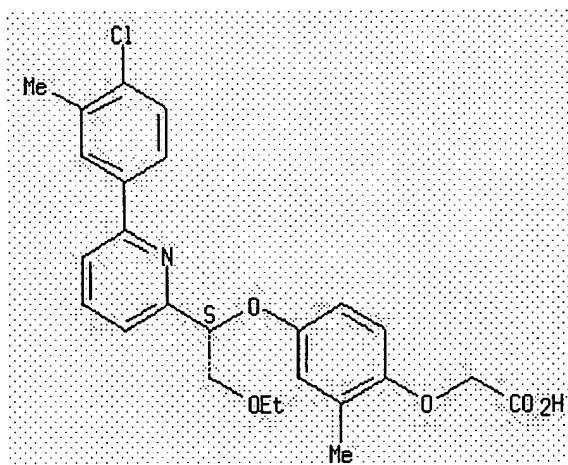
Absolute stereochemistry.



RN 638216-15-6 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-chloro-3-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

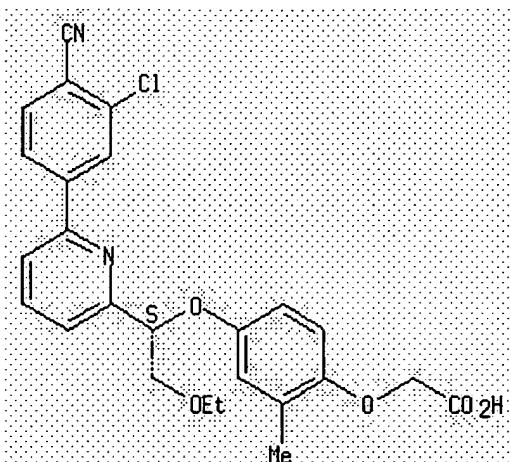
Absolute stereochemistry.



RN 638216-16-7 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(3-chloro-4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

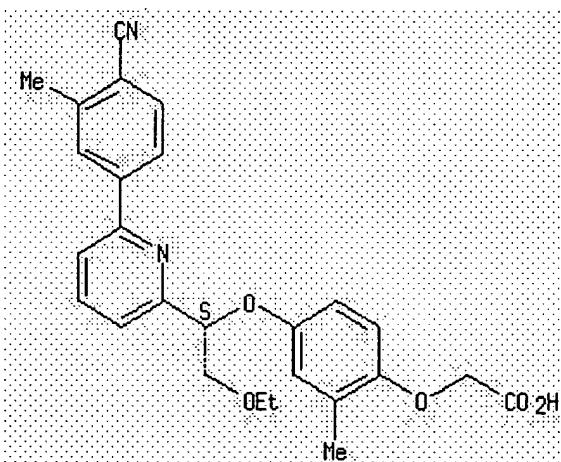
Absolute stereochemistry.



RN 638216-17-8 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-3-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

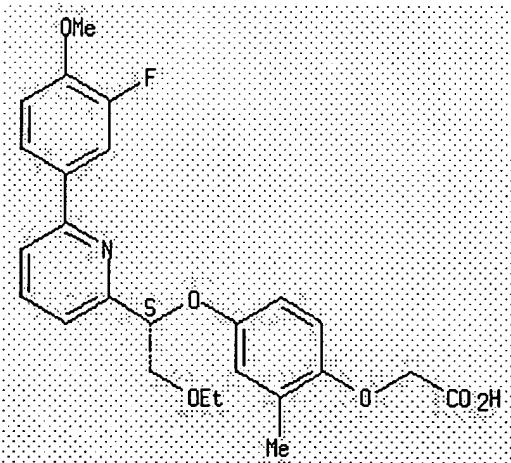
Absolute stereochemistry.



RN 638216-18-9 HCPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(3-fluoro-4-methoxyphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

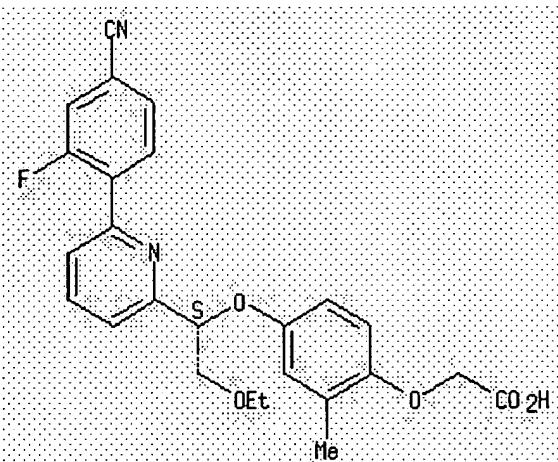


RN 638216-19-0 HCPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-2-fluorophenyl)-2-pyridinyl]-2-

ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

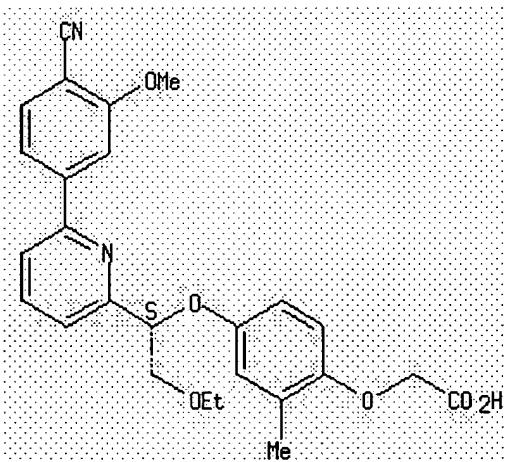
Absolute stereochemistry.



RN 638216-20-3 HCAPLUS

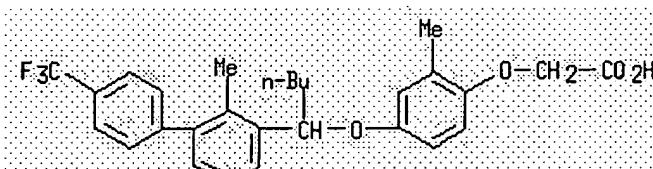
CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-3-methoxyphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



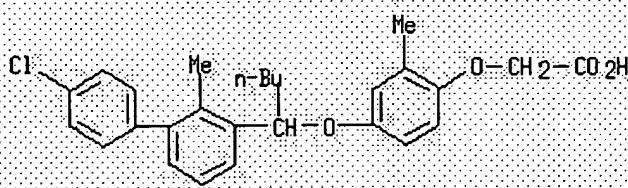
RN 638216-58-7 HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[2-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



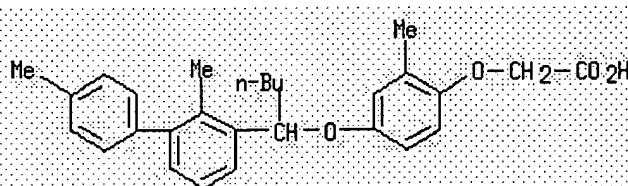
RN 638216-59-8 HCAPLUS

CN Acetic acid, [4-[[1-(4'-chloro-2-methyl[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



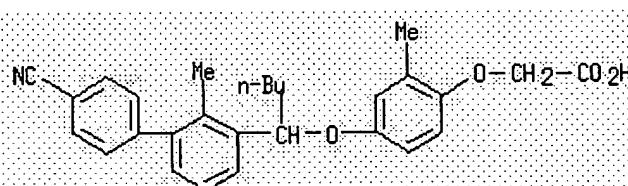
RN 638216-60-1 HCAPLUS

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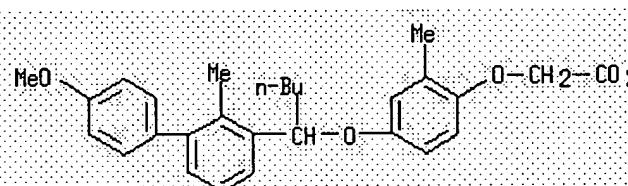
RN 638216-61-2 HCAPLUS

CN Acetic acid, [4-[(1-(4'-cyano-2-methyl[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



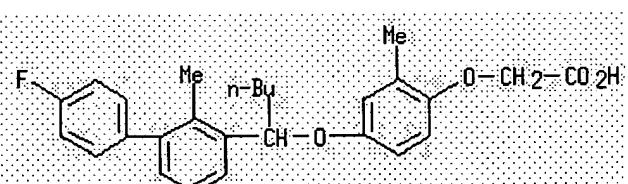
RN 638216-62-3 HCAPLUS

CN Acetic acid, [4-[(1-(4'-methoxy-2-methyl[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



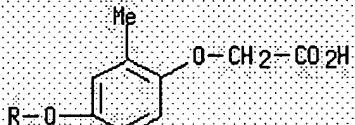
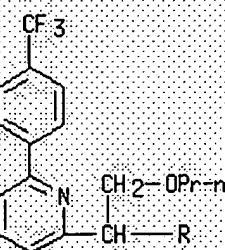
RN 638216-63-4 HCAPLUS

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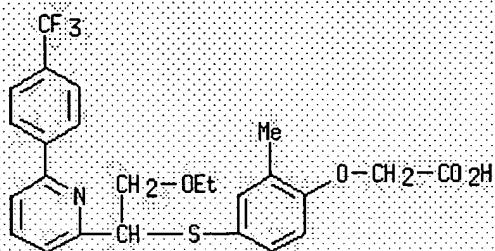
RN 638216-64-5 HCAPLUS

CN Acetic acid, [2-methyl-4-[2-propoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 638216-65-6 HCPLUS

CN Acetic acid, [4-[(2-ethoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]ethyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

12

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 16:08:19 ON 20 DEC 2005)

FILE 'REGISTRY' ENTERED AT 16:08:25 ON 20 DEC 2005

L1 STRUCTURE uploaded
L2 50 S L1
L3 1449 S L2 FULL

FILE 'HCPLUS' ENTERED AT 16:11:25 ON 20 DEC 2005

L4 102 S L3/THU
L5 34 S L4 AND PD < JULY 2002
L6 1 S L4 AND BELL, R?/AU

=> s 14 not i6

L7 101 L4 NOT L6

=> s 17 and beswick, p?/au

57 BESWICK, P?/AU

L8 2 L7 AND BESWICK, P?/AU

=> d 18, ibib abs hitstr, 1~2

L8 ANSWER 1 OF 2 HCPLUS COPYRIGHT 2005 ACS on STN

| | |
|------|------------|
| Full | Current |
| Text | References |

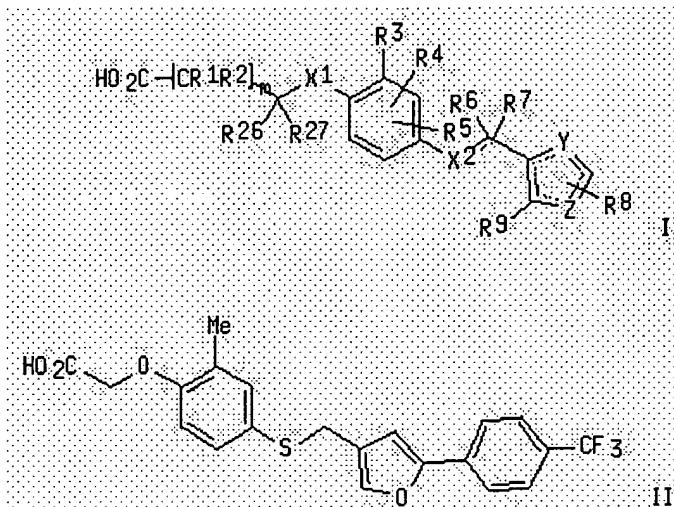
ACCESSION NUMBER: 2002:888731 HCPLUS

DOCUMENT NUMBER: 137:384743
 TITLE: Preparation of furan and thiophene derivatives that activate human peroxisome proliferator activated receptors
 INVENTOR(S): Beswick, Paul John; Hamlett, Christopher Charles Frederick; Patel, Vipulkumar; Sierra, Michael Lawrence; Ramsden, Nigel Grahame
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 141 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|---|------------|
| <u>WO 2002092590</u> | A1 | 20021121 | <u>WO 2002-GB2152</u> | 20020509 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| <u>CA 2446797</u> | AA | 20021121 | <u>CA 2002-2446797</u> | 20020509 |
| <u>EP 1392674</u> | A1 | 20040303 | <u>EP 2002-722506</u> | 20020509 |
| <u>EP 1392674</u> | B1 | 20050810 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| <u>CN 1507442</u> | A | 20040623 | <u>CN 2002-809694</u> | 20020509 |
| <u>BR 2002009468</u> | A | 20040803 | <u>BR 2002-9468</u> | 20020509 |
| <u>JP 2004534035</u> | T2 | 20041111 | <u>JP 2002-589475</u> | 20020509 |
| <u>AT 301649</u> | E | 20050815 | <u>AT 2002-722506</u> | 20020509 |
| <u>ZA 2003008352</u> | A | 20050127 | <u>ZA 2003-8352</u> | 20031027 |
| <u>NO 2003004986</u> | A | 20031110 | <u>NO 2003-4986</u> | 20031110 |
| <u>US 2004157890</u> | A1 | 20040812 | <u>US 2004-476194</u>
<u>GB 2001-11523</u> | 20040323 |
| <u>PRIORITY APPLN. INFO.</u> | | | <u>WO 2002-GB2152</u> | A 20010511 |
| | | | | W 20020509 |

OTHER SOURCE(S): MARPAT 137:384743

GI



AB The title compds. [I; X₁ = O, S, NH, NMe, alkyl; R₁, R₂ = H, alkyl; R₃-R₅ = H, Me, OMe, CF₃, halo; m = 0-3; X₂ = (CR₁₀R₁₁)_n, O, S, OCH₂; n = 1-2; R₆, R₇, R₁₀, R₁₁ = H, F, alkyl, etc.; one of Y and Z = CH, the other = S, O with the proviso that Y cannot be substituted and Z can only be substituted when it is carbon; R₈ = (un)substituted Ph, pyridyl (wherein the N is in position 2 or 3) with the provision that when R₃ = pyridyl, the N is unsubstituted; R₉ = alkyl, CF₃, CH₂D (D = N-substituted piperazino, furyl, piperidino, etc.); R₂₆, R₂₇ = H, alkyl; or R₂₆ and R₂₇, together with the carbon atom to which they are bonded form a 3-5 membered cycloalkyl ring] and their pharmaceutically acceptable salts, useful for the treatment of a κ PPAR mediated disease or condition such as dyslipidemia, syndrome X, heart failure, hypercholesterolemia, cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia, inflammation and anorexia nervosa, were prepd. Thus, coupling {5-[4-(trifluoromethyl)phenyl]-3-furyl}methanol with Et (4-mercaptop-2-methylphenoxy)acetate followed by hydrolysis of the resulting ester afforded the acid II.

IT 439135-02-1P 476154-08-2P 476154-09-3P

476154-10-6P 476154-11-7P 476154-12-8P
476154-13-9P 476154-14-0P 476154-15-1P
476154-16-2P 476154-17-3P 476154-18-4P
476154-19-5P 476154-20-8P 476154-21-9P
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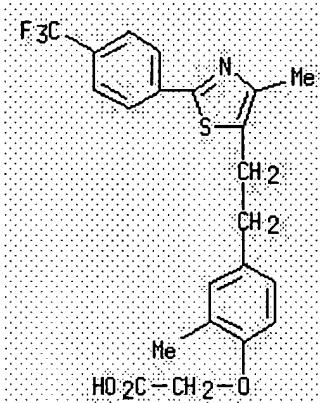
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476156-52-2P 476156-53-3P 476156-54-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of furan and thiophene derivs. that activate human peroxisome proliferator activated receptors)

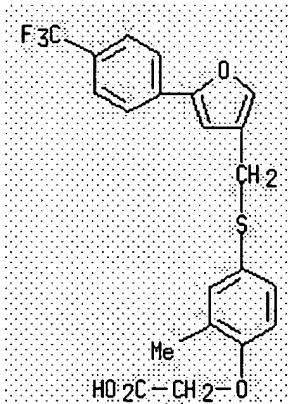
RN 439135-02-1 HCAPLUS

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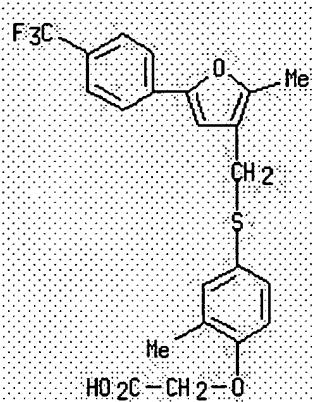
RN 476154-08-2 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



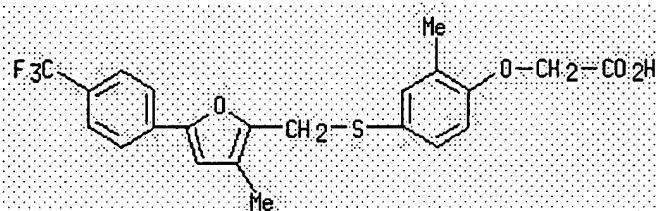
RN 476154-09-3 HCAPLUS

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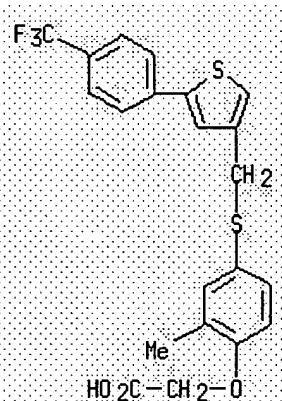
RN 476154-10-6 HCPLUS

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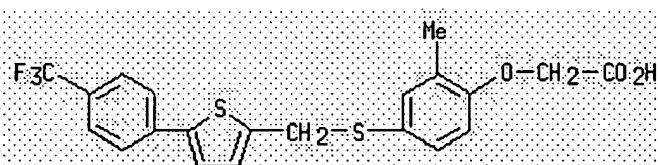
RN 476154-11-7 HCPLUS

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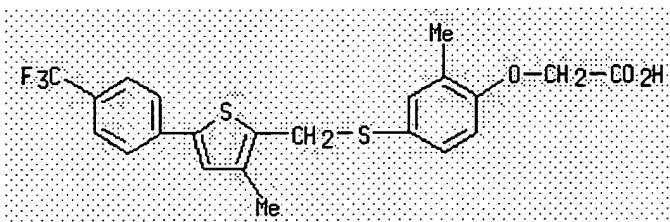
RN 476154-12-8 HCPLUS

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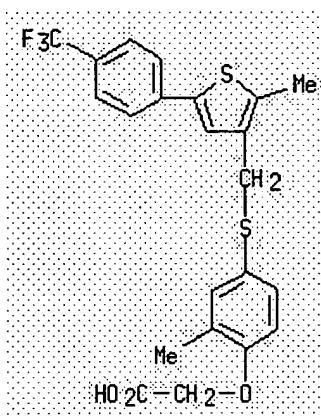
RN 476154-13-9 HCPLUS

CN Acetic acid, [2-methyl-4-[[[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



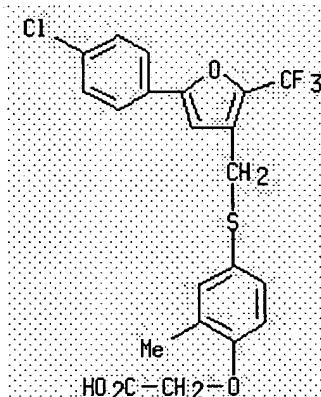
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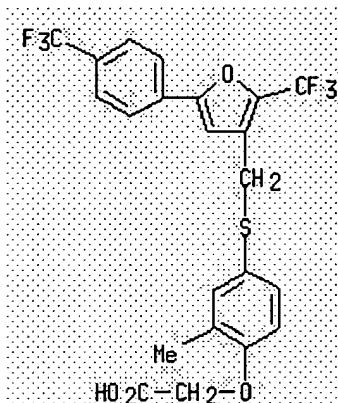
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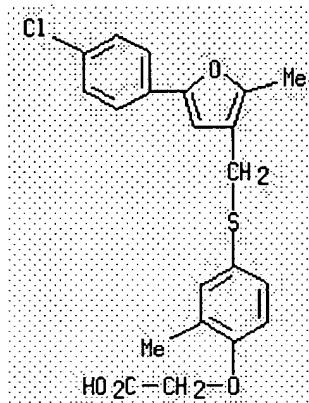
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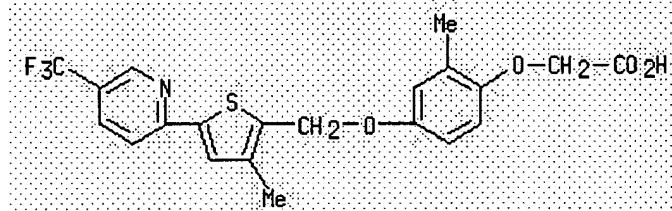
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CN Acetic acid, [4-[[[5-(4-chlorophenyl)-2-methyl-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



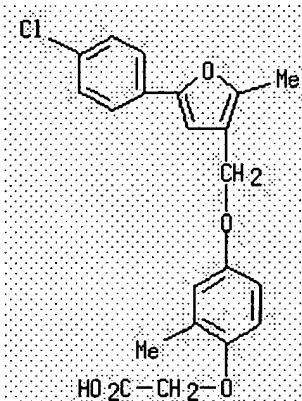
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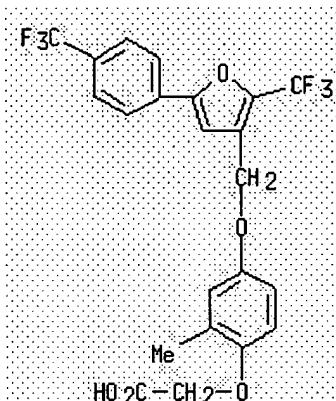
RN 476154-19-5 HCPLUS

CN Acetic acid, [4-[[[5-(4-chlorophenyl)-2-methyl-3-furanyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



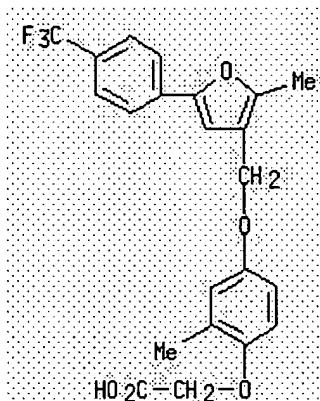
RN 476154-20-8 HCPLUS

CN Acetic acid, [2-methyl-4-[(2-(trifluoromethyl)-5-[4-(trifluoromethyl)phenyl]furanyl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)



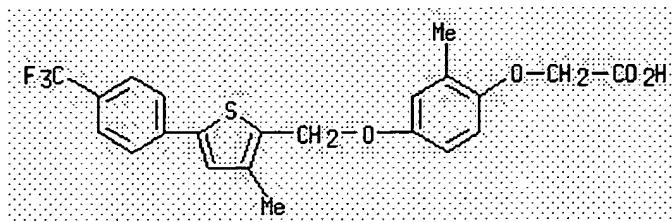
RN 476154-21-9 HCPLUS

CN Acetic acid, [2-methyl-4-[(2-methyl-5-[4-(trifluoromethyl)phenyl]furanyl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)



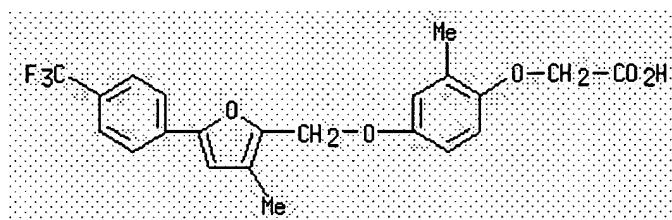
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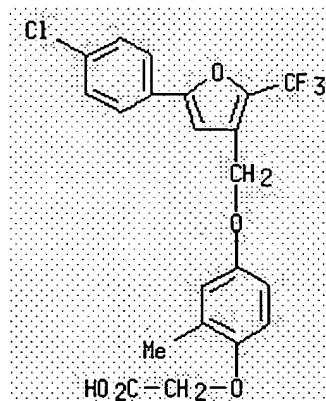
RN 476154-23-1 HCAPLUS

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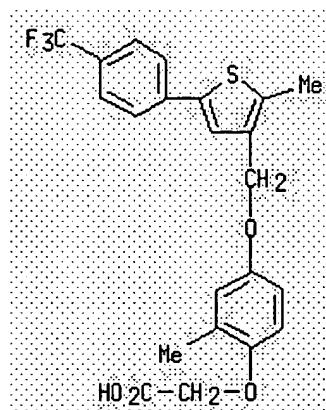
RN 476154-24-2 HCAPLUS

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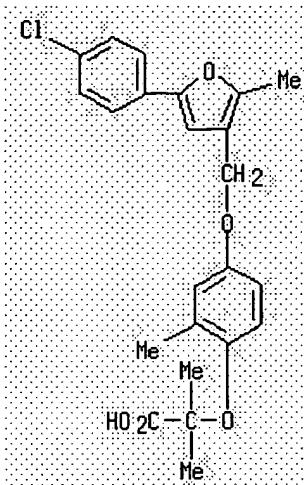
RN 476154-25-3 HCAPLUS

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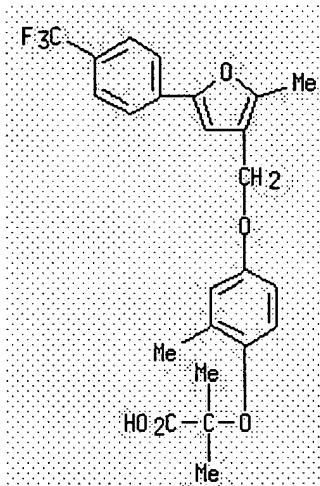
RN 476154-26-4 HCAPLUS

CN Propanoic acid, 2-[4-[[5-(4-chlorophenyl)-2-methyl-3-furanyl]methoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



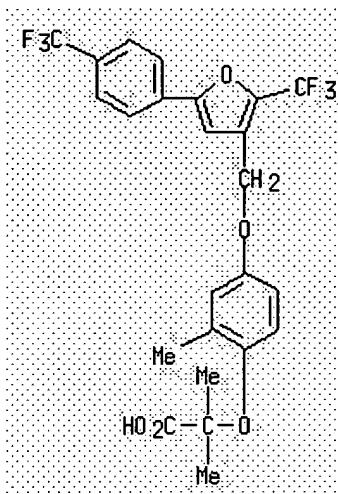
RN 476154-27-5 HCPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[2-methyl-5-[4-(trifluoromethyl)phenyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



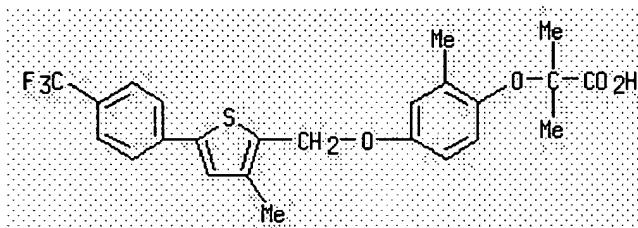
RN 476154-28-6 HCPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[2-(trifluoromethyl)-5-[4-(trifluoromethyl)phenyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



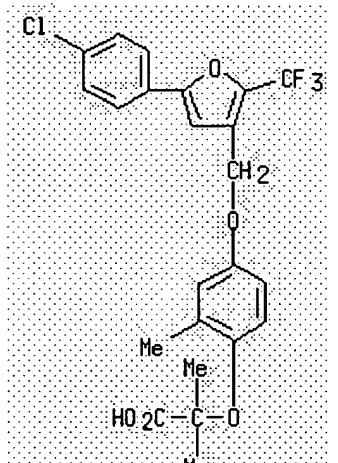
RN 476154-29-7 HCPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



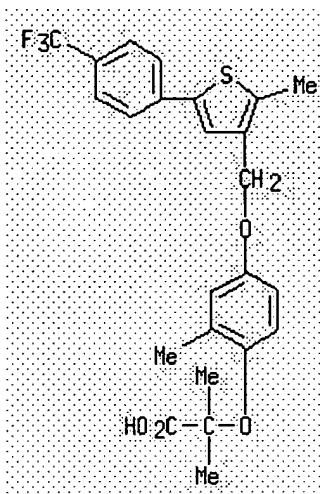
RN 476154-30-0 HCPLUS

CN Propanoic acid, 2-[4-[[5-(4-chlorophenyl)-2-(trifluoromethyl)-3-furanyl]methoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



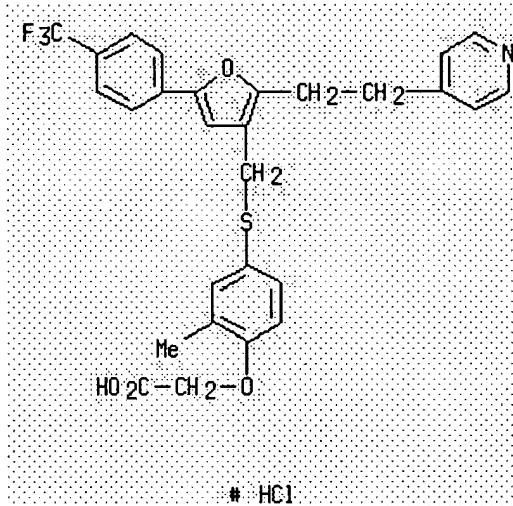
RN 476154-31-1 HCPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 476154-38-8 HCAPLUS

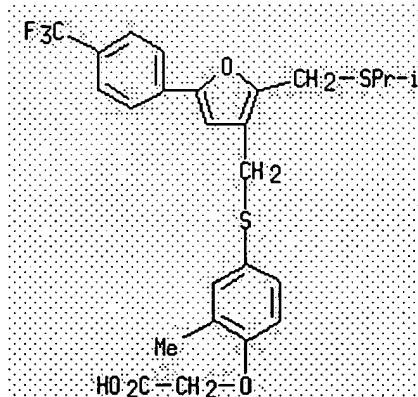
CN Acetic acid, [2-methyl-4-[[[2-[2-(4-pyridinyl)ethyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)



HCl

RN 476154-39-9 HCAPLUS

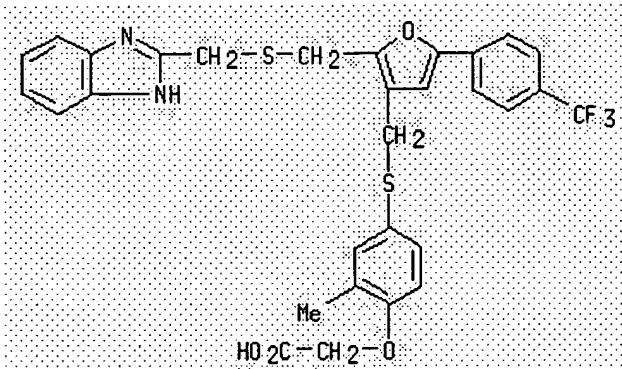
CN Acetic acid, [2-methyl-4-[[[2-[(1-methylethyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



RN 476154-40-2 HCAPLUS

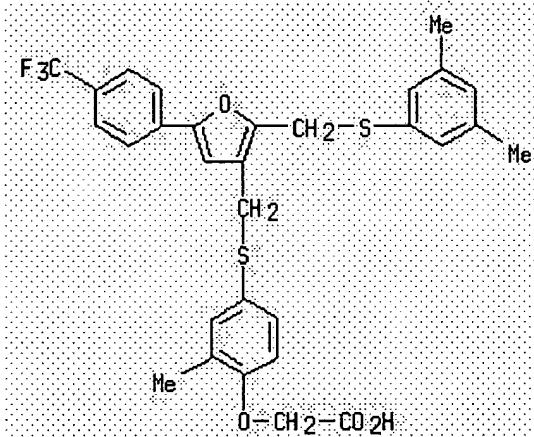
CN Acetic acid, [4-[[[2-[(1H-benzimidazol-2-ylmethyl)thio]methyl]-5-[4-

(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI)
 (CA INDEX NAME)



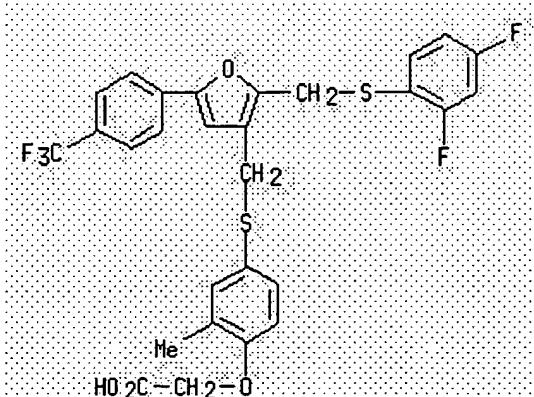
RN 476154-41-3 HCPLUS

CN Acetic acid, [4-[[[2-[[3,5-dimethylphenyl]thio]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI)
 (CA INDEX NAME)



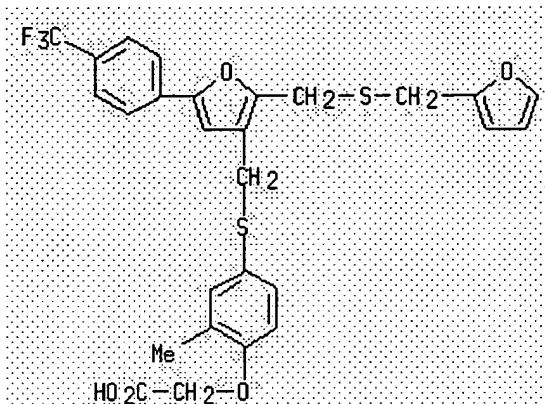
RN 476154-42-4 HCPLUS

CN Acetic acid, [4-[[[2-[[2,4-difluorophenyl]thio]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI)
 (CA INDEX NAME)



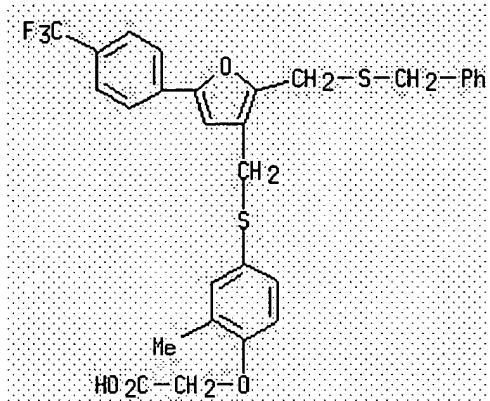
RN 476154-43-5 HCPLUS

CN Acetic acid, [4-[[[2-[[2-furanyl]methyl]thio]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI)
 (CA INDEX NAME)



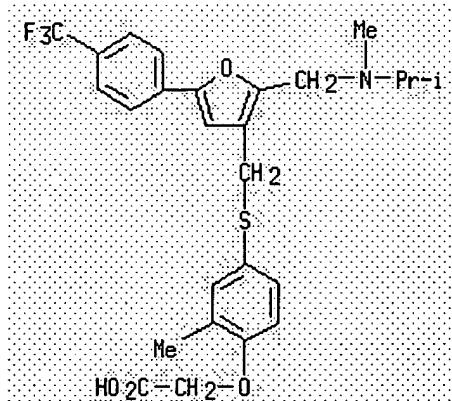
RN 476154-44-6 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-[[[phenylmethyl]thio]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



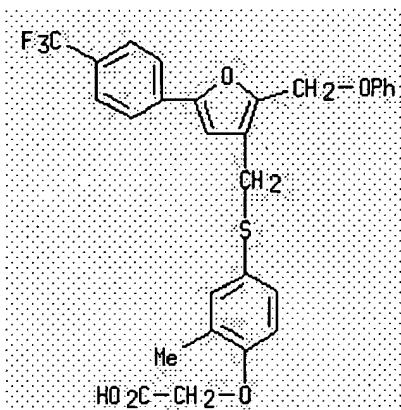
RN 476154-45-7 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-[[[methyl(1-methylethyl)amino]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



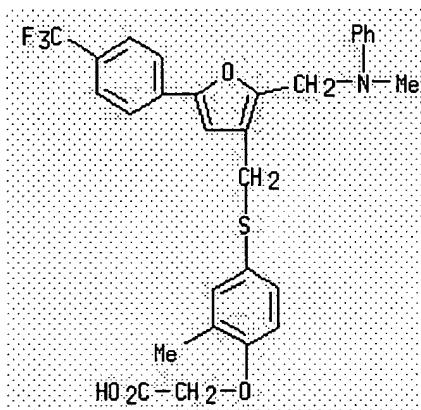
RN 476154-46-8 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-(phenoxy)methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



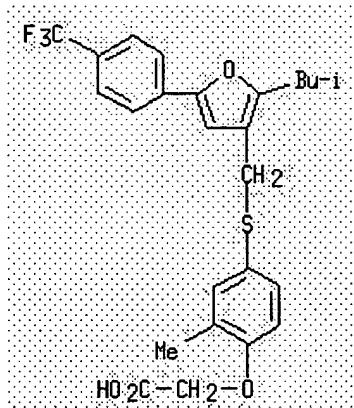
RN 476154-47-9 HCPLUS

CN Acetic acid, [2-methyl-4-[[[2-[(methylphenylamino)methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



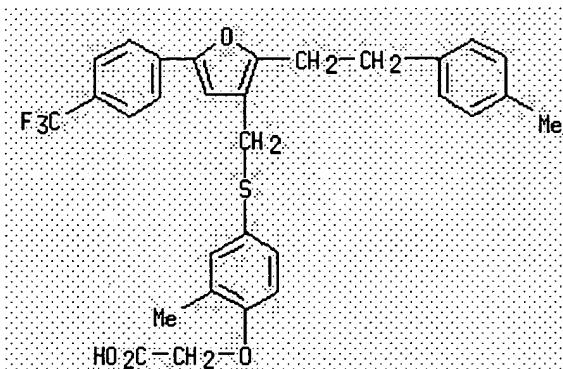
RN 476154-48-0 HCPLUS

CN Acetic acid, [2-methyl-4-[[[2-(2-methylpropyl)-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

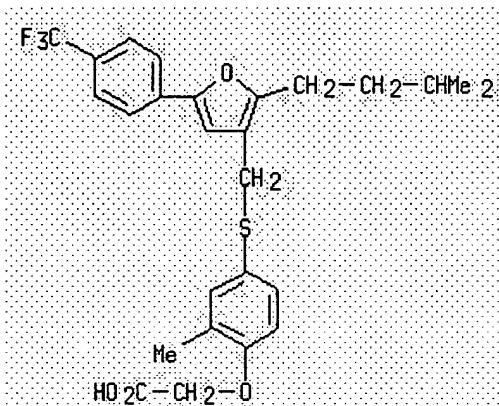


RN 476154-49-1 HCPLUS

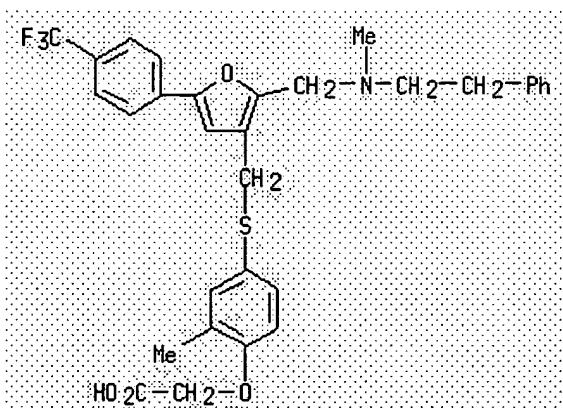
CN Acetic acid, [2-methyl-4-[[[2-[2-(4-methylphenyl)ethyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



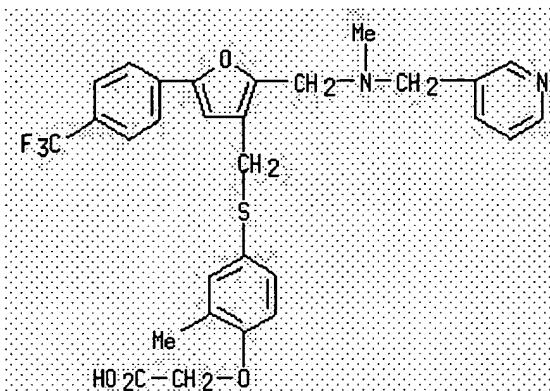
RN 476154-50-4 HCAPLUS
 CN Acetic acid, [2-methyl-4-[[[2-(3-methylbutyl)-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



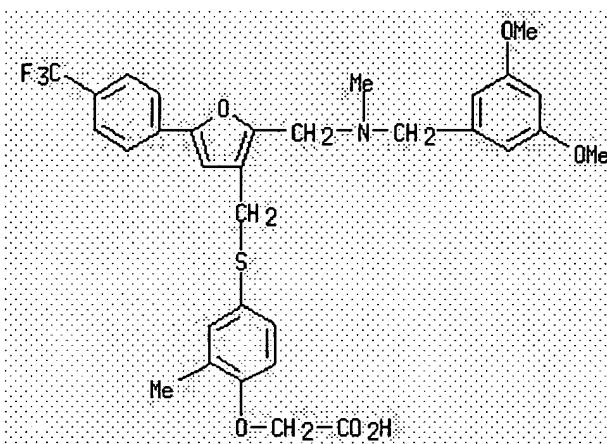
RN 476154-51-5 HCAPLUS
 CN Acetic acid, [2-methyl-4-[[[2-[[methyl(2-phenylethyl)amino]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



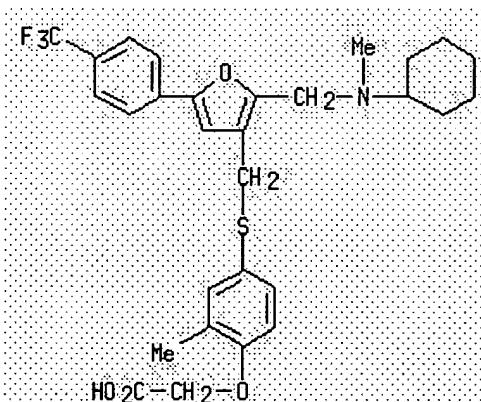
RN 476154-52-6 HCAPLUS
 CN Acetic acid, [2-methyl-4-[[[2-[[methyl(3-pyridinylmethyl)amino]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



RN 476154-53-7 HCAPLUS

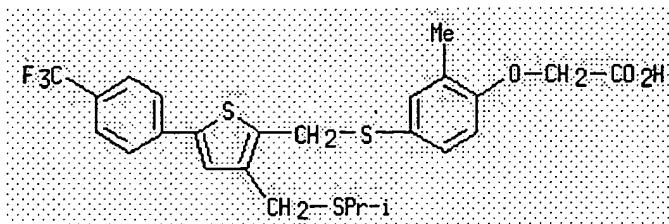
CN Acetic acid, [4-[[[2-[[[(3,5-dimethoxyphenyl)methyl]methylamino]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI)
(CA INDEX NAME)

RN 476154-54-8 HCAPLUS

CN Acetic acid, [4-[[[2-[(cyclohexylmethylamino)methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI)
(CA INDEX NAME)

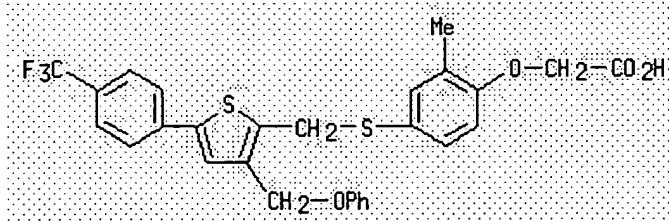
RN 476154-55-9 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-[[[(1-methylethyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI)
(CA INDEX NAME)



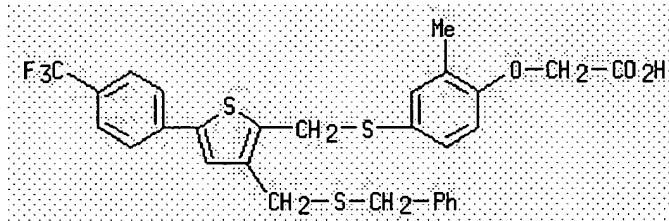
RN 476154-56-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-(phenoxy)methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



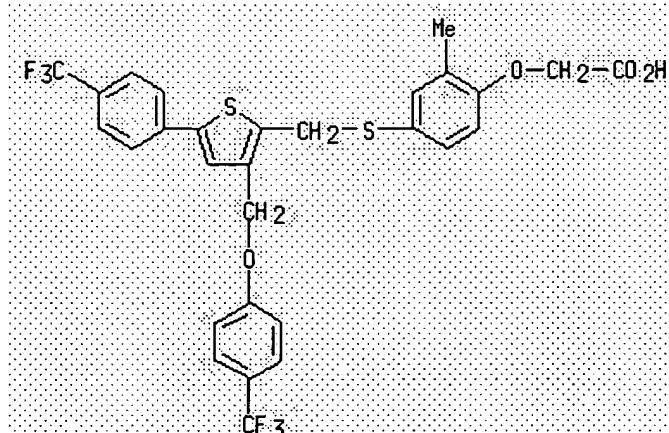
RN 476154-57-1 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-[(phenylmethyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



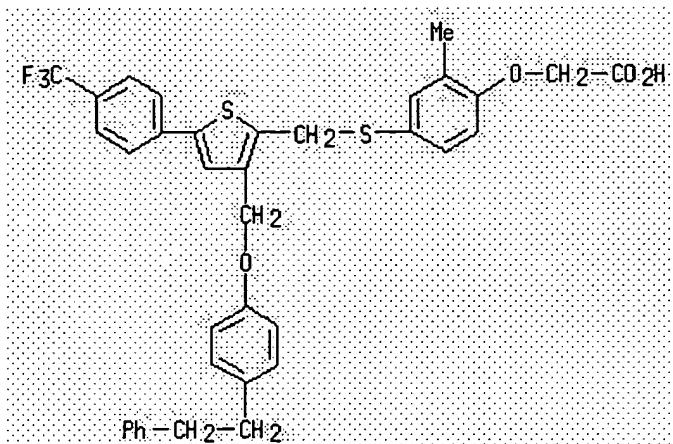
RN 476154-58-2 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-[[4-(trifluoromethyl)phenoxy]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



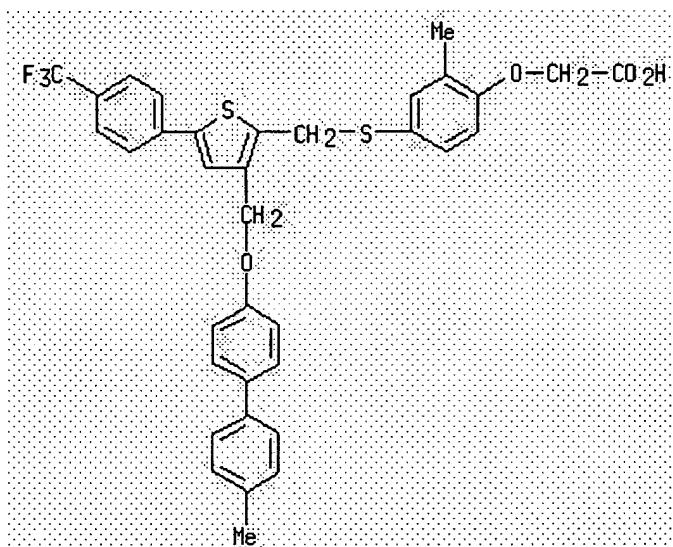
RN 476154-59-3 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-[[4-(2-phenylethyl)phenoxy]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



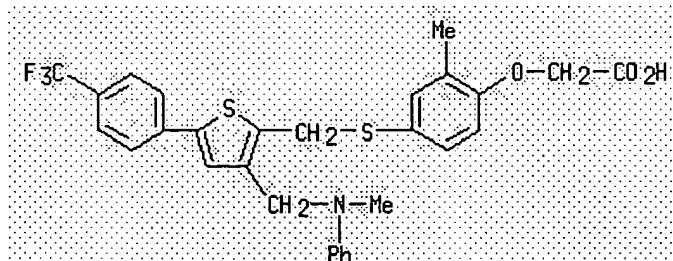
RN 476154-60-6 HCPLUS

CN Acetic acid, [2-methyl-4-[[[3-[[4'-methyl[1,1'-biphenyl]-4-yl]oxy]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



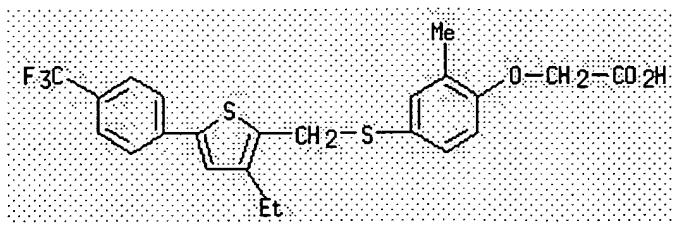
RN 476154-61-7 HCPLUS

CN Acetic acid, [2-methyl-4-[[[3-[(methylphenylamino)methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



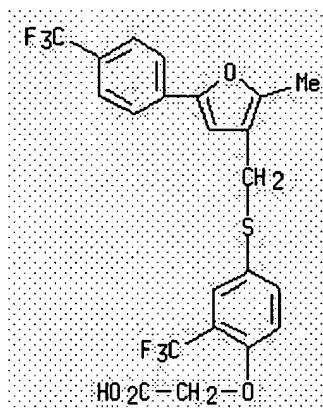
RN 476154-62-8 HCPLUS

CN Acetic acid, [4-[[[3-ethyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



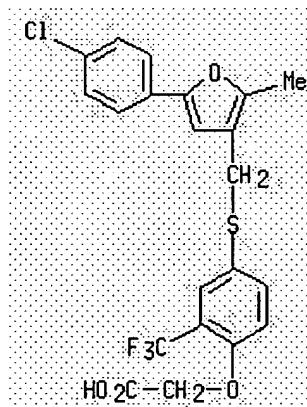
RN 476154-64-0 HCAPLUS

CN Acetic acid, [4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



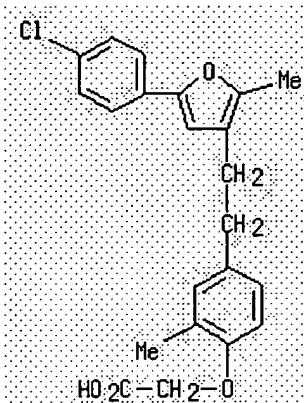
RN 476154-65-1 HCAPLUS

CN Acetic acid, [4-[[[5-(4-chlorophenyl)-2-methyl-3-furanyl]methyl]thio]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 476154-66-2 HCAPLUS

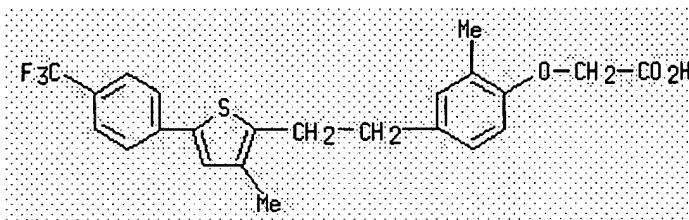
CN Acetic acid, [4-[2-[5-(4-chlorophenyl)-2-methyl-3-furanyl]ethyl]-2-methylphenoxy]-, compd. with hydrochloric acid (1:1) (9CI) (CA INDEX NAME)



HCl

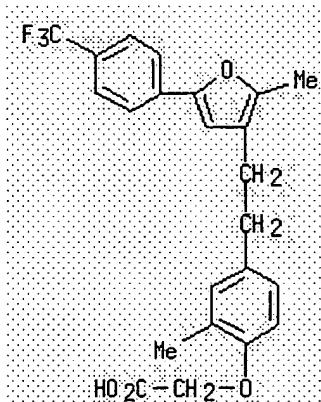
RN 476154-67-3 HCPLUS

CN Acetic acid, [2-methyl-4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



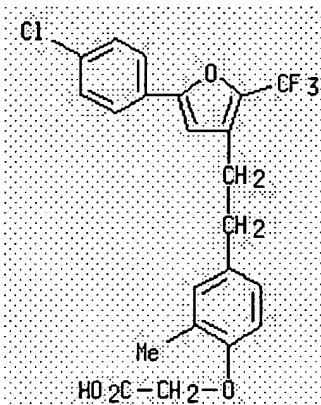
RN 476154-68-4 HCPLUS

CN Acetic acid, [2-methyl-4-[2-[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

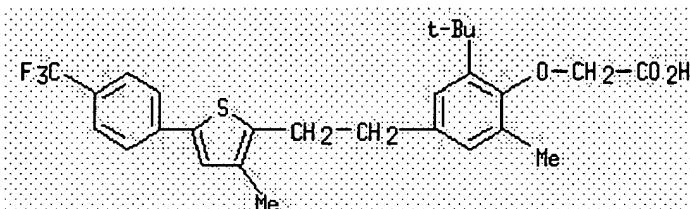


RN 476154-69-5 HCPLUS

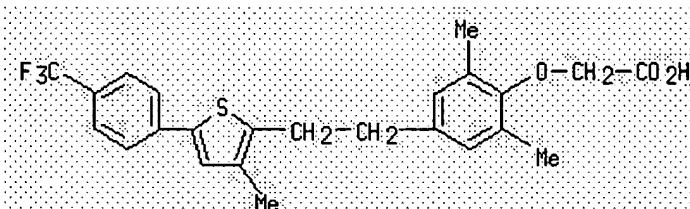
CN Acetic acid, [4-[2-[5-(4-chlorophenyl)-2-(trifluoromethyl)-3-furanyl]ethyl]-2-methylphenoxy]-, sodium salt (9CI) (CA INDEX NAME)



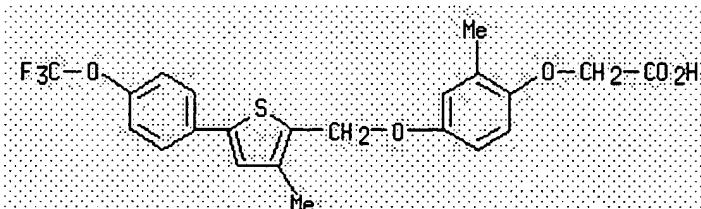
Na
 RN 476154-71-9 HCPLUS
 CN Acetic acid, [2-(1,1-dimethylethyl)-6-methyl-4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



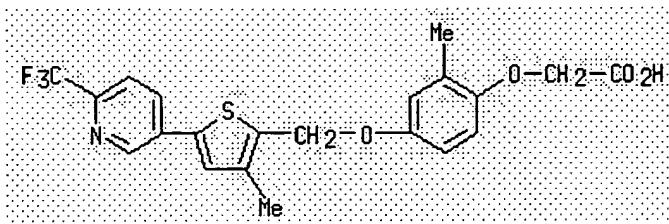
RN 476154-72-0 HCPLUS
 CN Acetic acid, [2,6-dimethyl-4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 476154-75-3 HCPLUS
 CN Acetic acid, [2-methyl-4-[3-methyl-5-[4-(trifluoromethoxy)phenyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

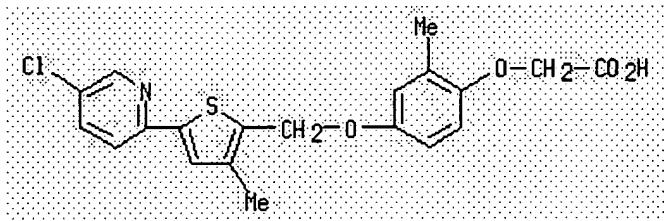


RN 476154-78-6 HCPLUS
 CN Acetic acid, [2-methyl-4-[3-methyl-5-[6-(trifluoromethyl)-3-pyridinyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



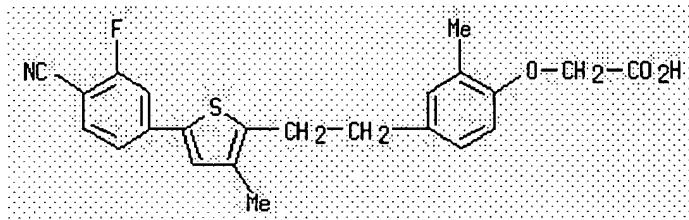
RN 476154-79-7 HCAPLUS

CN Acetic acid, [4-[(5-chloro-2-pyridinyl)-3-methyl-2-thienyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



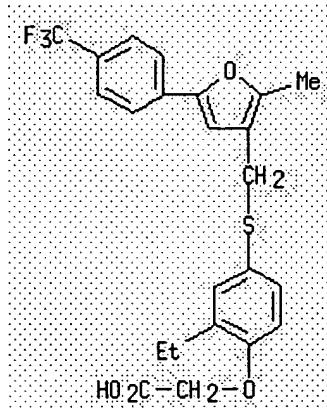
RN 476154-80-0 HCAPLUS

CN Acetic acid, [4-[(2-[5-(4-cyano-3-fluorophenyl)-3-methyl-2-thienyl]ethyl)-2-methylphenoxy]- (9CI) (CA INDEX NAME)



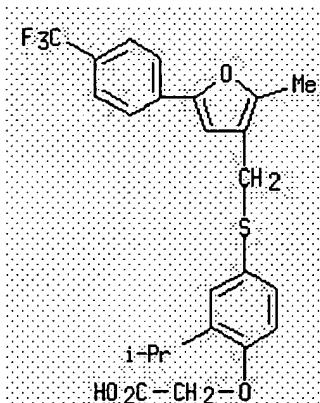
RN 476154-83-3 HCAPLUS

CN Acetic acid, [2-ethyl-4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



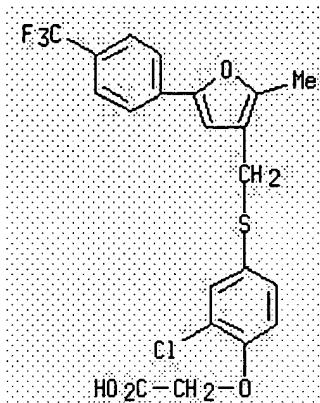
RN 476154-84-4 HCAPLUS

CN Acetic acid, [2-(1-methylethyl)-4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



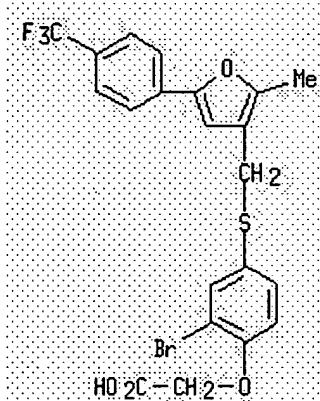
RN 476154-85-5 HCAPLUS

CN Acetic acid, [2-chloro-4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



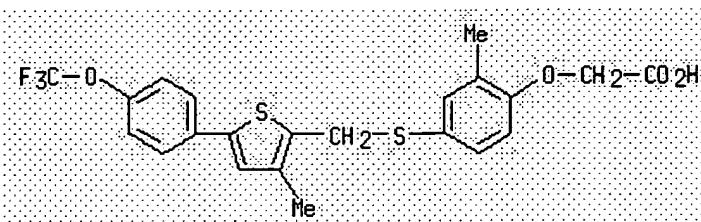
RN 476154-86-6 HCAPLUS

CN Acetic acid, [2-bromo-4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

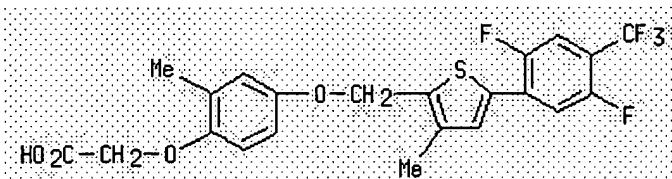


RN 476154-88-8 HCAPLUS

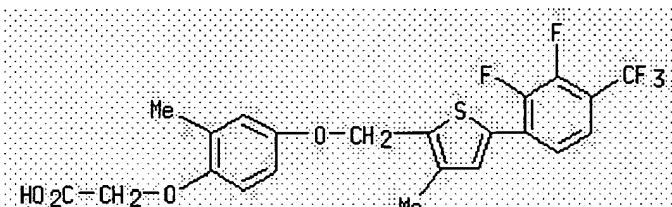
CN Acetic acid, [2-methyl-4-[[[3-methyl-5-[4-(trifluoromethoxy)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



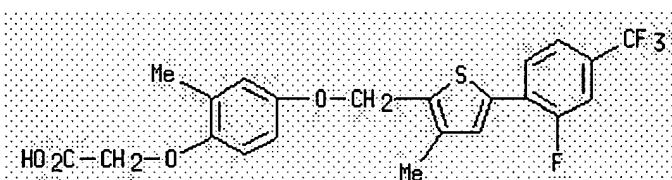
RN 476154-90-2 HCAPLUS
 CN Acetic acid, [4-[[5-[2,5-difluoro-4-(trifluoromethyl)phenyl]-3-methyl-2-thienyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



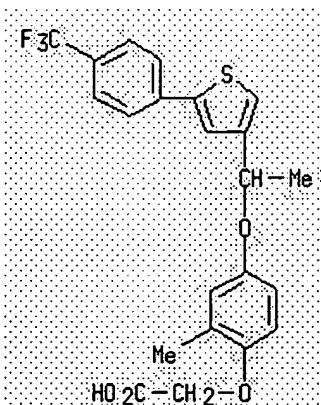
RN 476154-92-4 HCAPLUS
 CN Acetic acid, [4-[[5-[2,3-difluoro-4-(trifluoromethyl)phenyl]-3-methyl-2-thienyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RN 476154-94-6 HCAPLUS
 CN Acetic acid, [4-[[5-[2-fluoro-4-(trifluoromethyl)phenyl]-3-methyl-2-thienyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

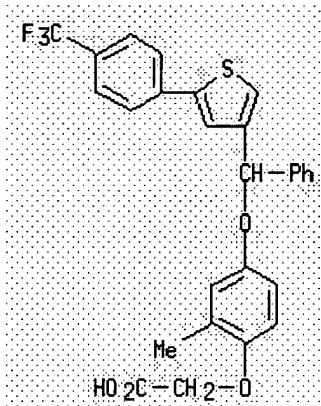


RN 476154-96-8 HCAPLUS
 CN Acetic acid, [2-methyl-4-[[1-[5-[4-(trifluoromethyl)phenyl]-3-thienyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



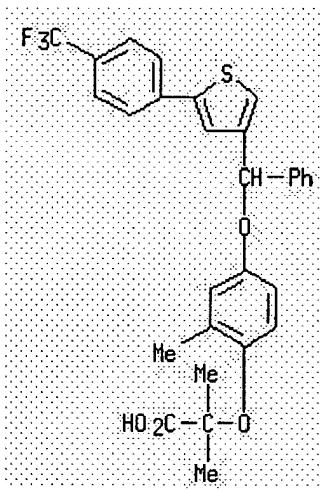
RN 476154-98-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[phenyl[5-[4-(trifluoromethyl)phenyl]-3-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



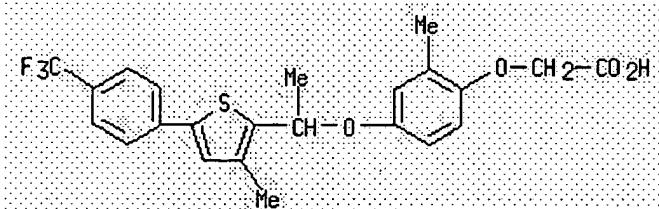
RN 476155-00-7 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[phenyl[5-[4-(trifluoromethyl)phenyl]-3-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



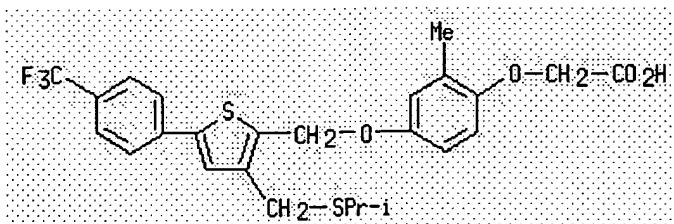
RN 476155-02-9 HCAPLUS

CN Acetic acid, [2-methyl-4-[1-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



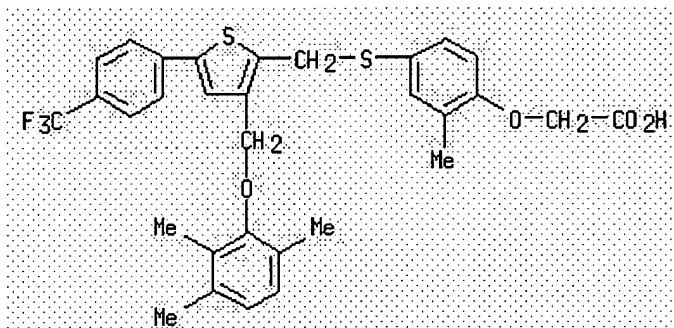
RN 476155-09-6 HCAPLUS

CN Acetic acid, [2-methyl-4-[[3-[(1-methylethyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



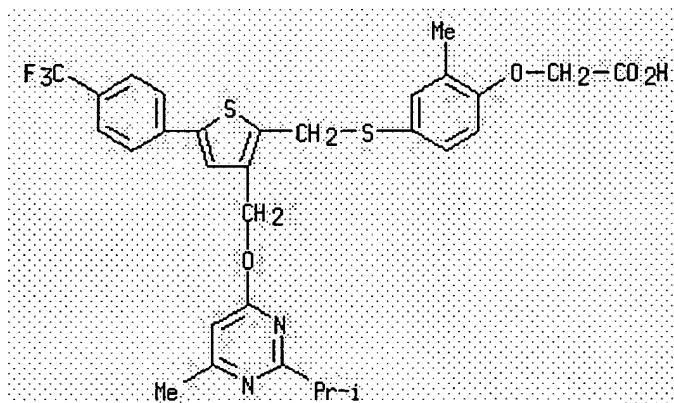
RN 476155-10-9 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[5-[4-(trifluoromethyl)phenyl]-3-[(2,3,6-trimethylphenoxy)methyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



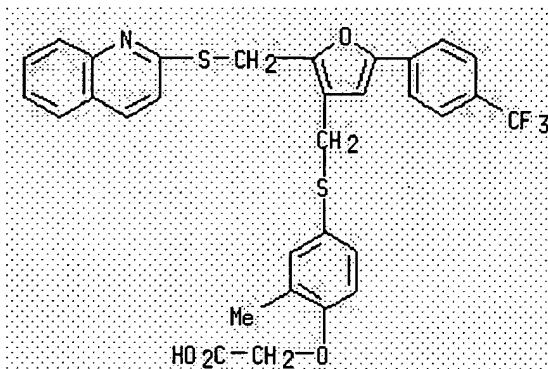
RN 476155-11-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-[[[6-methyl-2-(1-methylethyl)-4-pyrimidinyl]oxy]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



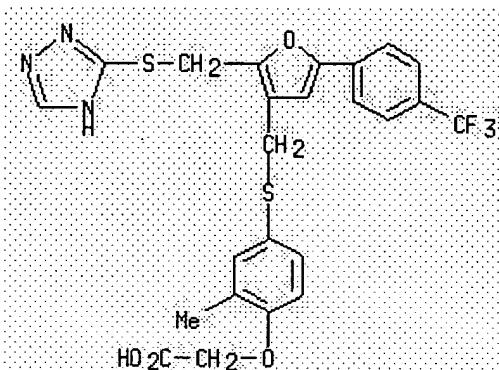
RN 476155-12-1 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-[(2-quinolinylthio)methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



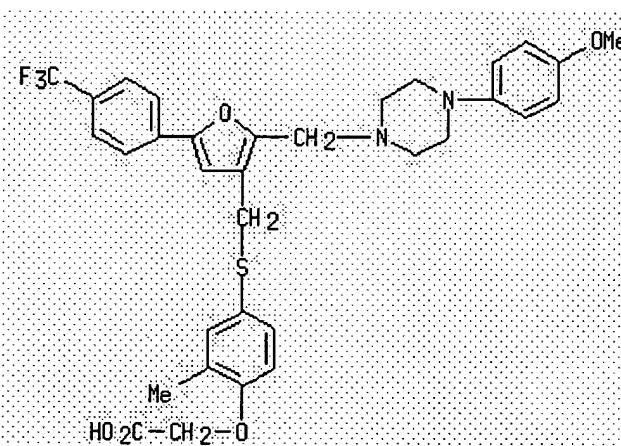
RN 476155-13-2 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-[(1H-1,2,4-triazol-3-ylthio)methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



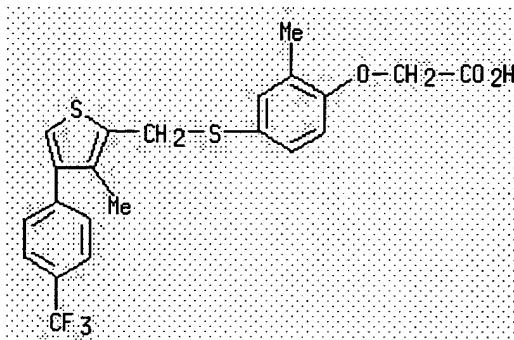
RN 476155-14-3 HCAPLUS

CN Acetic acid, [4-[[[2-[[4-(4-methoxyphenyl)-1-piperazinyl]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

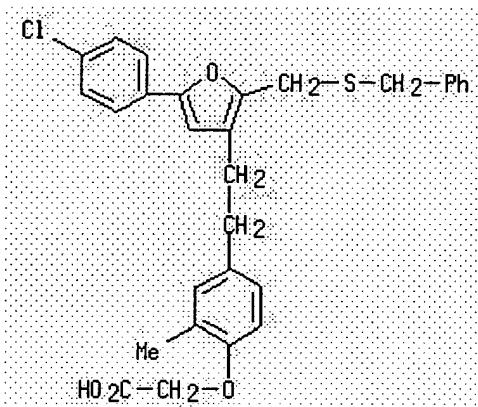


RN 476156-38-4 HCAPLUS

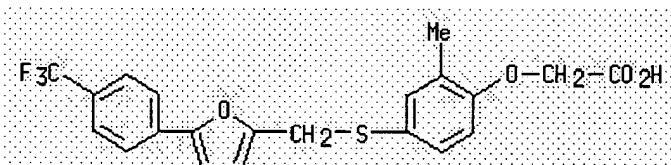
CN Acetic acid, [2-methyl-4-[[[3-methyl-4-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



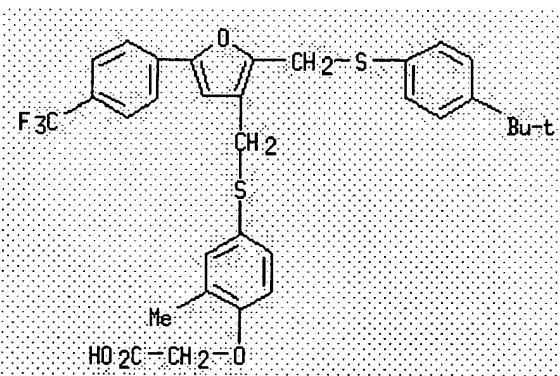
RN 476156-39-5 HCAPLUS
 CN Acetic acid, [4-[2-[5-(4-chlorophenyl)-2-[(phenylmethyl)thio]methyl]-3-furanyl]ethyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RN 476156-41-9 HCAPLUS
 CN Acetic acid, [2-methyl-4-[[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

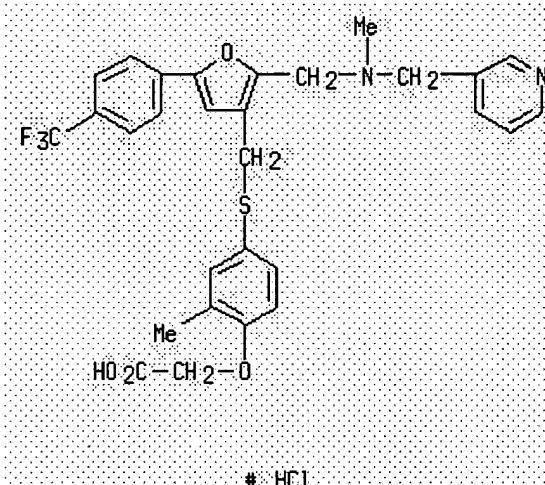


RN 476156-48-6 HCAPLUS
 CN Acetic acid, [4-[[[2-[[[4-(1,1-dimethylethyl)phenyl]thio]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RN 476156-49-7 HCAPLUS
 CN Acetic acid, [2-methyl-4-[[[2-[[methyl(3-pyridinylmethyl)amino]methyl]-5-

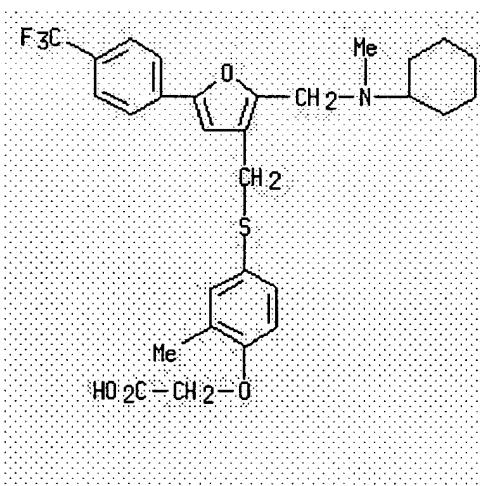
[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

RN 476156-50-0 HCPLUS

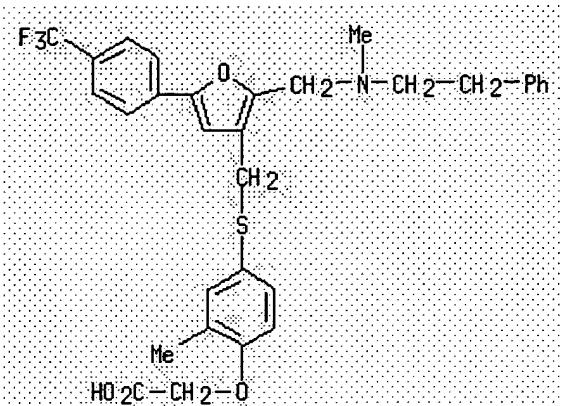
CN Acetic acid, [4-[[[2-[(cyclohexylmethylamino)methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]-, hydrochloride (9CI) (CA INDEX NAME)



HCl

RN 476156-51-1 HCPLUS

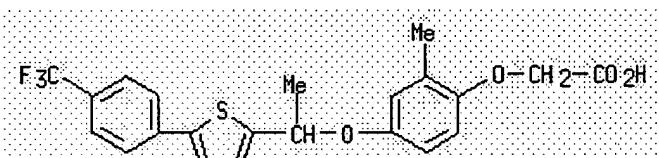
CN Acetic acid, [2-methyl-4-[[[2-[[methyl(2-phenylethyl)amino]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)



HCl

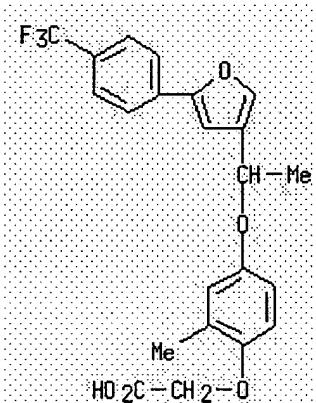
RN 476156-52-2 HCPLUS

CN Acetic acid, [2-methyl-4-[1-[5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



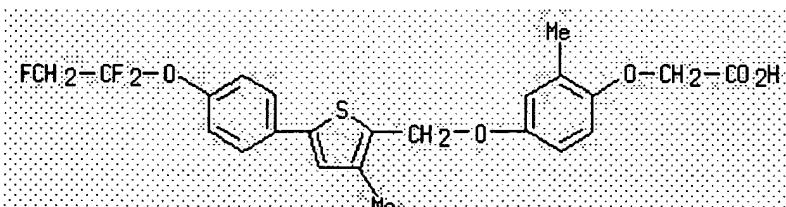
RN 476156-53-3 HCPLUS

CN Acetic acid, [2-methyl-4-[1-[5-[4-(trifluoromethyl)phenyl]-3-furanyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 476156-54-4 HCPLUS

CN Acetic acid, [2-methyl-4-[[3-methyl-5-[4-(1,1,2-trifluoroethoxy)phenyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

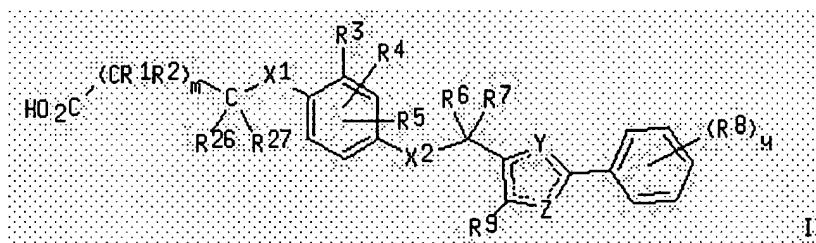
L8 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Text References

ACCESSION NUMBER: 2002:487541 HCAPLUS
 DOCUMENT NUMBER: 137:63239
 TITLE: Thia- and oxazoles and their use as hPPAR delta agonists
 INVENTOR(S): Beswick, Paul John; Patel, Vipulkumar; Sierra, Michael Lawrence
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 41 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------------|------------|
| <u>WO 2002050048</u> | A1 | 20020627 | <u>WO 2001-EP14887</u> | 20011218 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| <u>AU 2002029669</u> | A5 | 20020701 | <u>AU 2002-29669</u> | 20011218 |
| <u>EP 1343772</u> | A1 | 20030917 | <u>EP 2001-990571</u> | 20011218 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| <u>US 2004102493</u> | A1 | 20040527 | <u>US 2003-451307</u> | 20031117 |
| <u>PRIORITY APPLN. INFO.:</u> | | | <u>GB 2000-31109</u> | A 20001220 |
| | | | <u>WO 2001-EP14887</u> | W 20011218 |

OTHER SOURCE(S): MARPAT 137:63239
 GI



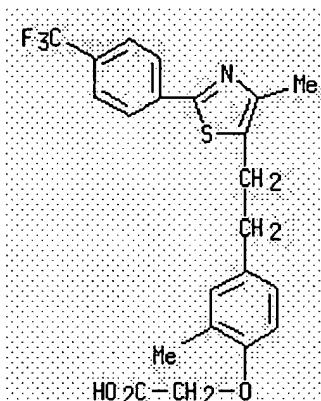
AB I (e.g. [4-[1,1-difluoro-3-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,3-thiazol-5-yl]propyl]-2-methylphenoxy]acetic acid) or pharmaceutically acceptable salts and solvates thereof are claimed. R1 and R2 are independently H or C1-3alkyl, m is 0-3; X1 is NH, NCH3, O, S; R3, R4 and R5 are independently H, CH3, CF3, OCH3, allyl or halogen; X2 is (CR10R11)n wherein n is 1 or 2; R10 and R11 independently represent H, F or C1-16alkyl; R26 and R27 are independently H, C1-3 alkyl or R26 and R27 together with the C atom to which they are bonded form a 3-5 membered

cycloalkyl ring. R6 and R7 independently represent H, F or C1-16alkyl; R9 is C1-6alkyl or CF₃; one of Y and Z is N, the other is S or O; each R8 independently represents CF₃, OCH₃, CH₃ or halogen; y is 0-5. Use of I for the manuf. of a medicament for the prevention or treatment of a hPPAR (human peroxisome proliferator activated receptor)-mediated disease or condition, such as dyslipidemia, syndrome X, heart failure, hypercholesterolemia, cardiovascular disease, type II diabetes mellitus, type 1 diabetes, insulin resistance hyperlipidemia, obesity, anorexia, bulimia, inflammation and anorexia nervosa. Binding and transfection assays are described but no results are given. Although the methods of prepn. are not claimed, 35 example prepns. of intermediates and claimed compds. are included.

IT 439135-02-1P, [2-Methyl-4-[2-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,3-thiazol-5-yl]ethyl]phenoxy]acetic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (thia- and oxazoles and use as hPPAR delta agonists)

RN 439135-02-1 HCPLUS

CN Acetic acid, [2-methyl-4-[2-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 16:08:19 ON 20 DEC 2005)

FILE 'REGISTRY' ENTERED AT 16:08:25 ON 20 DEC 2005

L1 STRUCTURE uploaded
 L2 50 S L1
 L3 1449 S L2 FULL

FILE 'HCPLUS' ENTERED AT 16:11:25 ON 20 DEC 2005

L4 102 S L3/THU
 L5 34 S L4 AND PD < JULY 2002
 L6 1 S L4 AND BELL, R?/AU
 L7 101 S L4 NOT L6
 L8 2 S L7 AND BESWICK, P?/AU

=> s 14 not 18

L9 100 L4 NOT L8

=> s 19 not 16

L10 99 L9 NOT L6

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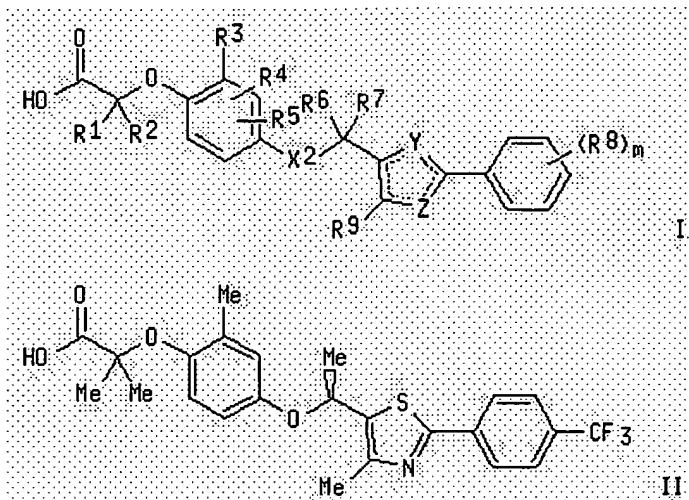
L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Brief
 Text References

ACCESSION NUMBER: 2002:615588 HCAPLUS
 DOCUMENT NUMBER: 137:169510
 TITLE: Preparation of thiazole and oxazole derivatives for treating human PPAR related disorders
 INVENTOR(S): Cadilla, Rodolfo; Gosmini, Romain Luc Marie; Lambert, Millard Hurst, III; Sierra, Michael Lawrence
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 63 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------------|------------|
| <u>WO 2002062774</u> | A1 | 20020815 | <u>WO 2001-US49230</u> | 20011219 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| <u>CA 2432188</u> | AA | 20020815 | <u>CA 2001-2432188</u> | 20011219 |
| <u>EP 1343773</u> | A1 | 20030917 | <u>EP 2001-994305</u> | 20011219 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| <u>BR 2001016370</u> | A | 20031209 | <u>BR 2001-16370</u> | 20011219 |
| <u>JP 2004518702</u> | T2 | 20040624 | <u>JP 2002-562729</u> | 20011219 |
| <u>CN 1527822</u> | A | 20040908 | <u>CN 2001-822309</u> | 20011219 |
| <u>NZ 526543</u> | A | 20041126 | <u>NZ 2001-526543</u> | 20011219 |
| <u>ZA 2003004679</u> | A | 20041004 | <u>ZA 2003-4679</u> | 20030617 |
| <u>NO 2003002801</u> | A | 20030804 | <u>NO 2003-2801</u> | 20030619 |
| <u>US 2004063964</u> | A1 | 20040401 | <u>US 2003-451313</u> | 20031020 |
| <u>PRIORITY APPLN. INFO.:</u> | | | GB 2000-31107 | A 20001220 |
| | | | <u>WO 2001-US49230</u> | W 20011219 |

OTHER SOURCE(S): MARPAT 137:169510
GI



AB Title compds. I [wherein R1 and R2 = independently H, or alkyl; or CR1R2 = cycloalkyl; and at least one of R1 and R2 ? H; X2 = O, S, or (CR10R11)n; n = 1-2; R3-R5 = independently H, alkyl, OMe, CF3, allyl, or halo; R10 and R11 = independently H, F, or alkyl; one of Y and Z is N, and the other is S or O; R6 and R7 = independently H, Ph, PhCH2, F, OH, alkyl, or allyl; or CR6R7 = CO; R9 = H, CF3, or Me; R8 = independently CF3, alkyl, OMe, or halo; m = 0-5; or pharmaceutically acceptable salts, solvates, or hydrolyzable esters thereof] were prepd. as selective human peroxisome proliferator-activated receptor (hPPAR) activators. For example, Et 2-(4-hydroxy-2-methylphenoxy)-2-methylpropanoate was condensed with (R)- α ,4-dimethyl-2-(4-trifluoromethylphenyl)-5-thiazolemethanol using Mitsunobu protocol to give the Et ester of (S)-II (52.5%). Sapon. afforded the acid (S)-II (52.5%), which activated hPPAR α , hPPAR δ , and hPPAR γ with EC50 values of 16 nM, 3 nM, and 7000 nM, resp. I are useful for the treatment hPPAR mediated diseases or conditions, such as dyslipidemia, syndrome X, heart failure, hypercholesterolemia, cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia, and anorexia nervosa (no data).

IT 447406-78-2P, 2-[4-[[[2-[2-Fluoro-4-(trifluoromethyl)phenyl]-4-methyl-1,3-thiazol-5-yl]methyl]sulfanyl]-2-methylphenoxy]-2-methylpropanoic acid 447406-80-6P, 2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid 447406-82-8P, [2-Methyl-4-[1-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]acetic acid 447406-84-0P, 2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(3-fluoro-4-trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid 447406-86-2P, (S)-2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid 447406-88-4P, (R)-2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid 447406-90-8P, 2-[4-[1-[2-(4-Chlorophenyl)-4-methylthiazol-5-yl]ethoxy]-2-methylphenoxy]-2-methylpropionic acid 447406-92-0P, 2-[4-[1-[2-(3,4-Dichlorophenyl)-4-methylthiazol-5-yl]ethoxy]-2-methylphenoxy]-2-methylpropionic acid 447406-94-2P, 2-[4-[1-[2-(4-Ethylphenyl)-4-methylthiazol-5-yl]ethoxy]-2-methylphenoxy]-2-methylpropionic acid 447406-96-4P, 2-[4-[1-[2-(2-Fluoro-4-trifluoromethylphenyl)-4-methylthiazol-5-yl]ethoxy]-2-methylphenoxy]-2-methylpropionic acid 447406-98-6P, 2-Methyl-2-[2-methyl-4-[1-[2-(4-trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid 447407-00-3P, 2-Methyl-2-[2-methyl-4-[1-methyl-1-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid

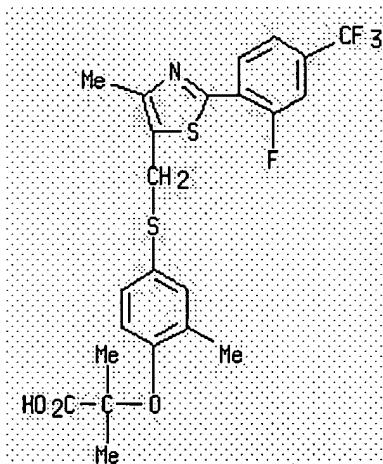
447407-02-5P, 2-Methyl-2-[2-methyl-4-[1-methyl-1-[2-(4-trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid
447407-04-7P, 2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]propoxy]phenoxy]propionic acid
447407-06-9P, (R)-2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]propoxy]phenoxy]propionic acid
447407-08-1P, (S)-2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]propoxy]phenoxy]propionic acid
447407-10-5P, 2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]but-3-enyloxy]phenoxy]propionic acid
447407-12-7P, 2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]butoxy]phenoxy]propionic acid
447407-14-9P, 2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]pentyloxy]phenoxy]propionic acid
447407-16-1P, 2-[4-[Cyclopentyl[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]methoxy]-2-methylphenoxy]-2-methylpropionic acid 447407-18-3P, 2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]phenylmethoxy]phenoxy]propionic acid 447407-20-7P, 2-Methyl-2-[2-methyl-4-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-ylmethoxy]phenoxy]propionic acid
447407-22-9P, 2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]-2-phenylethoxy]phenoxy]propionic acid
447407-24-1P 447407-26-3P 447407-28-5P
447407-30-9P 447407-32-1P 447407-34-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR activator; prepn. of thiazole and oxazole derivs. for treating human PPAR related disorders)

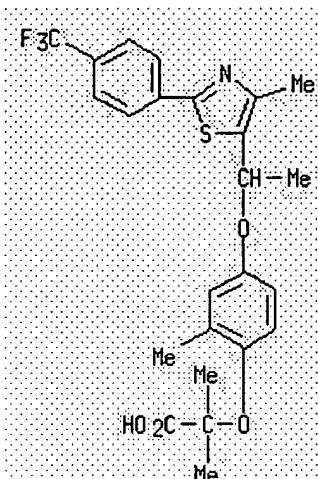
RN 447406-78-2 HCPLUS

CN Propanoic acid, 2-[4-[[[2-[2-fluoro-4-(trifluoromethyl)phenyl]-4-methyl-5-thiazolyl]methyl]thio]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



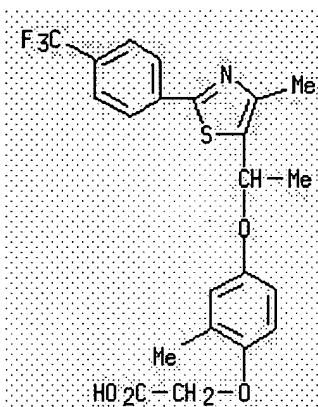
RN 447406-80-6 HCPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



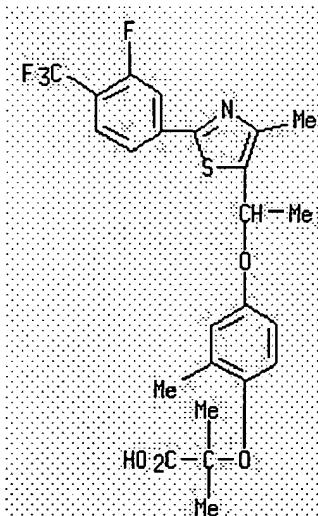
RN 447406-82-8 HCPLUS

CN Acetic acid, [2-methyl-4-[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 447406-84-0 HCPLUS

CN Propanoic acid, 2-[4-[1-[2-[3-fluoro-4-(trifluoromethyl)phenyl]-4-methyl-5-thiazolyl]ethoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

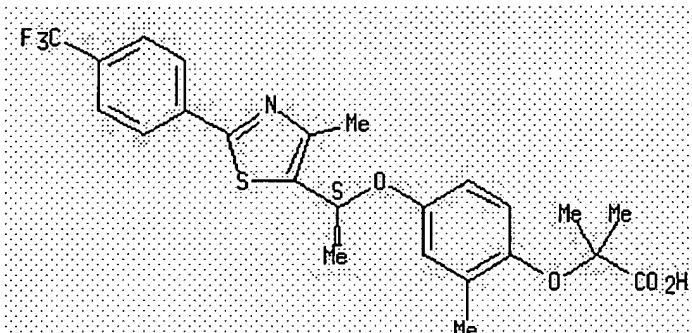


RN 447406-86-2 HCPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[(1S)-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX

(NAME)

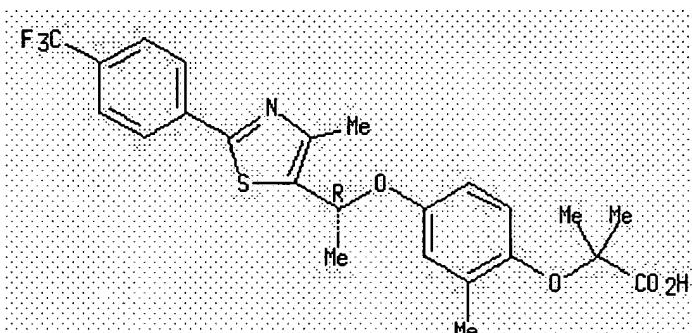
Absolute stereochemistry. Rotation (-).



RN 447406-88-4 HCAPLUS

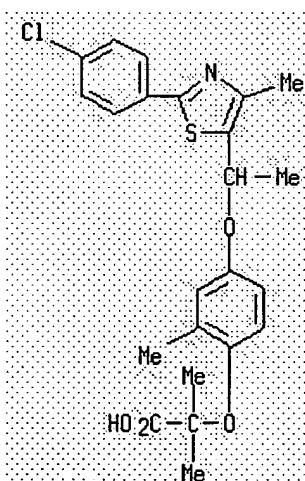
CN Propanoic acid, 2-methyl-2-[2-methyl-4-[(1R)-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]ethoxy]phenoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



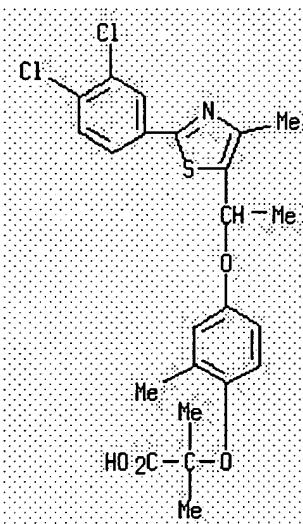
RN 447406-90-8 HCAPLUS

CN Propanoic acid, 2-[4-[1-[2-(4-chlorophenyl)-4-methyl-5-thiazolyl]ethoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



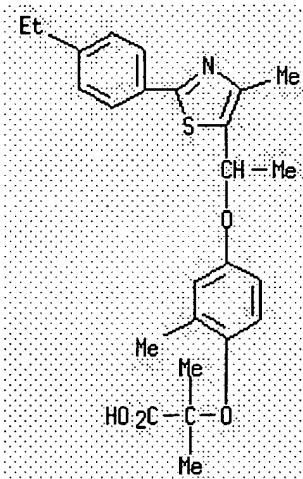
RN 447406-92-0 HCAPLUS

CN Propanoic acid, 2-[4-[1-[2-(3,4-dichlorophenyl)-4-methyl-5-thiazolyl]ethoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



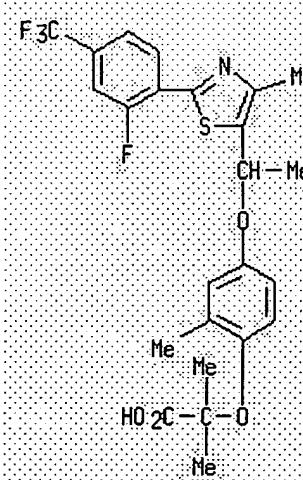
RN 447406-94-2 HCPLUS

CN Propanoic acid, 2-[4-[1-[2-(4-ethylphenyl)-4-methyl-5-thiazolyl]ethoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



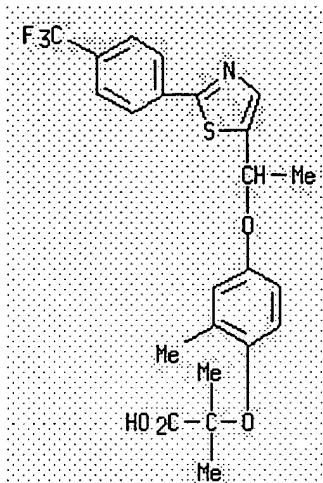
RN 447406-96-4 HCPLUS

CN Propanoic acid, 2-[4-[1-[2-[2-fluoro-4-(trifluoromethyl)phenyl]-4-methyl-5-thiazolyl]ethoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



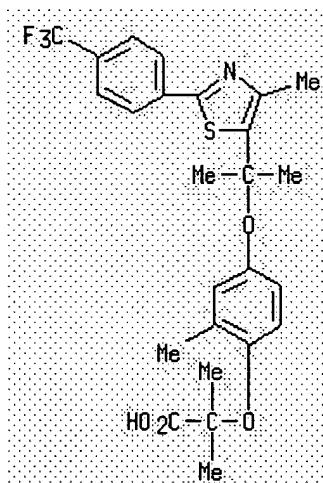
RN 447406-98-6 HCPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-[2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



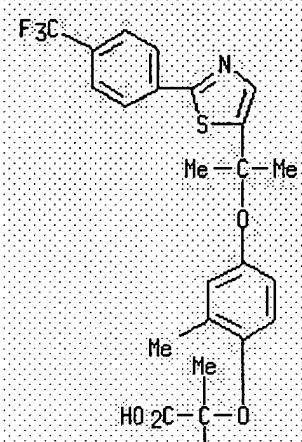
RN 447407-00-3 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-methyl-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



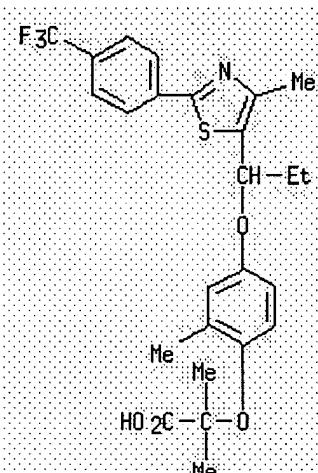
RN 447407-02-5 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-methyl-1-[2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 447407-04-7 HCAPLUS

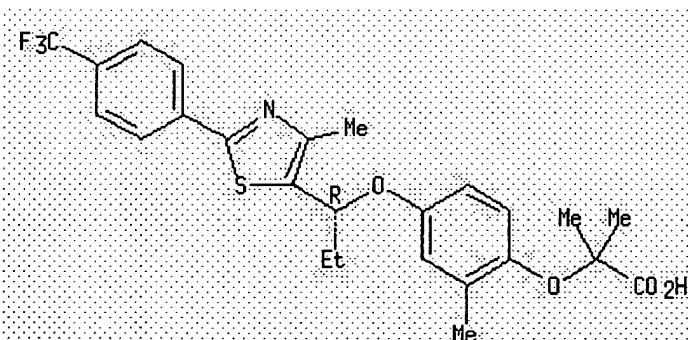
CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]thiazolyl]propoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 447407-06-9 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[(1R)-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]thiazolyl]propoxy]phenoxy]- (9CI) (CA INDEX NAME)

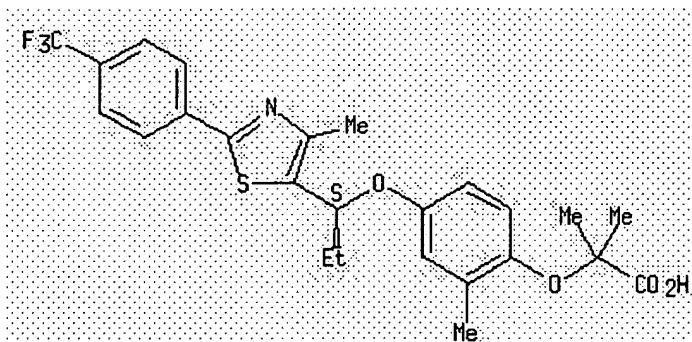
Absolute stereochemistry. Rotation (+).



RN 447407-08-1 HCAPLUS

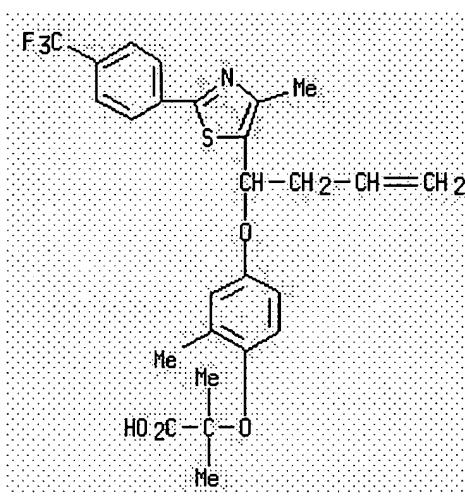
CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[(1S)-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]thiazolyl]propoxy]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



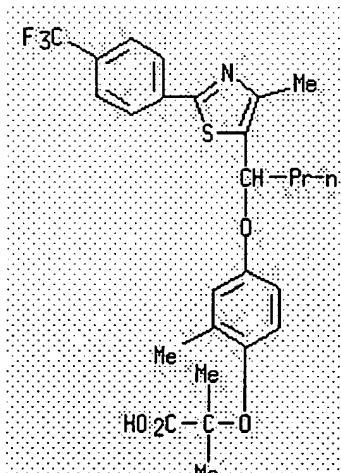
RN 447407-10-5 HCPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]thiazolyl]-3-butenyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 447407-12-7 HCPLUS

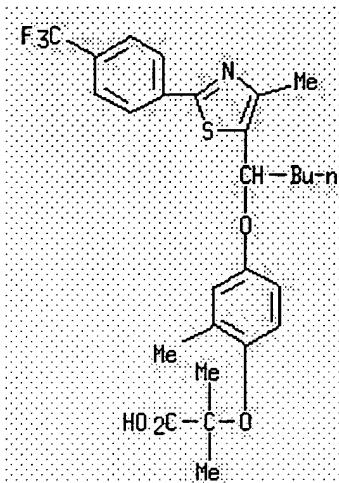
CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]thiazolyl]butoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 447407-14-9 HCPLUS

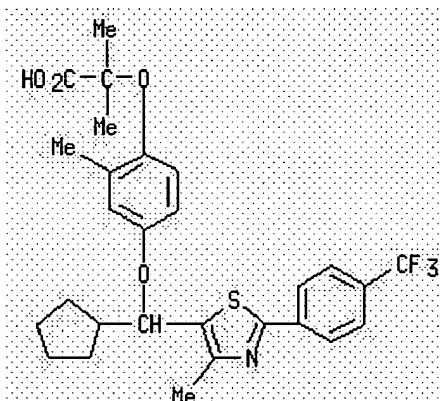
CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[4-methyl-2-[4-

(trifluoromethyl)phenyl]-5-thiazolyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



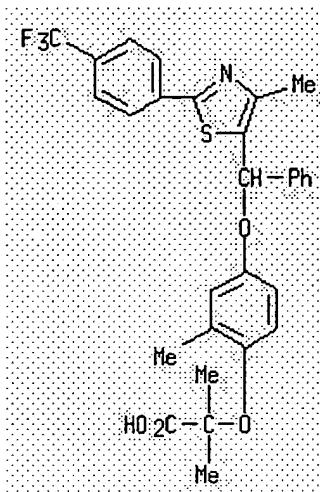
RN 447407-16-1 HCAPLUS

CN Propanoic acid, 2-[4-[cyclopentyl[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



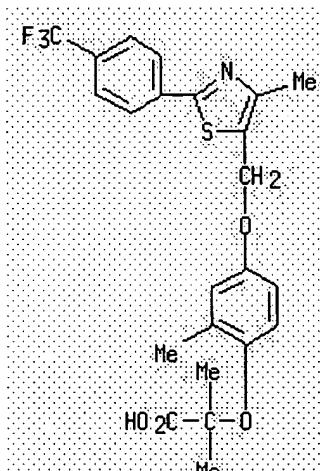
RN 447407-18-3 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]phenylmethoxy]phenoxy]- (9CI) (CA INDEX NAME)



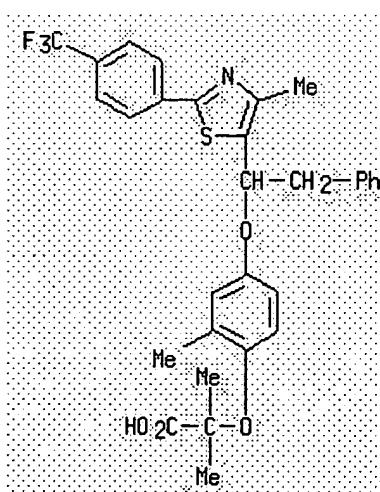
RN 447407-20-7 HCPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[4-methyl-2-[4-(trifluoromethyl)phenyl]thiazolyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



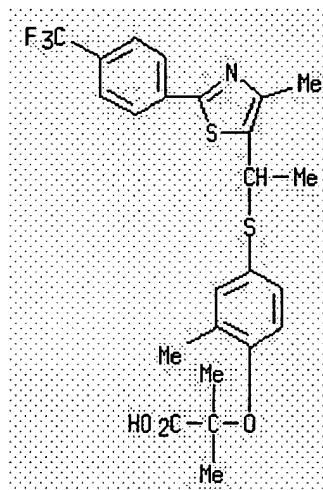
RN 447407-22-9 HCPLUS

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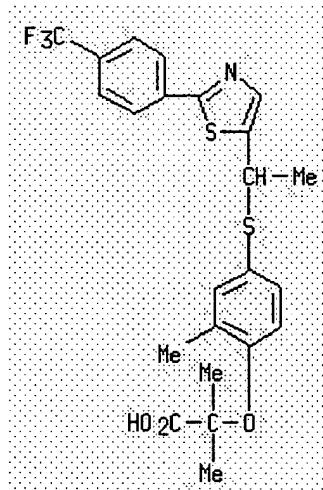
RN 447407-24-1 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]phenyl]-5-thiazolyl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



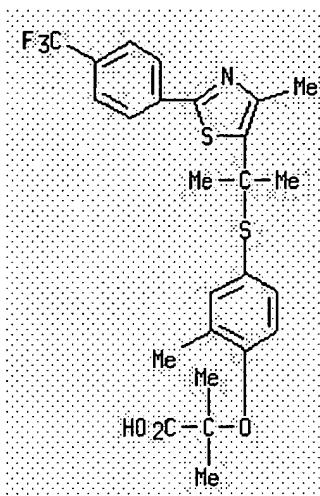
RN 447407-26-3 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



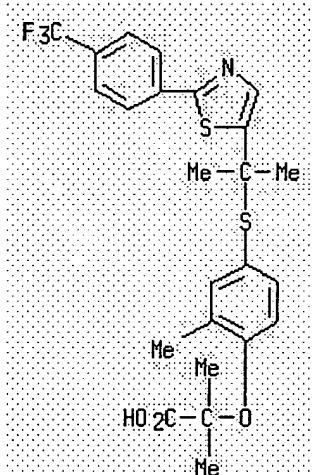
RN 447407-28-5 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-methyl-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]phenyl]-5-thiazolyl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



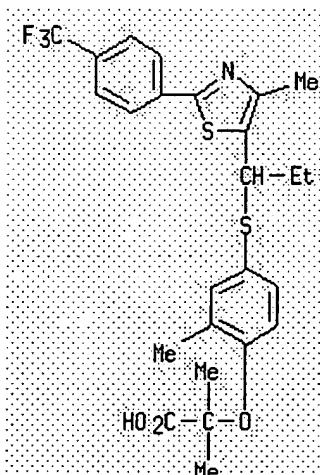
RN 447407-30-9 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-methyl-1-[2-[4-(trifluoromethyl)phenyl]thio]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



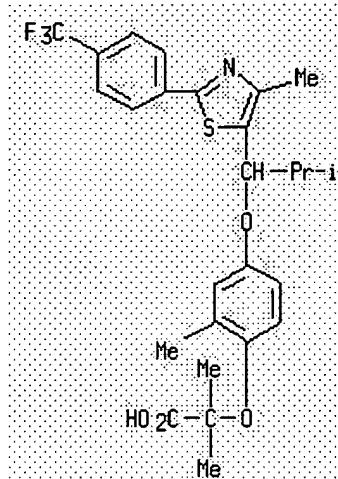
RN 447407-32-1 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]propyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



RN 447407-34-3 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[2-methyl-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]propoxy]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

2

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(FILE 'HOME' ENTERED AT 16:08:19 ON 20 DEC 2005)

FILE 'REGISTRY' ENTERED AT 16:08:25 ON 20 DEC 2005

L1 STRUCTURE uploaded
L2 50 S L1
L3 1449 S L2 FULL

FILE 'HCAPLUS' ENTERED AT 16:11:25 ON 20 DEC 2005

L4 102 S L3/THU
L5 34 S L4 AND PD < JULY 2002
L6 1 S L4 AND BELL, R?/AU
L7 101 S L4 NOT L6
L8 2 S L7 AND BESWICK, P?/AU
L9 100 S L4 NOT L8
L10 99 S L9 NOT L6
L11 1 S L10 AND GOSMINI, R?/AU

=> s l10 not l11

L12 98 L10 NOT L11

=> s l12 and grimes, r?/au

557 GRIMES, R?/AU

L13 0 L12 AND GRIMES, R?/AU

=> s l12 and hamlett, c?/au

2 HAMLETT, C?/AU

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